

NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 18:14:58 ON 22 DEC 2006

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:15:14 ON 22 DEC 2006

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STRUCTURE FILE UPDATES: 21 DEC 2006 HIGHEST RN 916201-86-0

DICTIONARY FILE UPDATES: 21 DEC 2006 HIGHEST RN 916201-86-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

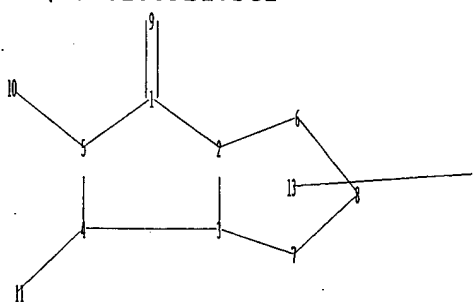
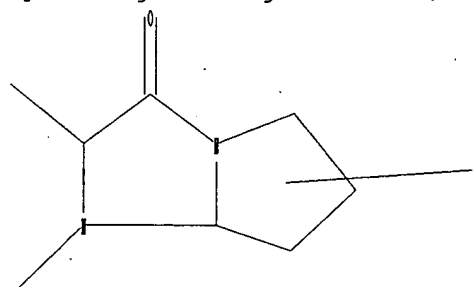
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

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Uploading C:\Program Files\Stnexp\Queries\10761889B1.str



chain nodes :

9 10 11 12

ring nodes :

1 2 3 4 5 6 7 8
 chain bonds :
 1-9 4-11 5-10
 ring bonds :
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 exact/norm bonds :
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 exact bonds :
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Match level :

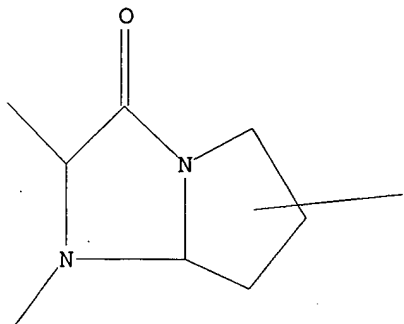
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> l1 sam sss

SAMPLE SEARCH INITIATED 18:16:05 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 20 TO ITERATE

100.0% PROCESSED 20 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 132 TO 668

PROJECTED ANSWERS: 8 TO 329

L2 8 SEA SSS SAM L1

=> l1 sss full

FULL SEARCH INITIATED 18:16:21 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 405 TO ITERATE

100.0% PROCESSED 405 ITERATIONS

124 ANSWERS

SEARCH TIME: 00.00.01

L3 124 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

167.38

167.59

FILE 'CAPLUS' ENTERED AT 18:16:31 ON 22 DEC 2006

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FILE COVERS 1907 - 22 Dec 2006 VOL 146 ISS 1

FILE LAST UPDATED: 21 Dec 2006 (20061221/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> 13

L4 14 L3

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L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:133079 CAPLUS

DN 138:188071

TI Peptidomimetics of biologically active metalloproteins

IN Sharma, Shubh D.; Shi, Yiqun; Rajpurohit, Ramesh; Wu, Zhijun

PA Palatin Technologies, Inc., USA

SO PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 8

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PATENT FAMILY INFORMATION:

FAN 2004:633168

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FAN 2004:652533

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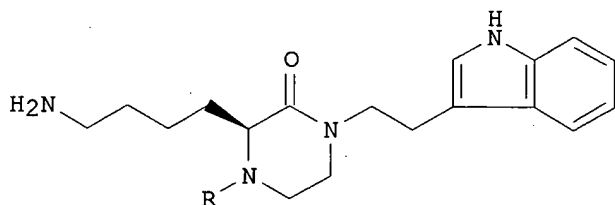
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OS MARPAT 138:188071
GI



I

AB The invention relates to a method of deriving a peptidomimetic of a biol. active metallopeptide. The peptidomimetic contains at least one non-peptide ring structure and at least two amino acid-related elements. The invention further relates to peptidomimetics with a template space heterocyclic ring structure, including 5-, 6- and 8-membered and 5-5 and 6-5 bicyclic fused ring structure melanocortin receptor-specific peptidomimetics. The examples describe the synthesis of pyrrolidines, 2-piperazinones [e.g., I [R = BuCH₂CH₂CO-Ser(Bzl)-D-Phe(2-Cl)]], hexahydropyrrolo[1,2-a]pyrazin-4-ones, hexahydropyrrolo[1,2-a]imidazol-3-ones, 1,4-benzodiazepines, and piperazines. Competitive inhibition testing of compound I against α -MSH yielded the following results at 1 μ M: melanocortin-1 receptor (MC1-R) 96%, MC3-R 51%, MC4-R 99%, and MC5-R 82%.

IT 497935-48-5P 497935-49-6P 497935-50-9P
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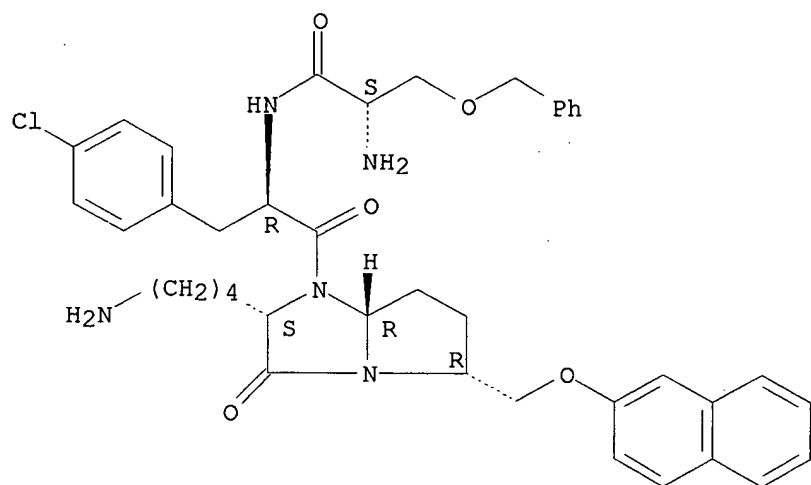
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptidomimetics of biol. active metalloptides)

RN 497935-48-5 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

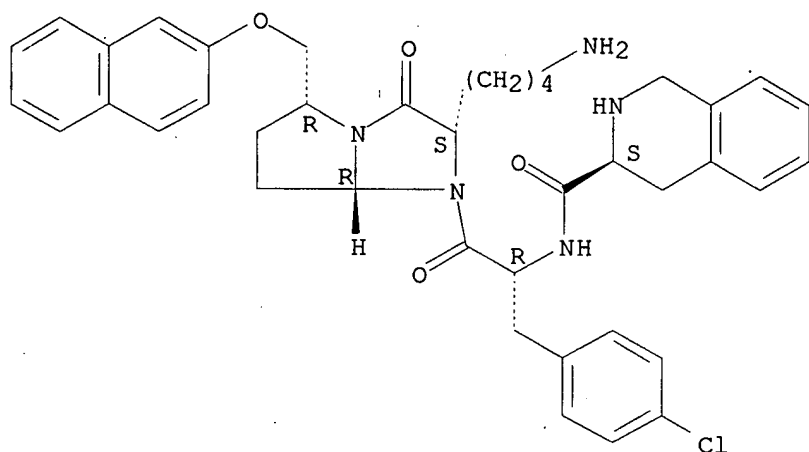
Absolute stereochemistry.



RN 497935-49-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

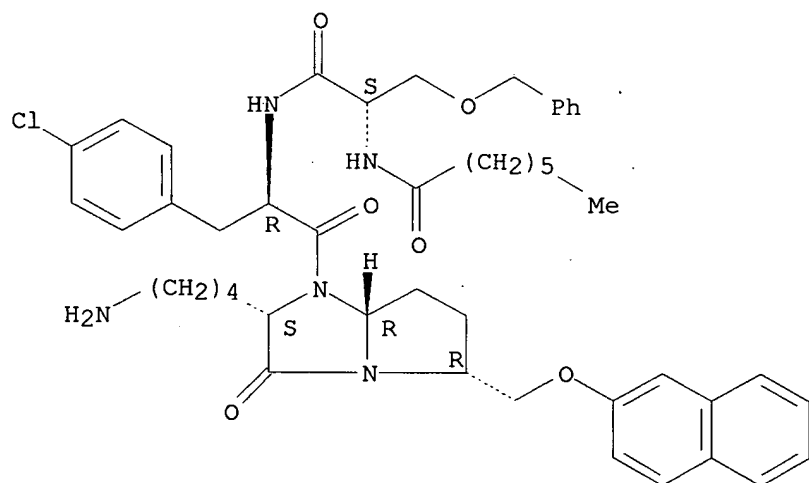
Absolute stereochemistry.



RN 497935-50-9 CAPLUS

CN Heptanamide, N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

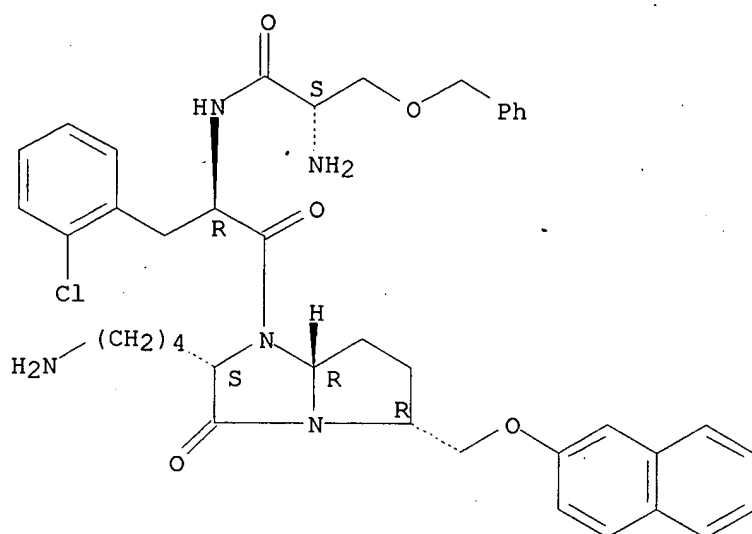
Absolute stereochemistry.



RN 497935-51-0 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

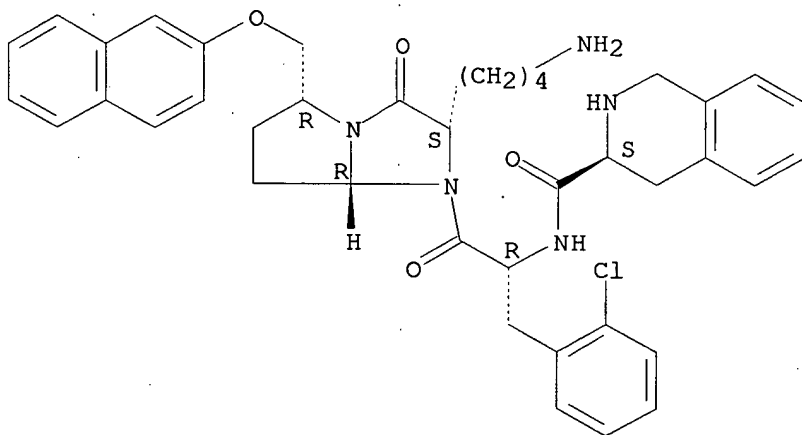
Absolute stereochemistry.



RN 497935-52-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

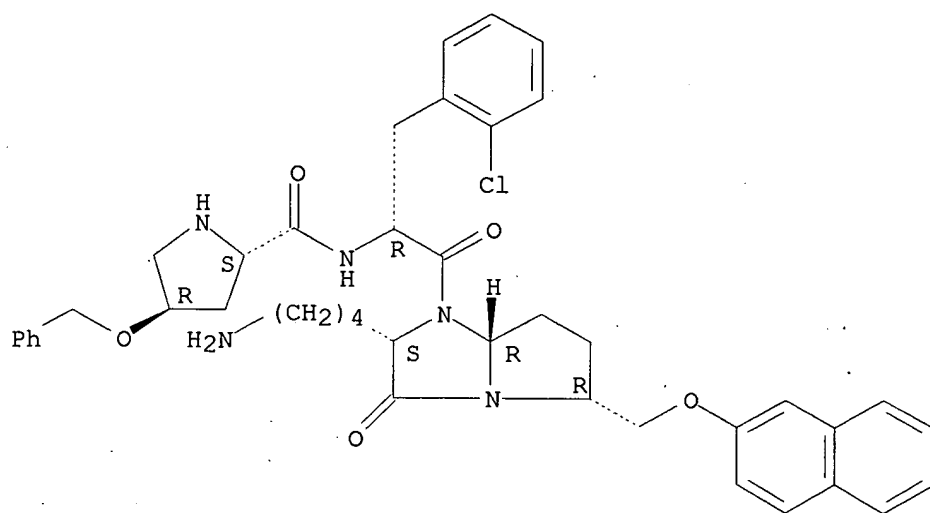
Absolute stereochemistry.



RN 497935-53-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

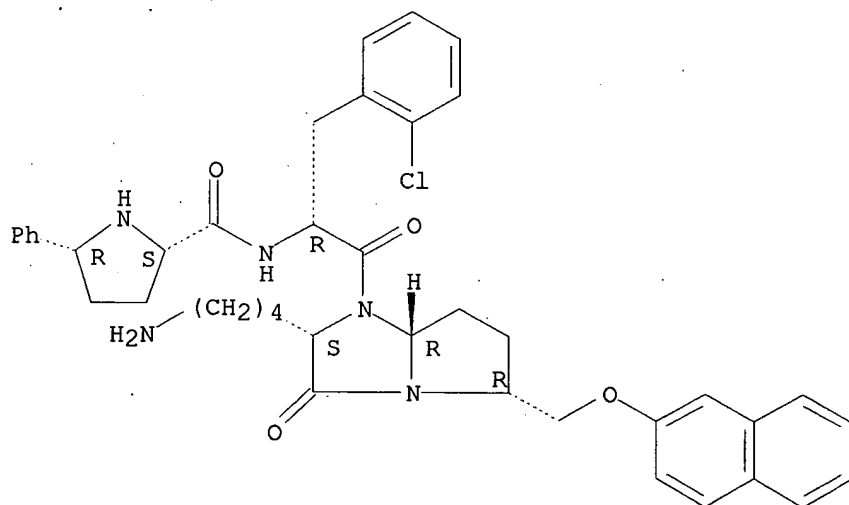
Absolute stereochemistry.



RN 497935-54-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

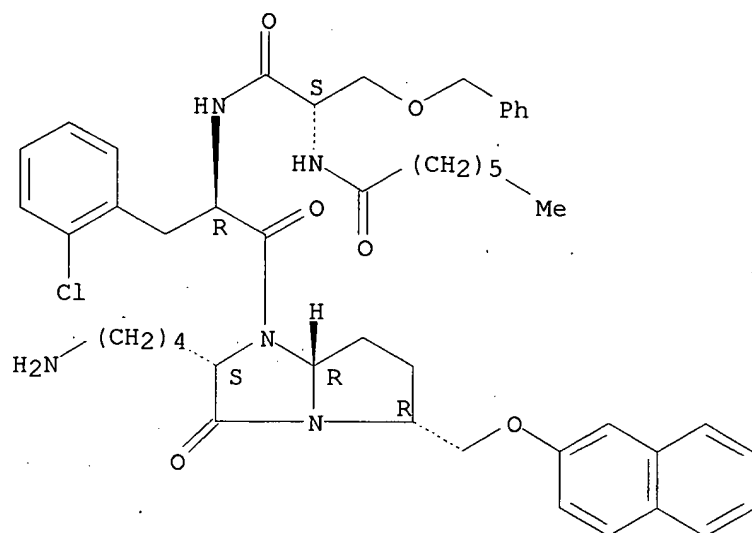
Absolute stereochemistry.



RN 497935-55-4 CAPLUS

CN Heptanamide, N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

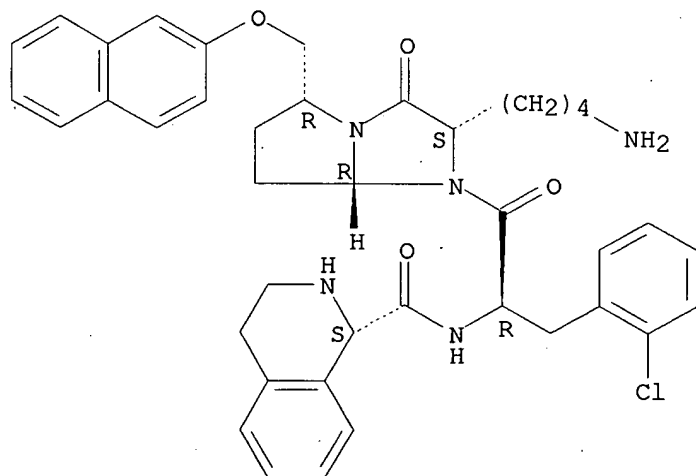
Absolute stereochemistry.



RN 497935-56-5 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1S)- (9CI) (CA INDEX NAME)

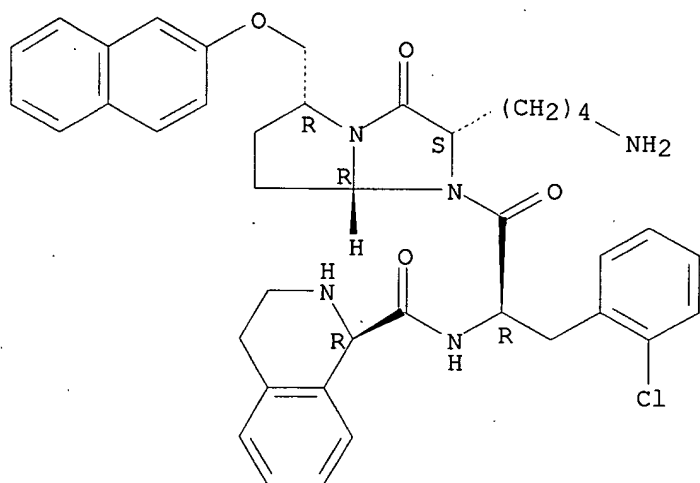
*Absolute stereochemistry.



RN 497935-57-6 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1R)- (9CI) (CA INDEX NAME)

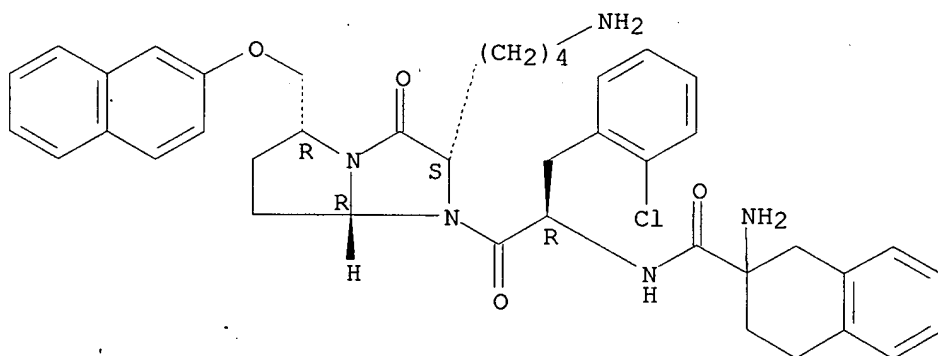
Absolute stereochemistry.



RN 497935-58-7 CAPLUS

CN 2-Naphthalenecarboxamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

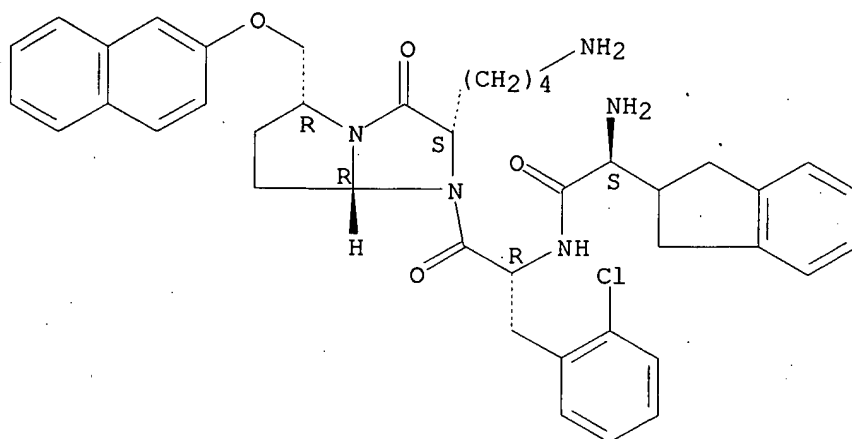
Absolute stereochemistry.



RN 497935-59-8 CAPLUS

CN 1H-Indene-2-acetamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (αS)- (9CI) (CA INDEX NAME)

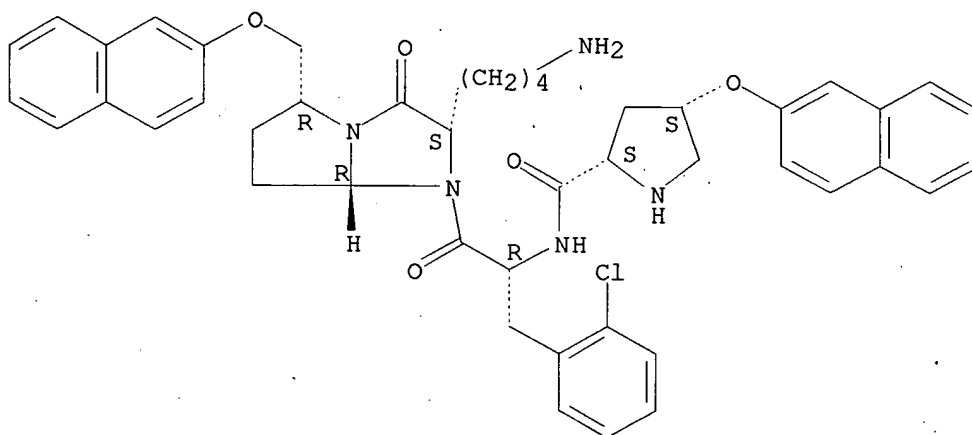
Absolute stereochemistry.



RN 497935-60-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(2-naphthalenyloxy)-, (2S,4S)- (9CI)
(CA INDEX NAME)

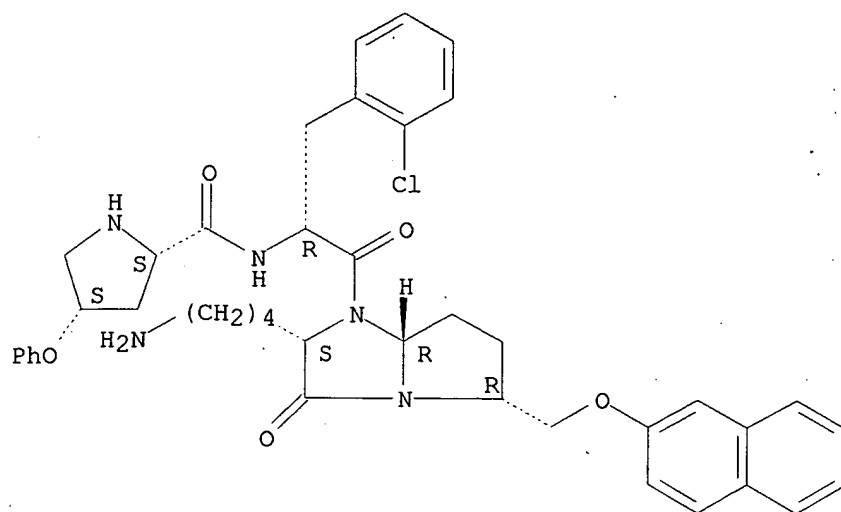
Absolute stereochemistry.



RN 497935-61-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-phenoxy-, (2S,4S)- (9CI) (CA INDEX NAME)

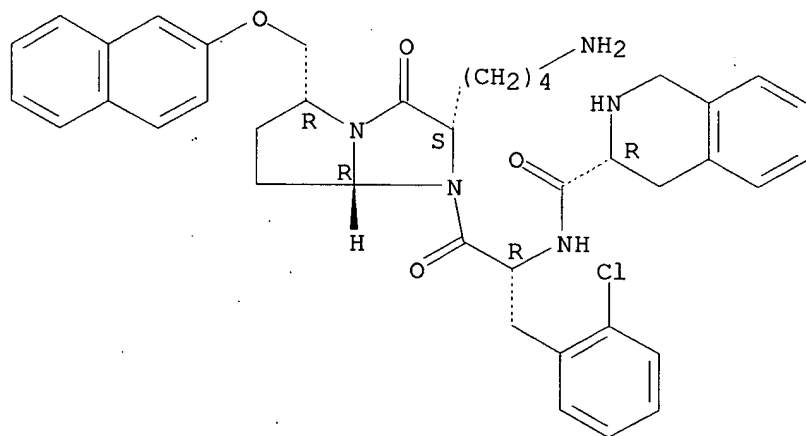
Absolute stereochemistry.



RN 497935-62-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-(9CI) (CA INDEX NAME)

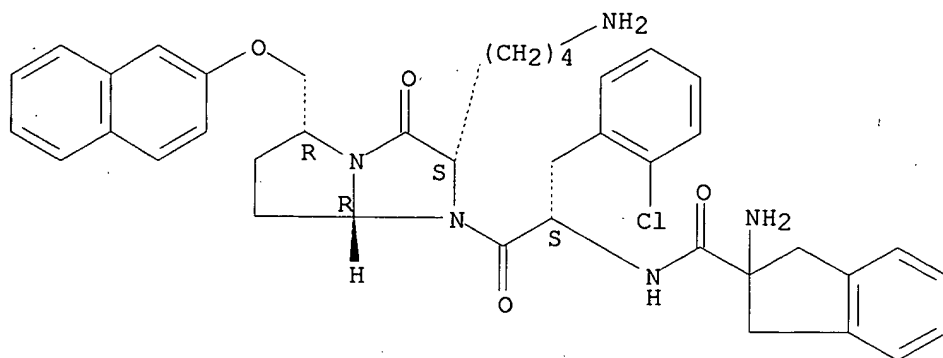
Absolute stereochemistry.



RN 497935-63-4 CAPLUS

CN 1H-Indene-2-carboxamide, 2-amino-N-[(1S)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

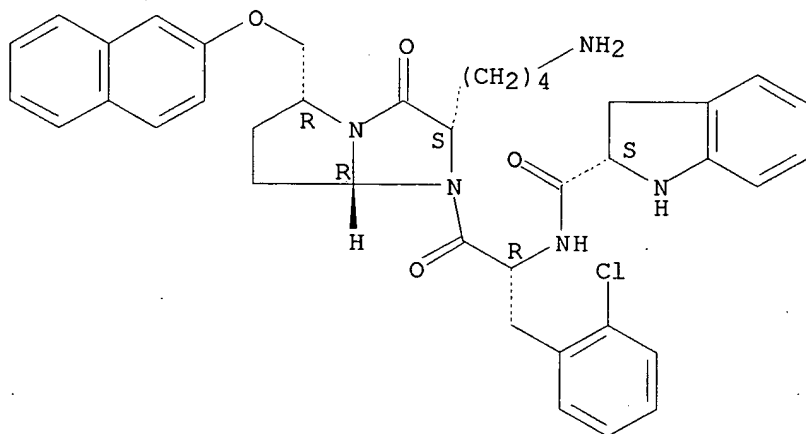
Absolute stereochemistry.



RN 497935-64-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[(1R)-2-[(2S, 5R, 7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

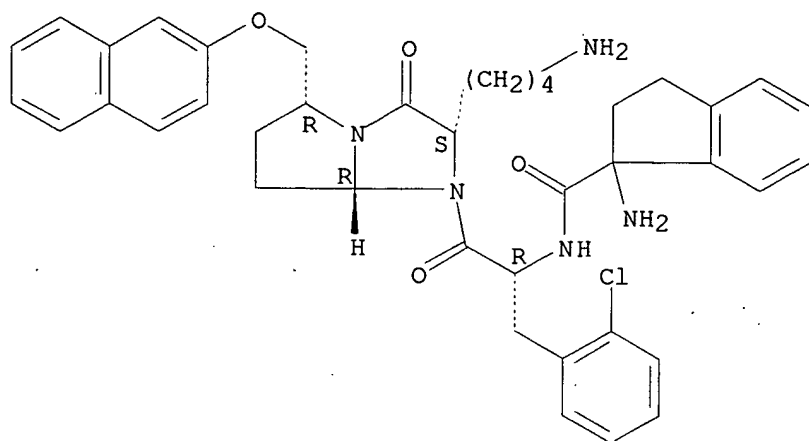
Absolute stereochemistry.



RN 497935-65-6 CAPLUS

CN 1H-Indene-1-carboxamide, 1-amino-N-[(1R)-2-[(2S, 5R, 7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

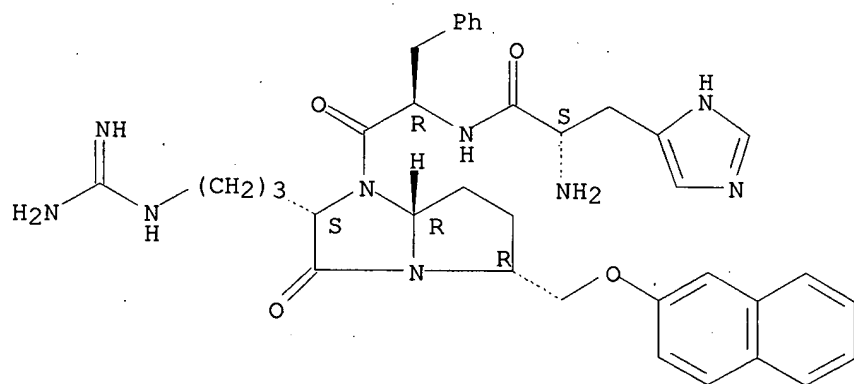
Absolute stereochemistry.



RN 497935-66-7 CAPLUS

CN 1H-Imidazole-4-propanamide, α -amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (α S)-(9CI) (CA INDEX NAME)

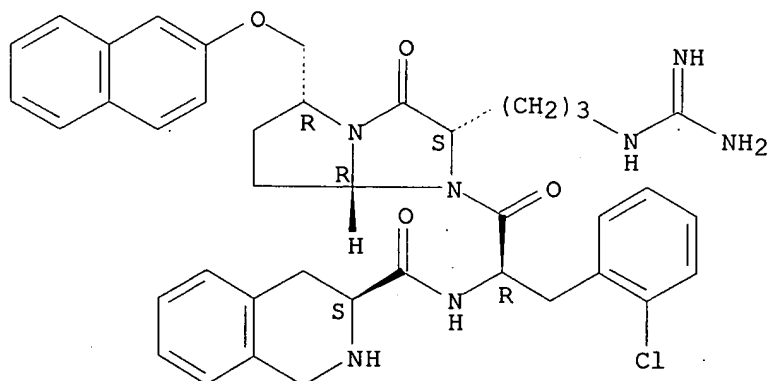
Absolute stereochemistry.



RN 497935-67-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-(9CI) (CA INDEX NAME)

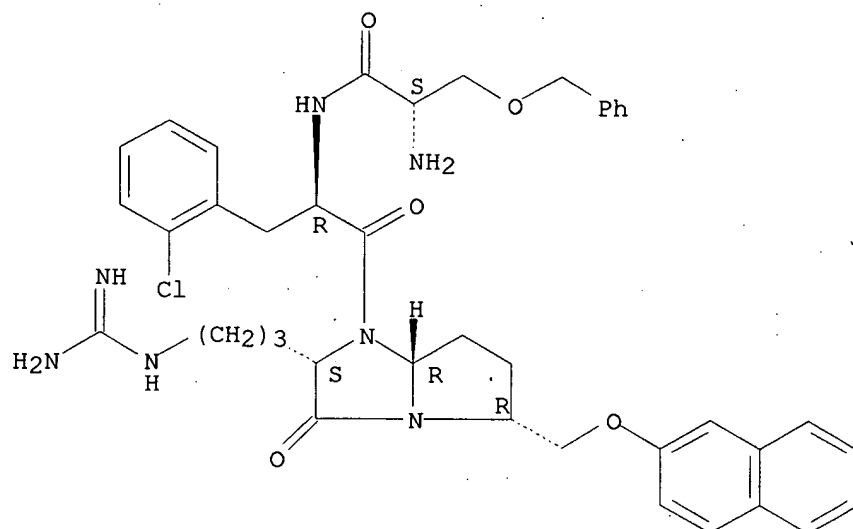
Absolute stereochemistry.



RN 497935-68-9 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-
[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-
oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-
3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

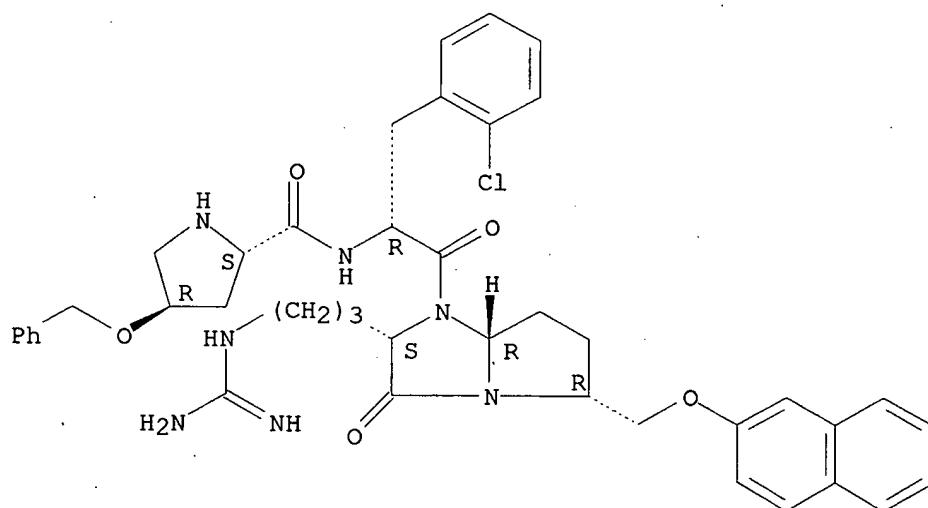
Absolute stereochemistry.



RN 497935-69-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-
[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-
oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-
4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

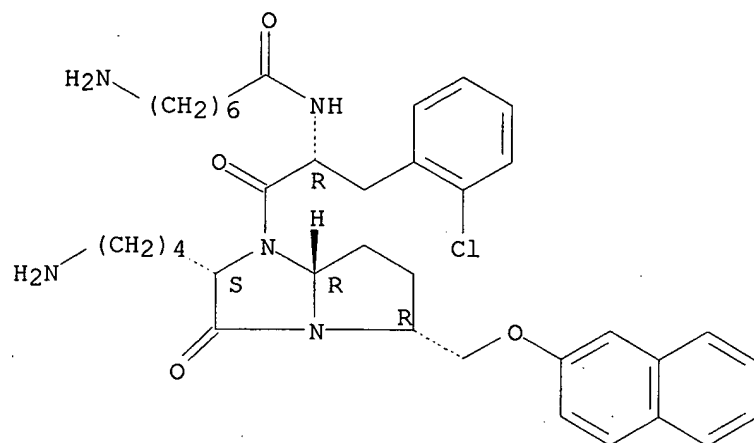
Absolute stereochemistry.



RN 497935-70-3 CAPLUS

CN Heptanamide, 7-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-
[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-
chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

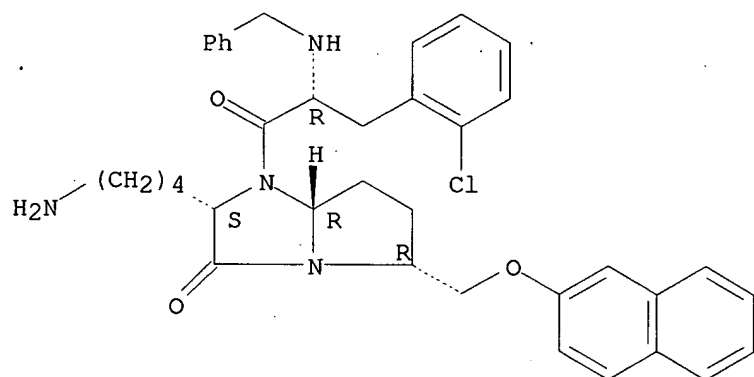
Absolute stereochemistry.



RN 497935-71-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-3-(2-chlorophenyl)-1-oxo-2-[(phenylmethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

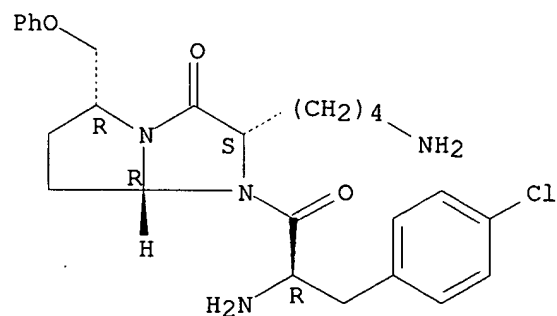
Absolute stereochemistry.



RN 497935-72-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

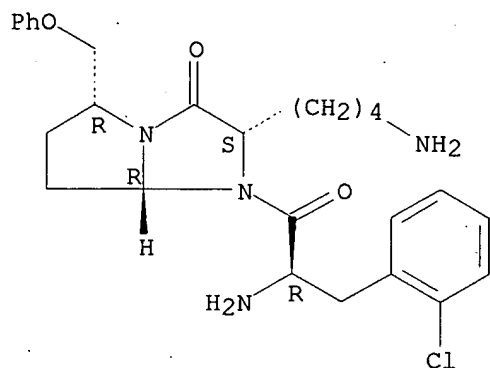


RN 497935-73-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(2-

chlorophenyl)-1-oxopropyl]hexahydro-5-(phoxymethyl)-, (2S,5R,7aR)- (9CI)
(CA INDEX NAME)

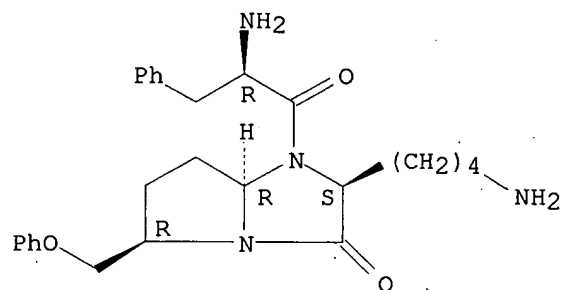
Absolute stereochemistry.



RN 497935-74-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(phoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

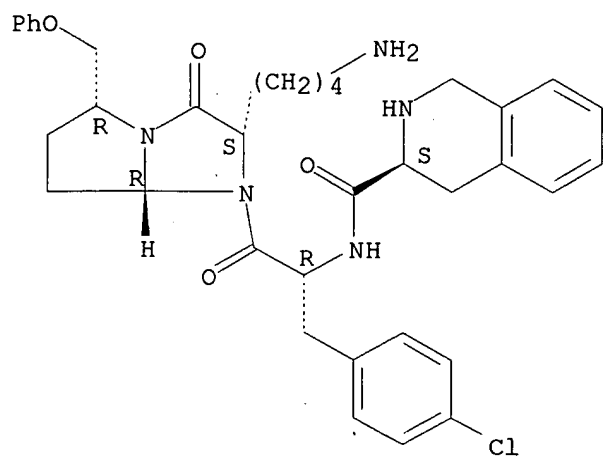
Absolute stereochemistry.



RN 497935-75-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-(phoxymethyl)-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

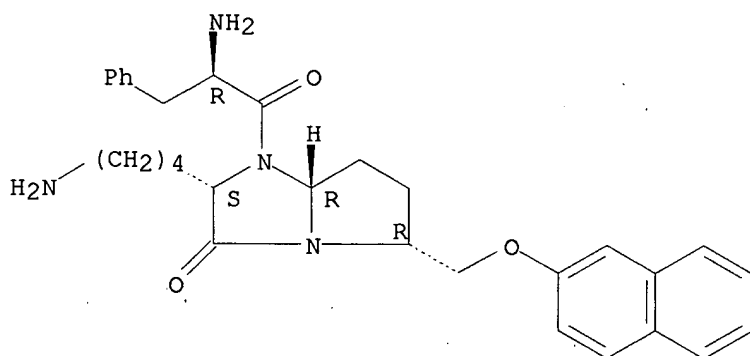
Absolute stereochemistry.



RN 497935-76-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

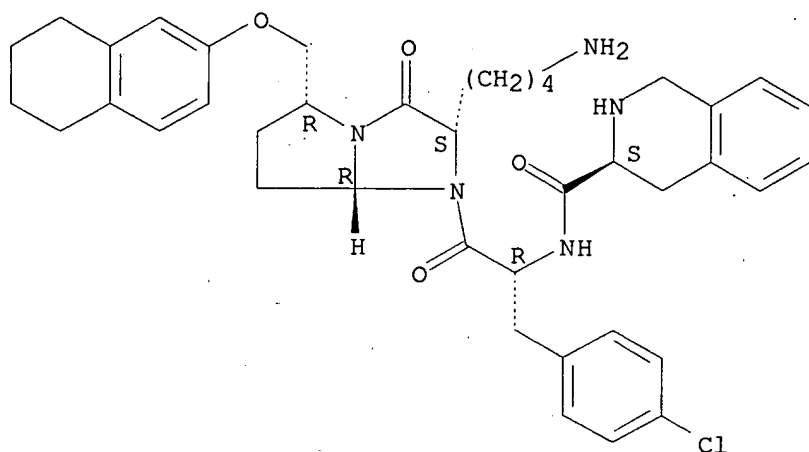
Absolute stereochemistry.



RN 497935-77-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

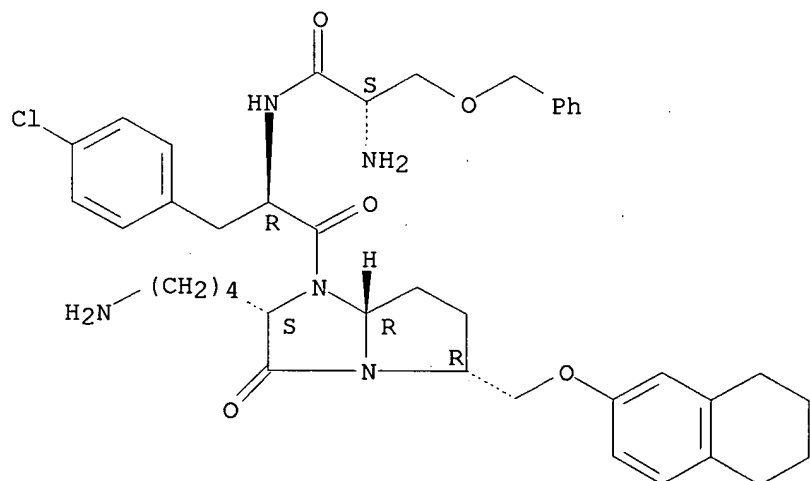
Absolute stereochemistry.



RN 497935-78-1 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[5,6,7,8-tetrahydro-2-naphthalenyl]oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

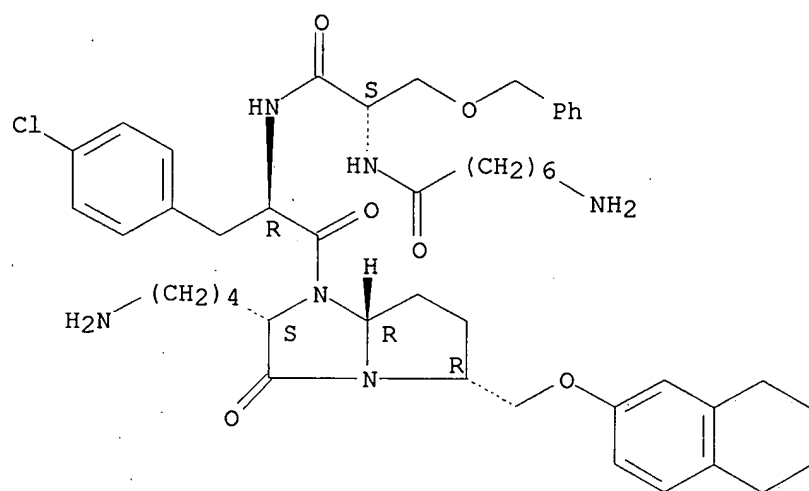
Absolute stereochemistry.



RN 497935-79-2 CAPLUS

CN Heptanamide, 7-amino-N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[5,6,7,8-tetrahydro-2-naphthalenyl]oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

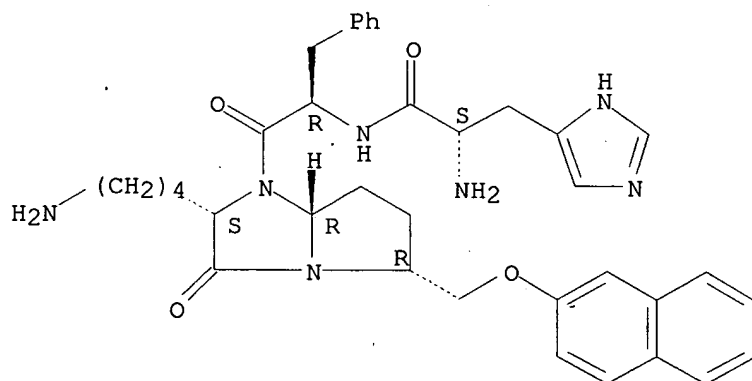
Absolute stereochemistry.



RN 497935-80-5 CAPLUS

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

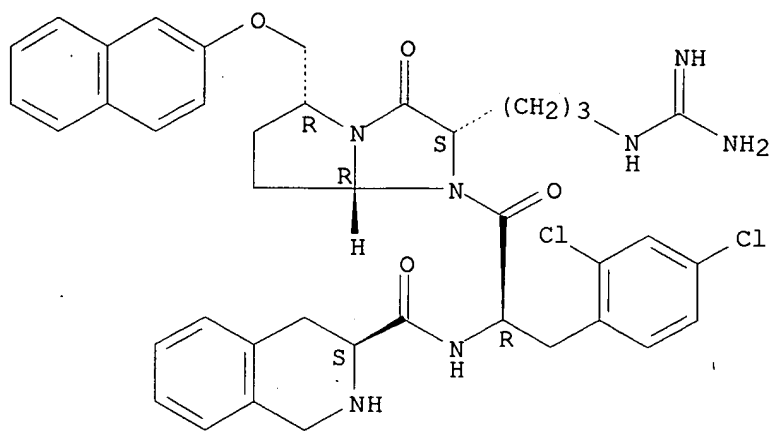
Absolute stereochemistry.



RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

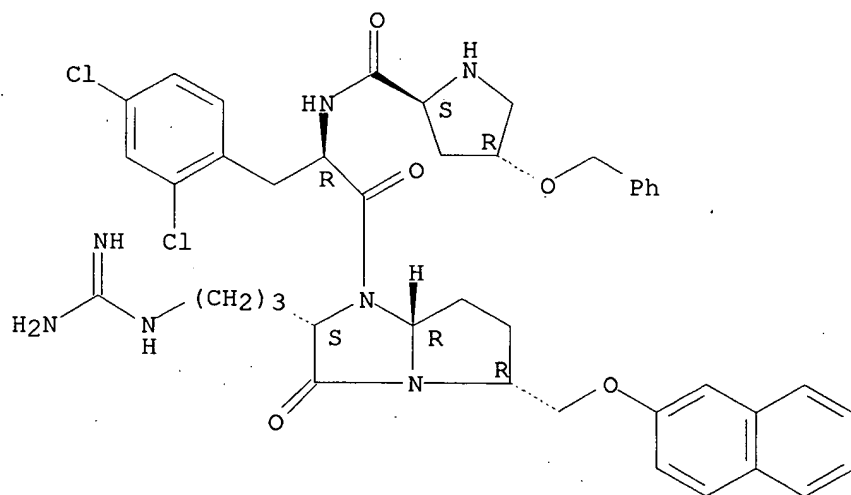
Absolute stereochemistry.



RN 497935-82-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

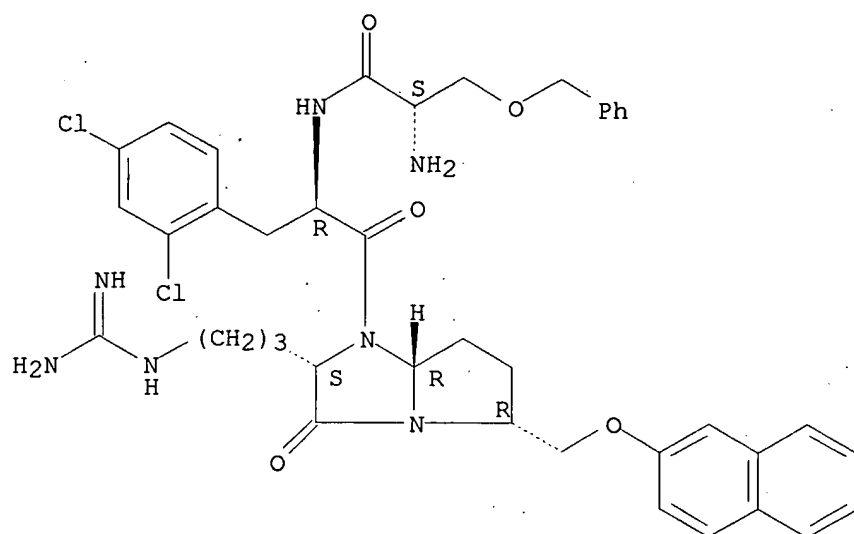
Absolute stereochemistry.



RN 497935-83-8 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

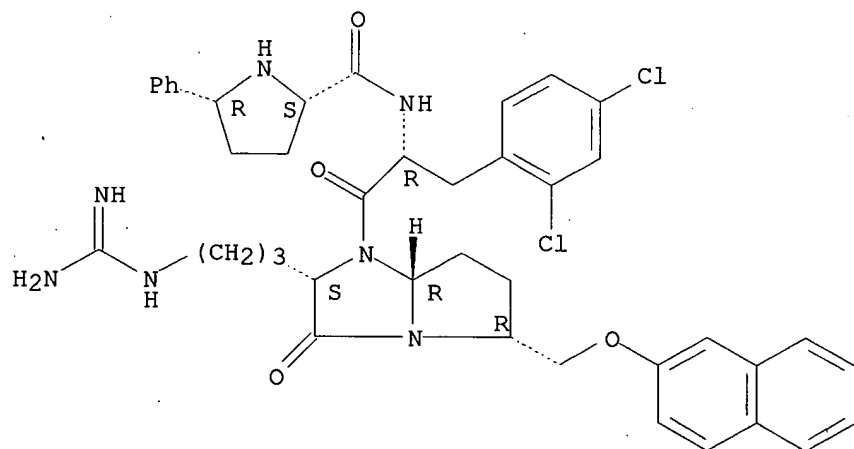
Absolute stereochemistry.



RN 497935-84-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

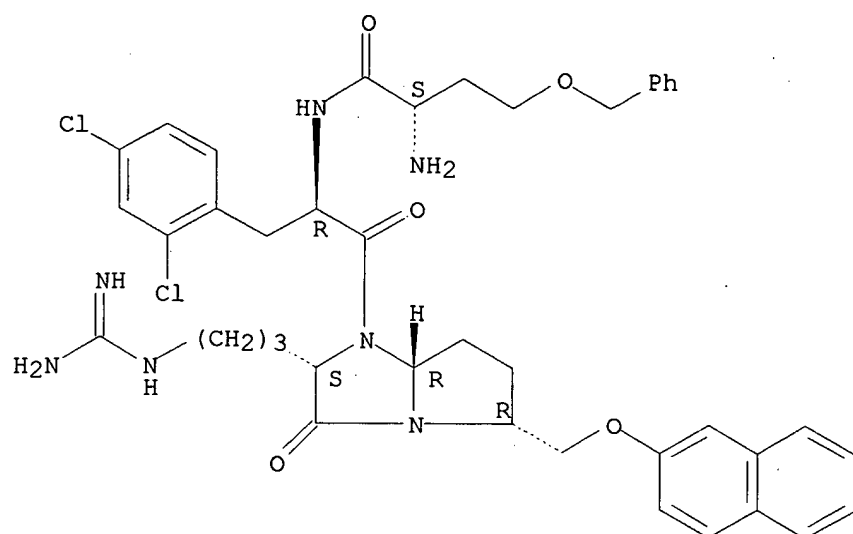
Absolute stereochemistry.



RN 497935-85-0 CAPLUS

CN Butanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

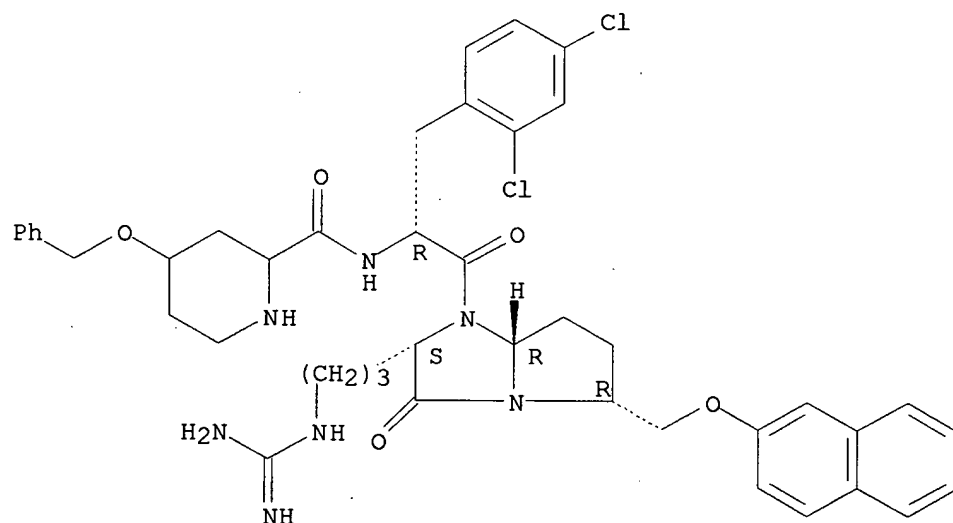
Absolute stereochemistry.



RN 497935-86-1 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-(9CI) (CA INDEX NAME)

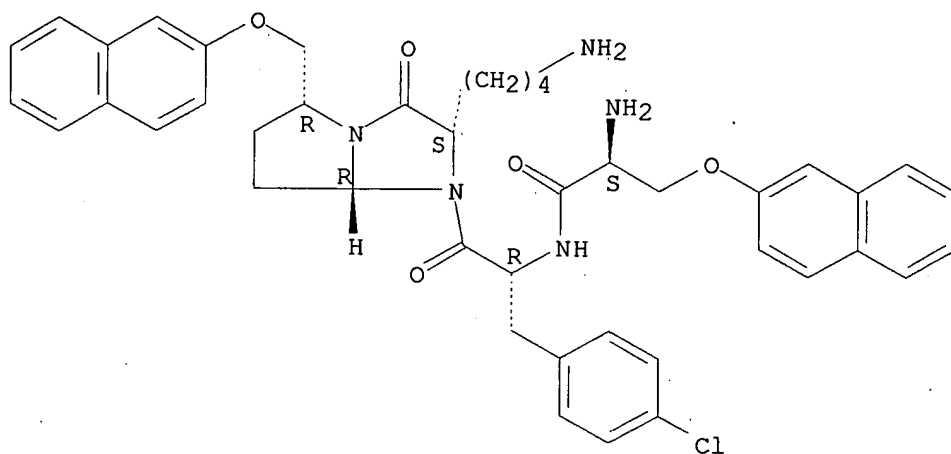
Absolute stereochemistry.



RN 497935-87-2 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-naphthalenyloxy)-, (2S)- (9CI) (CA INDEX NAME)

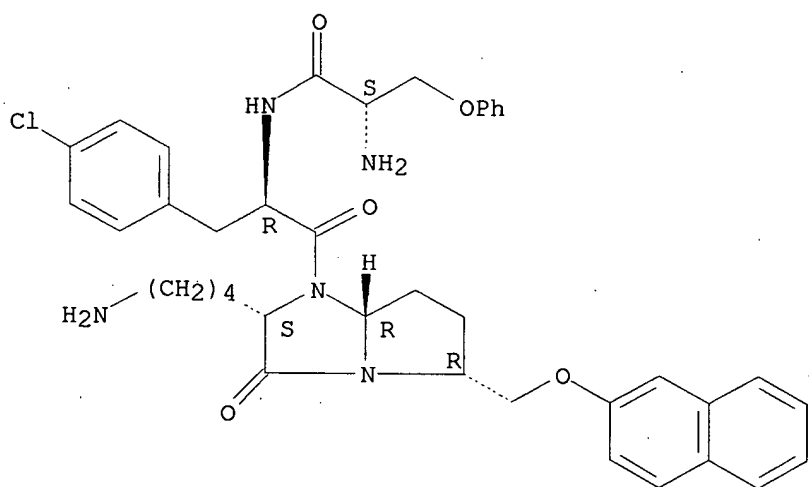
Absolute stereochemistry.



RN 497935-88-3 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-phenoxy-, (2S)- (9CI) (CA INDEX NAME)

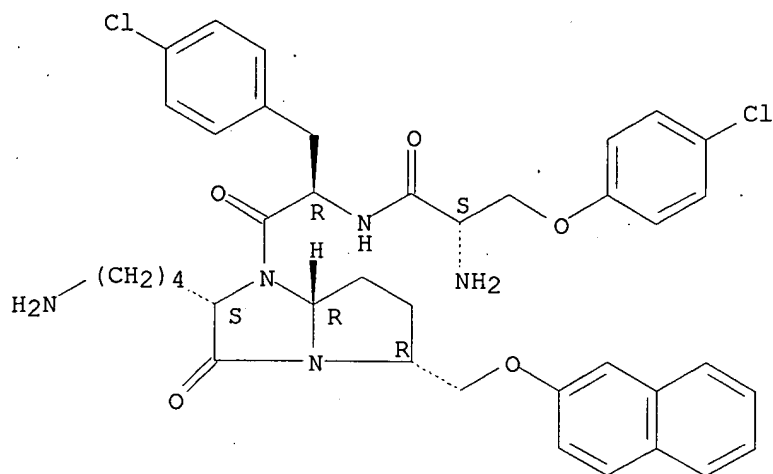
Absolute stereochemistry.



RN 497935-89-4 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(4-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

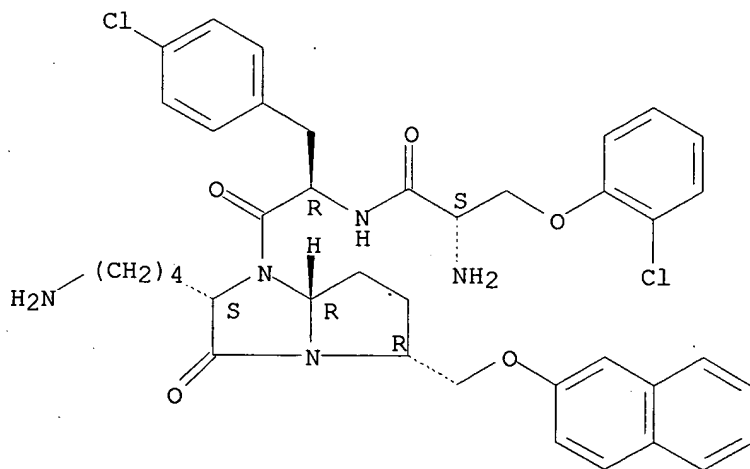
Absolute stereochemistry.



RN 497935-90-7 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:961972 CAPLUS
DN 143:248665
TI Preparation of bicyclic melanocortin-specific compounds
IN Sharma, Shubh D.; Shi, Yi-Qun; Wu, Zhijun; Rajpurohit, Ramesh
PA Palatin Technologies, Inc., USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005079574	A1	20050901	WO 2004-US1505	20040121
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

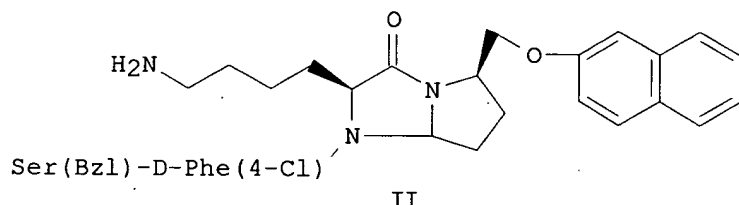
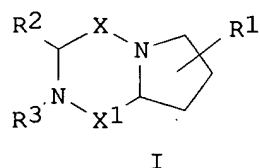
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WO 2004-US1505

20040121

OS MARPAT 143:248665

GI



AB The invention discloses melanocortin receptor (MC-R)-specific bicyclic compds. having the structure I [R1 is L1-J, where L1 is a linker and J is a ring structure; R2 is (CH2)1-6-W, where W is a heteroarom. unit with at least one cationic center, hydrogen bond donor or acceptor in which at least one atom is N; R3 is L2-Q, where L2 is a linker and Q is (un)substituted Ph or naphthyl; X = CH2 or CO; X1 is null or CH2], or stereoisomers or pharmaceutically-acceptable salts, which are agonists, antagonists or mixed agonists and antagonists at one or more melanocortin receptors and have utility in the treatment of melanocortin receptor-related disorders and conditions. Thus, pyrroloimidazolyloxy peptide II was prepared and assayed for competitive binding against 128I-NDP- α -MSH (90, 14, 81 and 86% inhibition for MC1-R, MC3-R, MC4-R and MC5-R, resp., at 1 μ M).

IT 497935-48-5P 497935-49-6P 497935-50-9P
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 497935-54-3P 497935-55-4P 497935-56-5P
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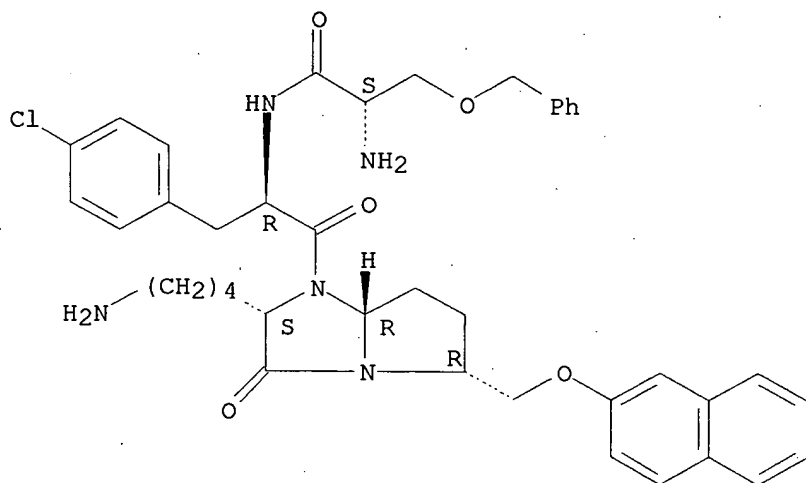
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(bicyclic melanocortin receptor-specific compds. for treating eating
 disorders and sexual dysfunction)

RN 497935-48-5 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-
 [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-
 chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA
 INDEX NAME)

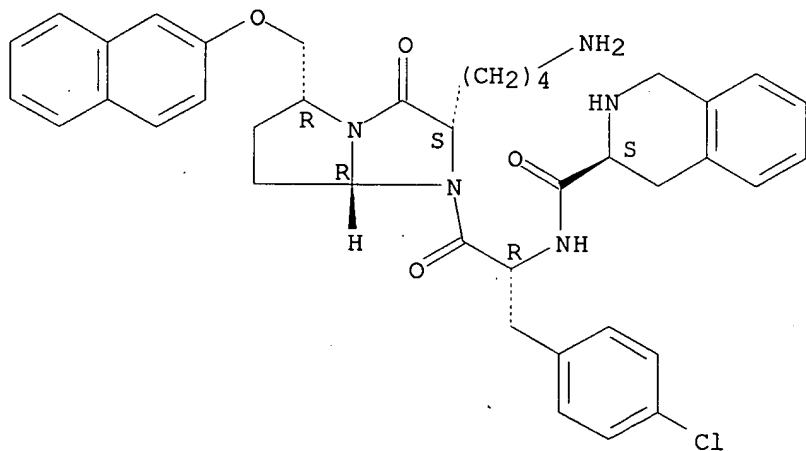
Absolute stereochemistry.



RN 497935-49-6 CAPLUS

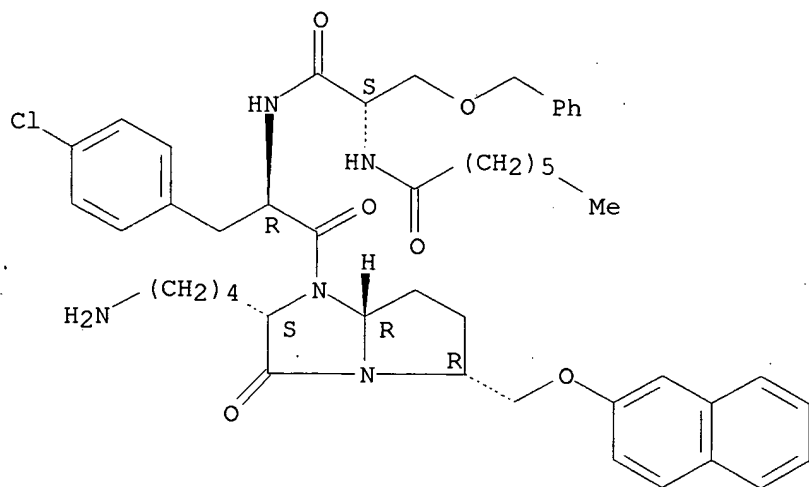
CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-
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 a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-
 , (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



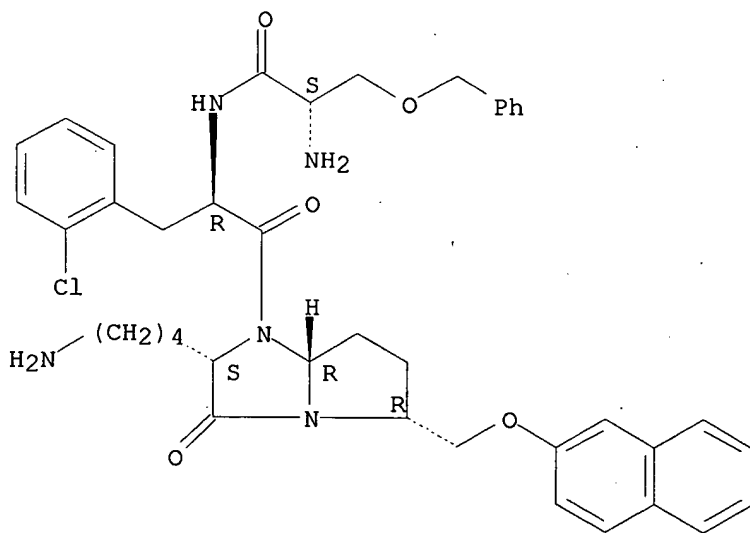
RN 497935-50-9 CAPLUS
 CN Heptanamide, N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



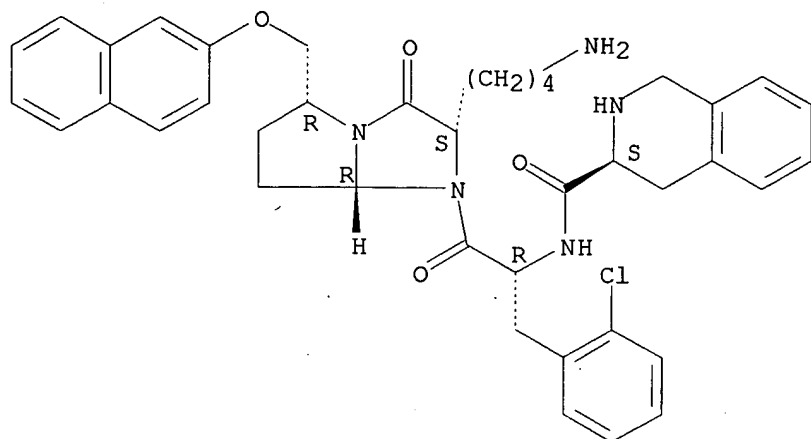
RN 497935-51-0 CAPLUS
 CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 497935-52-1 CAPLUS
 CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

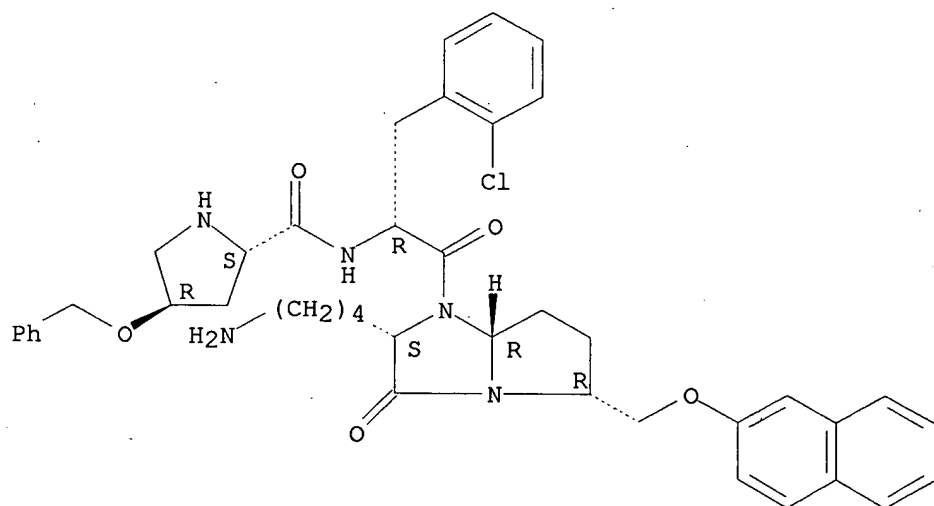
Absolute stereochemistry.



RN 497935-53-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

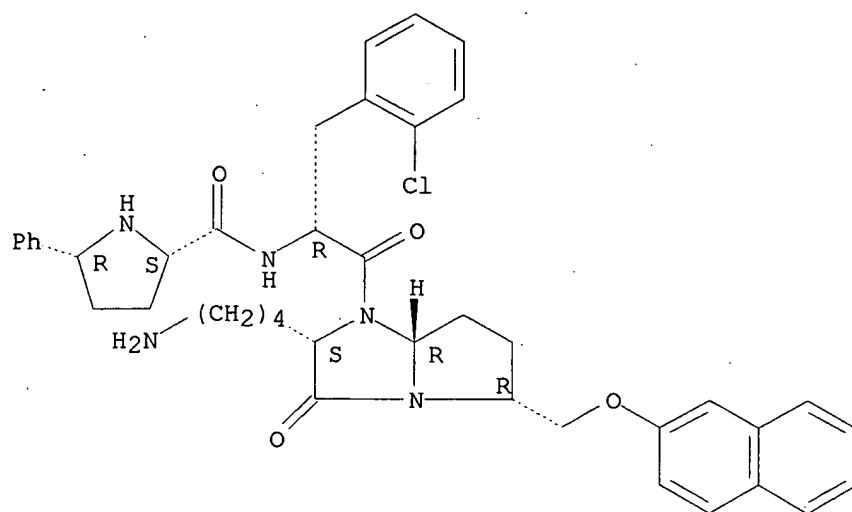
Absolute stereochemistry.



RN 497935-54-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

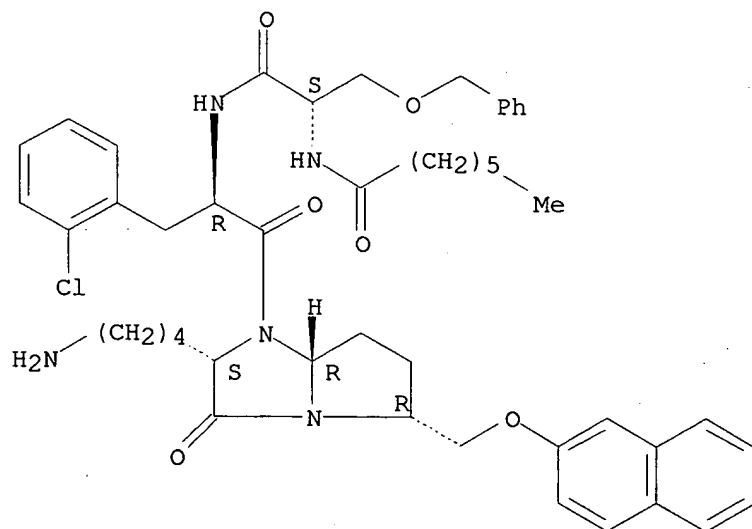
Absolute stereochemistry.



RN 497935-55-4 CAPLUS

CN Heptanamide, N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

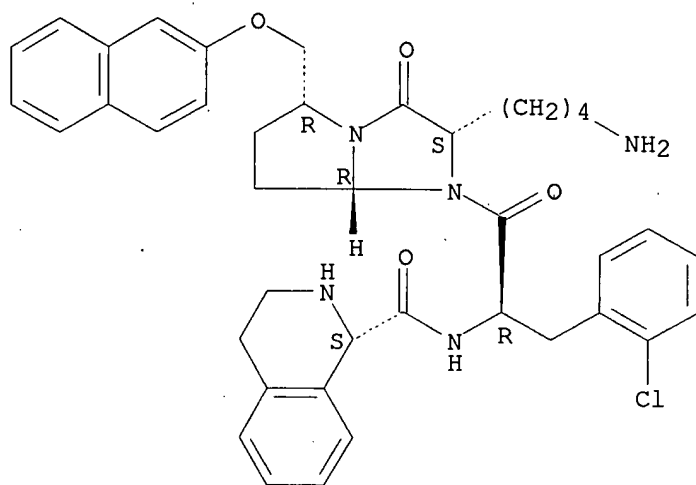
Absolute stereochemistry.



RN 497935-56-5 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1S)- (9CI) (CA INDEX NAME)

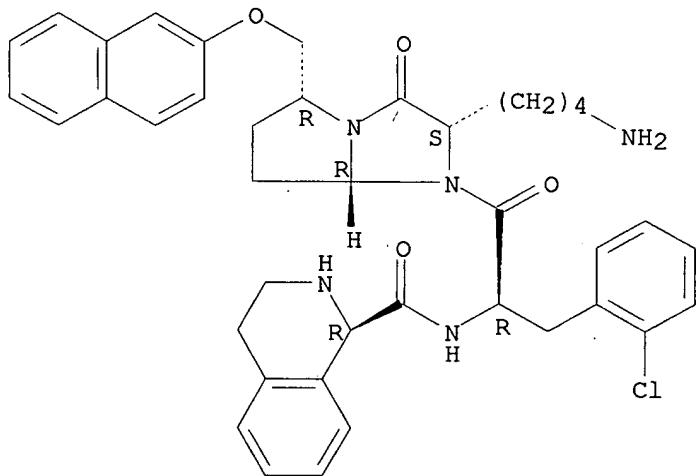
Absolute stereochemistry.



RN 497935-57-6 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1R)-(9CI) (CA INDEX NAME)

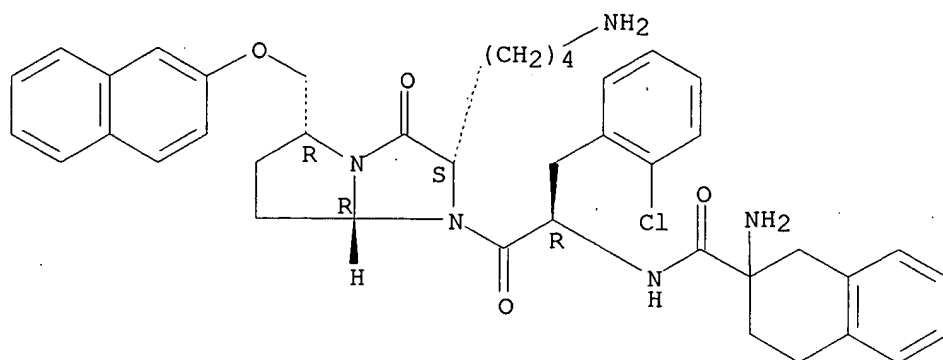
Absolute stereochemistry.



RN 497935-58-7 CAPLUS

CN 2-Naphthalenecarboxamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (9CI) (CA INDEX NAME)

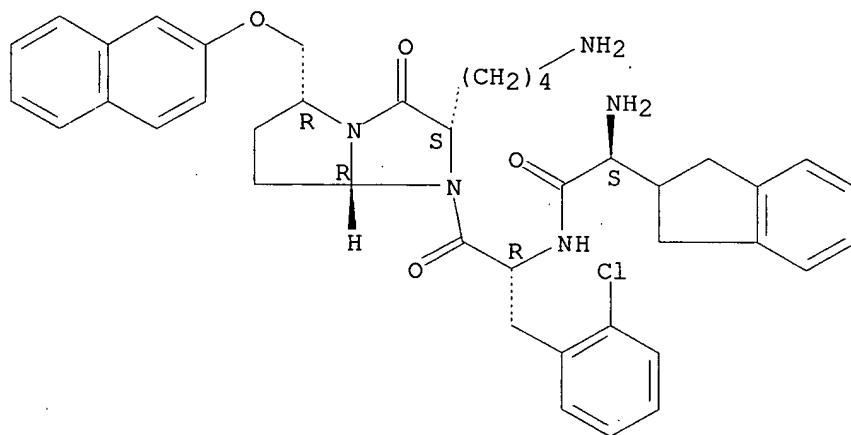
Absolute stereochemistry.



RN 497935-59-8 CAPLUS

CN 1H-Indene-2-acetamide, α -amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (α S)- (9CI) (CA INDEX NAME)

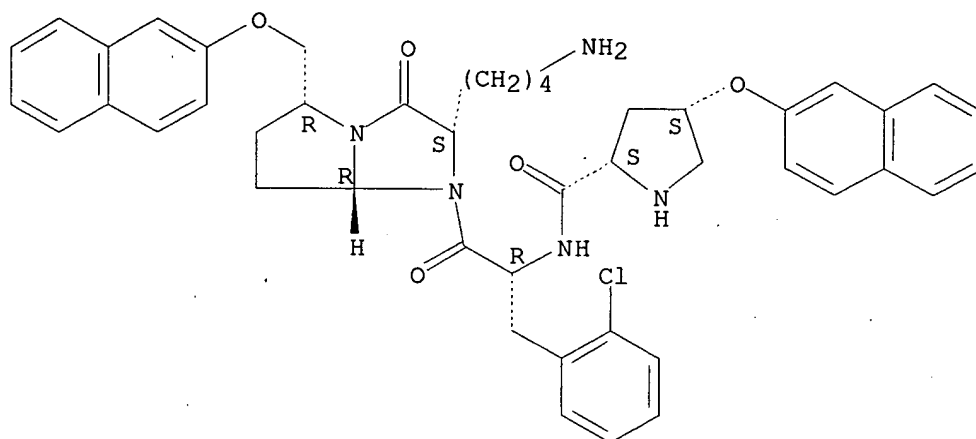
Absolute stereochemistry.



RN 497935-60-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(2-naphthalenyloxy)-, (2S,4S)- (9CI) (CA INDEX NAME)

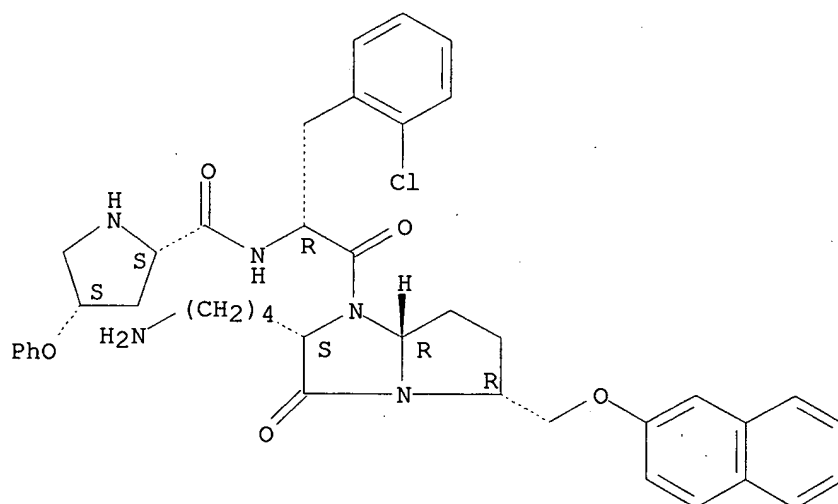
Absolute stereochemistry.



RN 497935-61-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-phenoxy-, (2S,4S)- (9CI) (CA INDEX NAME)

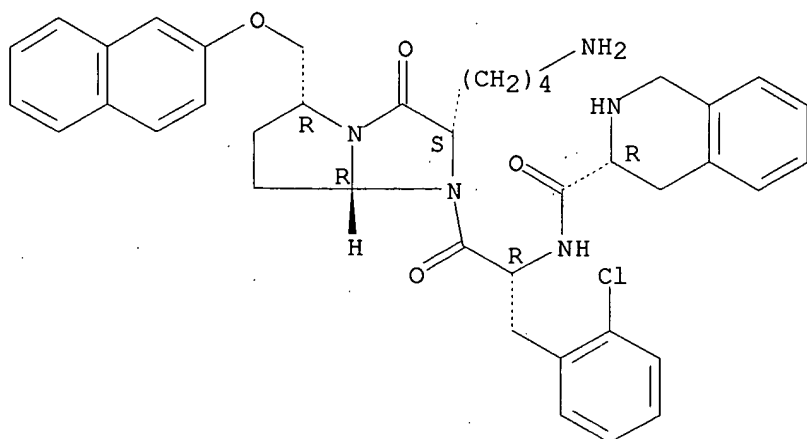
Absolute stereochemistry.



RN 497935-62-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

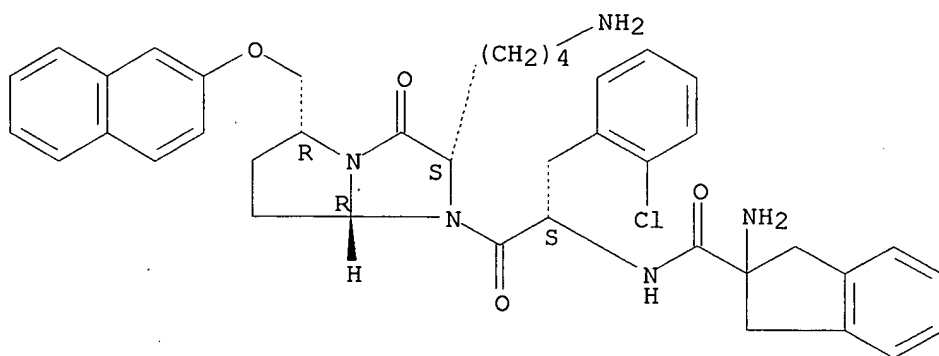
Absolute stereochemistry.



RN 497935-63-4 CAPLUS

CN 1H-Indene-2-carboxamide, 2-amino-N-[(1S)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI)
(CA INDEX NAME)

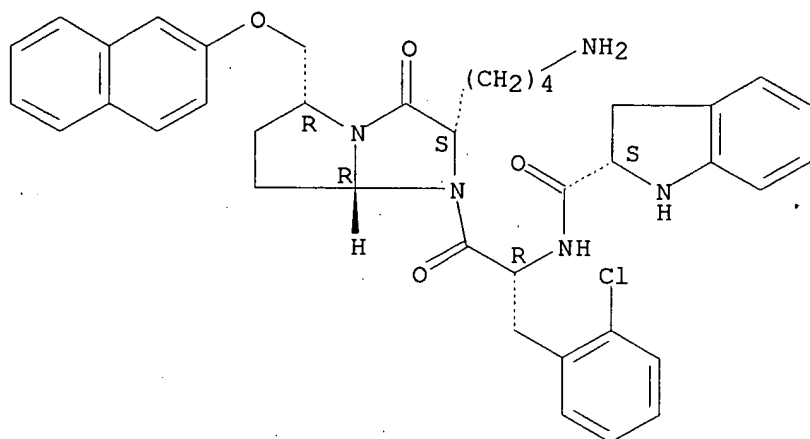
Absolute stereochemistry.



RN 497935-64-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

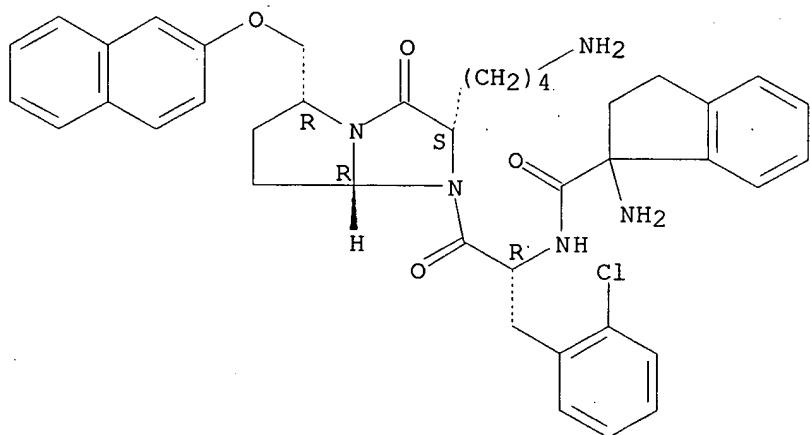
Absolute stereochemistry.



RN 497935-65-6 CAPLUS

CN 1H-Indene-1-carboxamide, 1-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI)
(CA INDEX NAME)

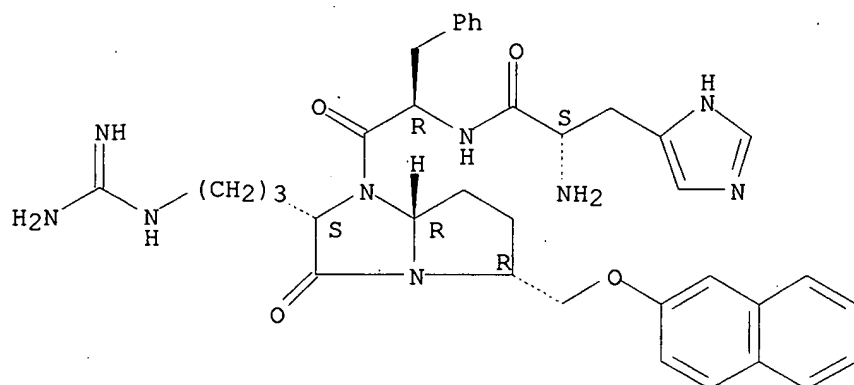
Absolute stereochemistry.



RN 497935-66-7 CAPLUS

CN 1H-Imidazole-4-propanamide, α -amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (α S)- (9CI) (CA INDEX NAME)

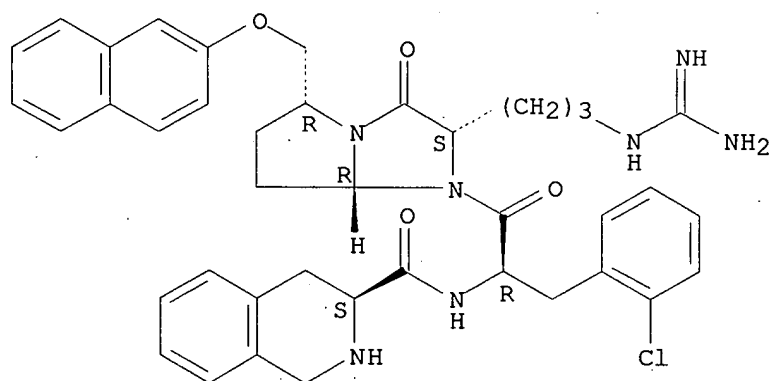
Absolute stereochemistry.



RN 497935-67-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

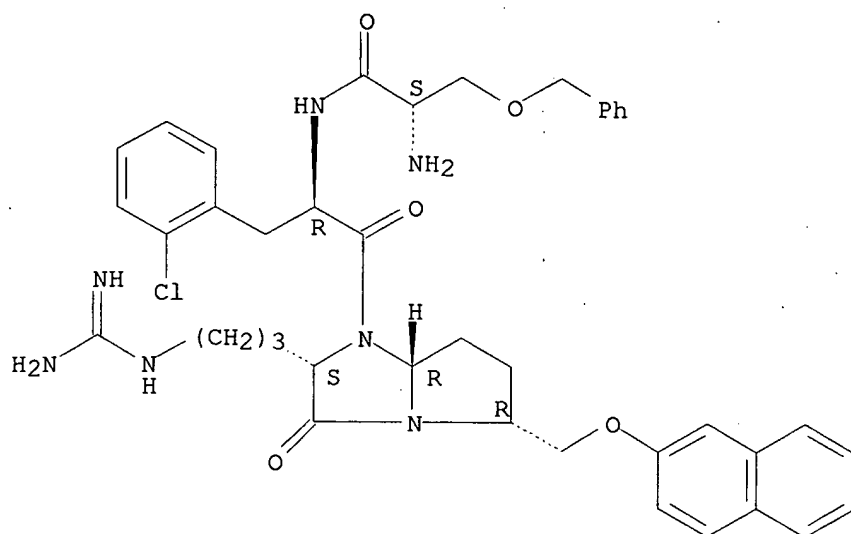
Absolute stereochemistry.



RN 497935-68-9 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

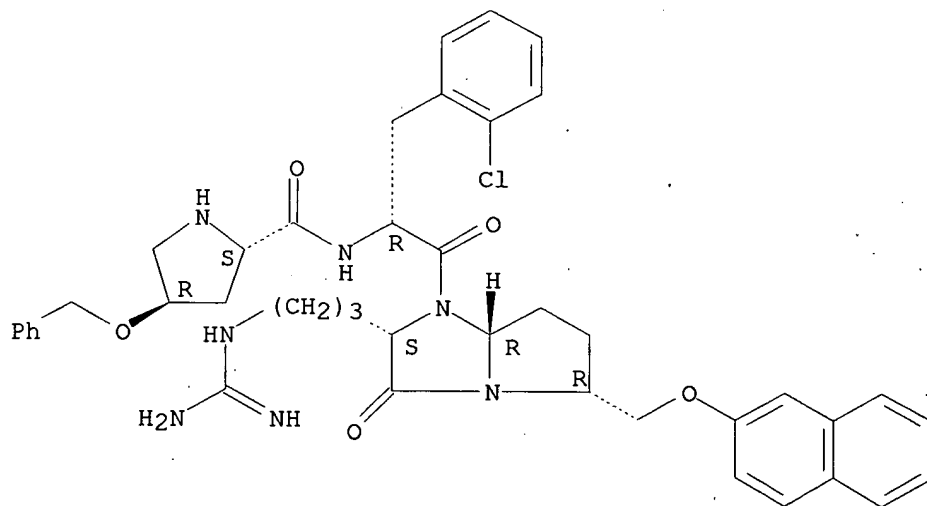
Absolute stereochemistry.



RN 497935-69-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

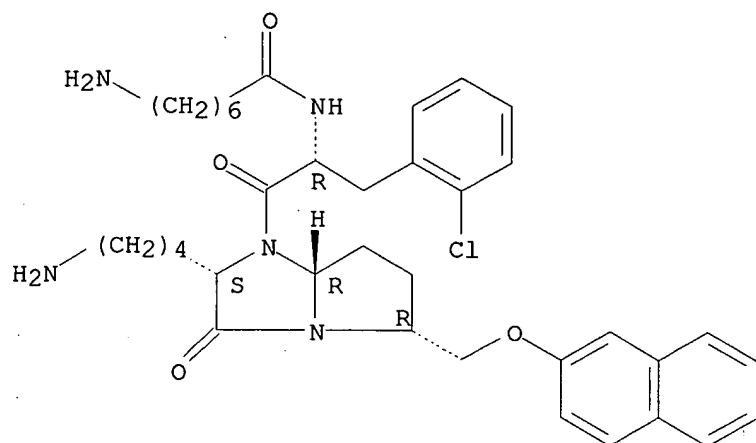
Absolute stereochemistry.



RN 497935-70-3 CAPLUS

CN Heptanamide, 7-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

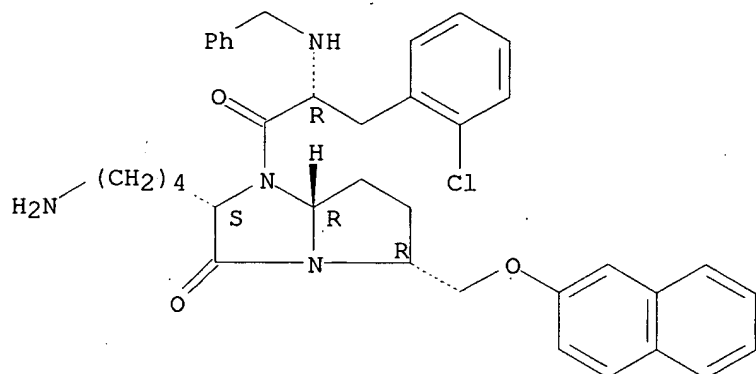
Absolute stereochemistry.



RN 497935-71-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-3-(2-chlorophenyl)-1-oxo-2-[(phenylmethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

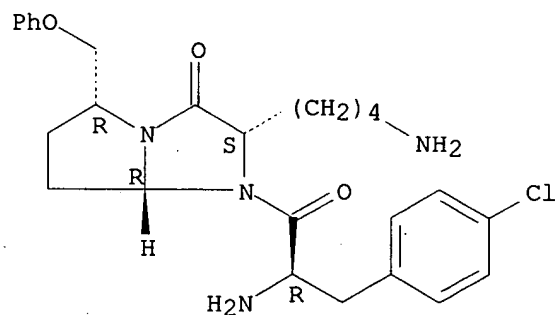
Absolute stereochemistry.



RN 497935-72-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

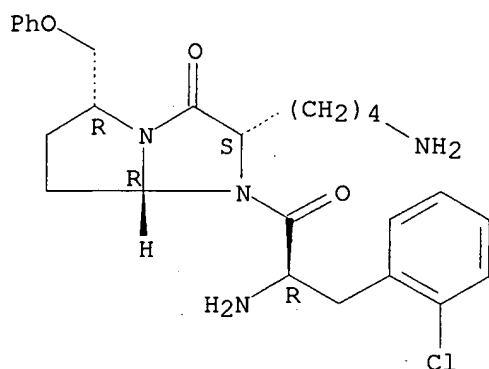


RN 497935-73-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI)

(CA INDEX NAME)

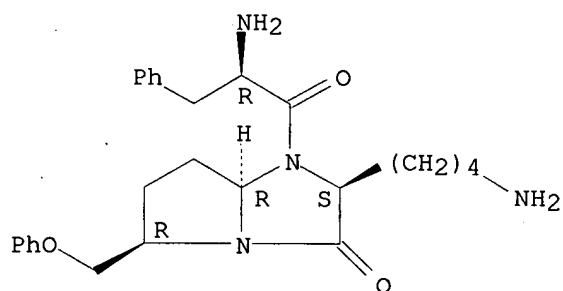
Absolute stereochemistry.



RN 497935-74-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(phoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

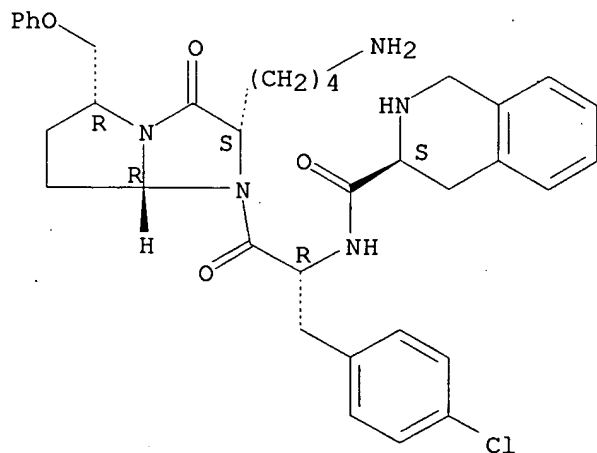
Absolute stereochemistry.



RN 497935-75-8 CAPLUS

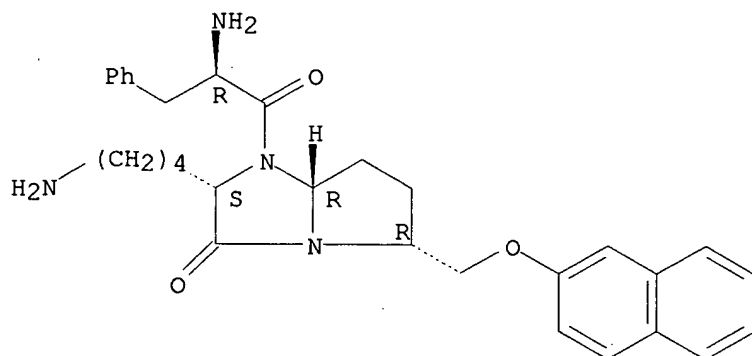
CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-(phoxymethyl)-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



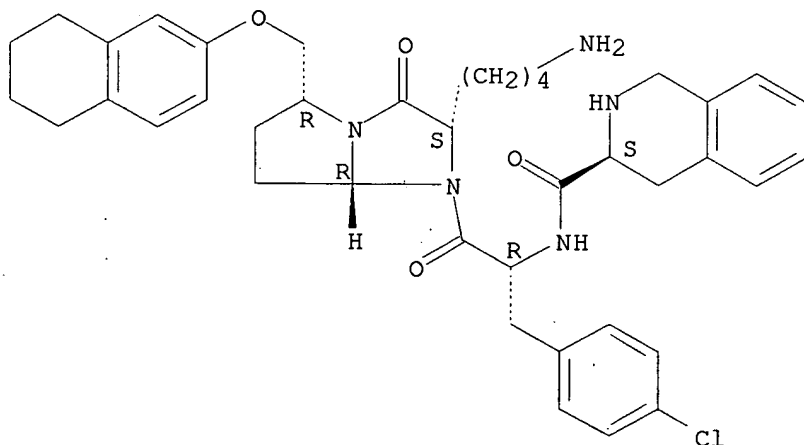
RN 497935-76-9 CAPLUS
 CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



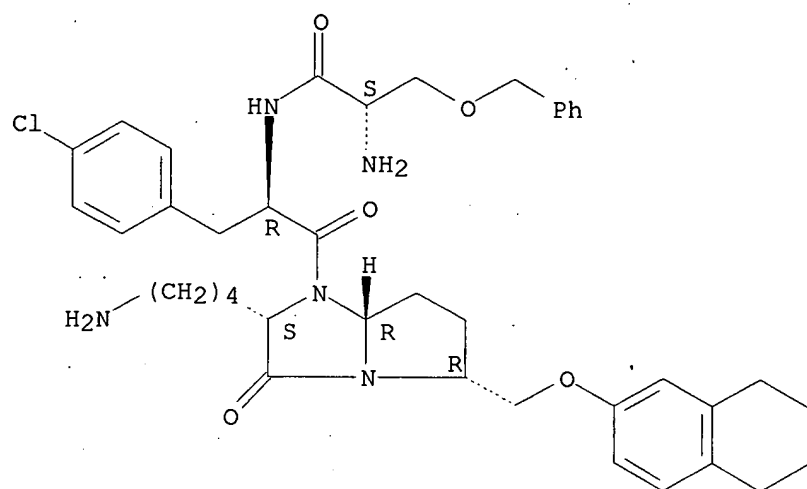
RN 497935-77-0 CAPLUS
 CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 497935-78-1 CAPLUS
 CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

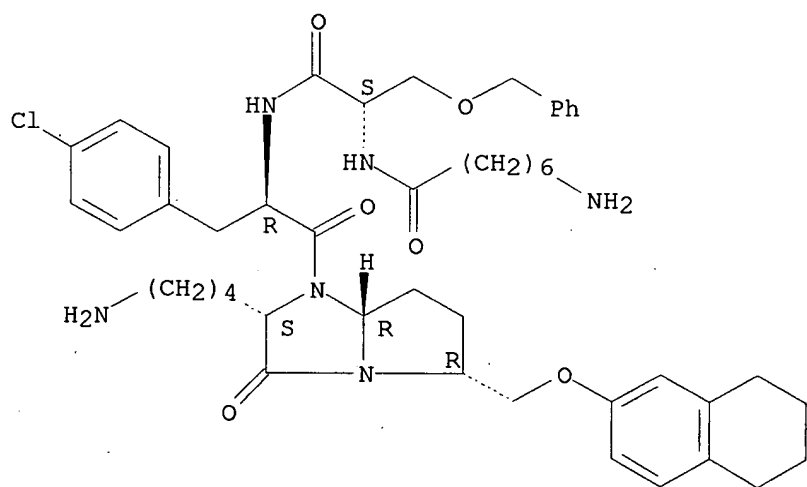
Absolute stereochemistry.



RN 497935-79-2 CAPLUS

CN Heptanamide, 7-amino-N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

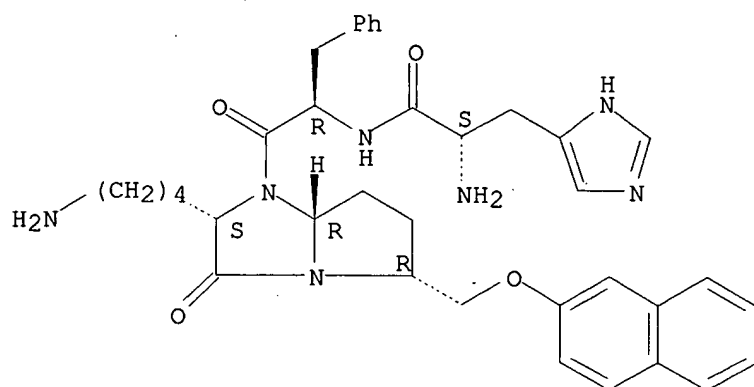
Absolute stereochemistry.



RN 497935-80-5 CAPLUS

CN 1H-Imidazole-4-propanamide, α -amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (α S)- (9CI) (CA INDEX NAME)

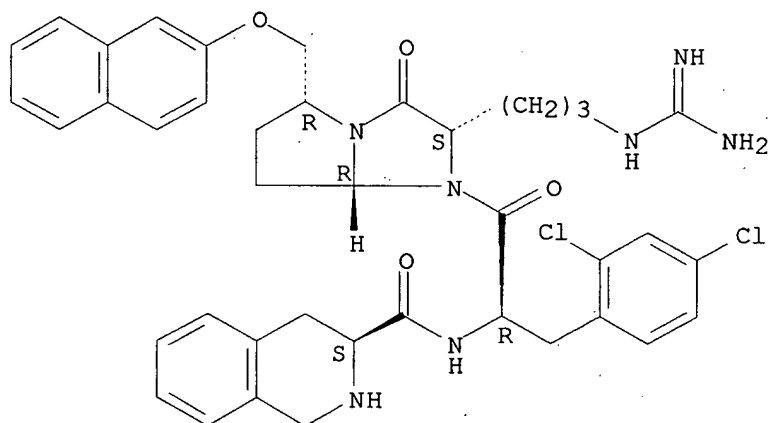
Absolute stereochemistry.



RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

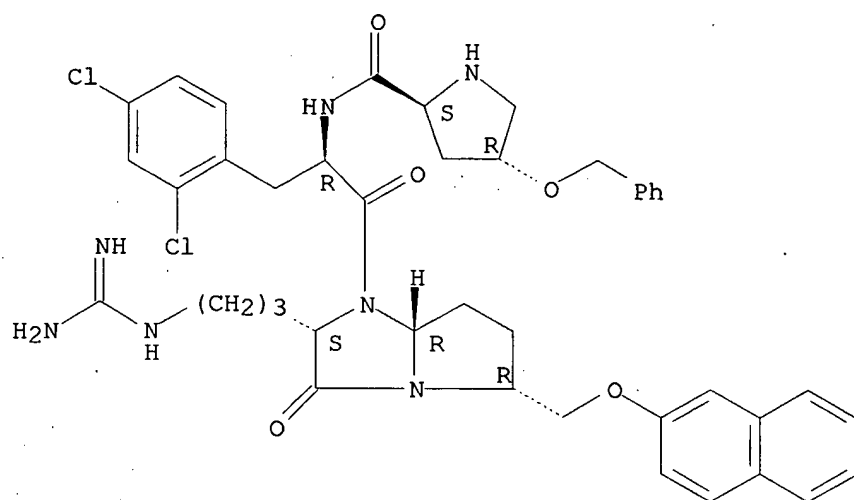
Absolute stereochemistry.



RN 497935-82-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

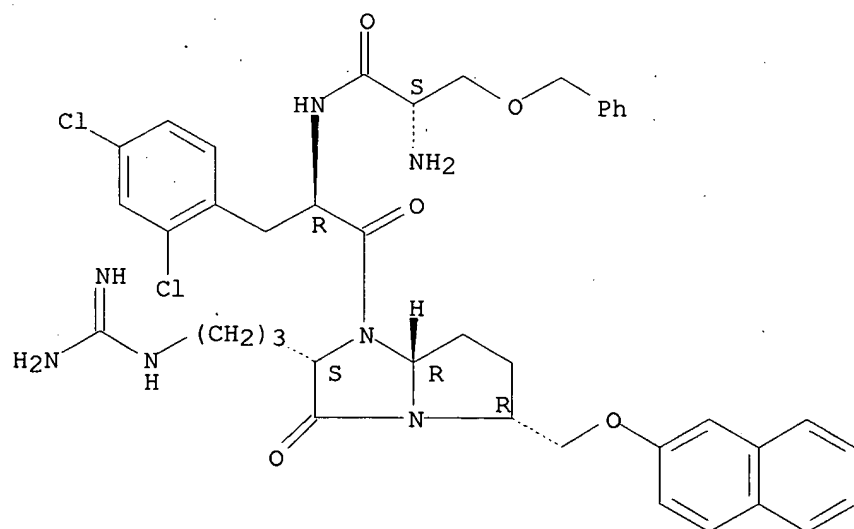
Absolute stereochemistry.



RN 497935-83-8 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

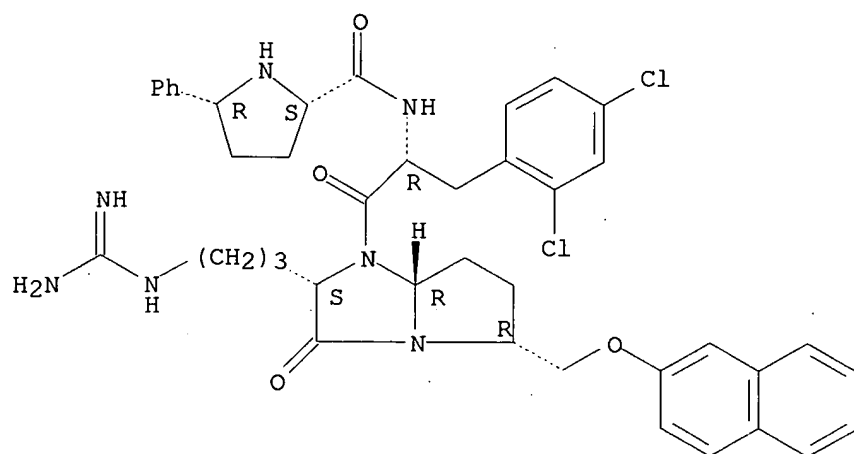
Absolute stereochemistry.



RN 497935-84-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

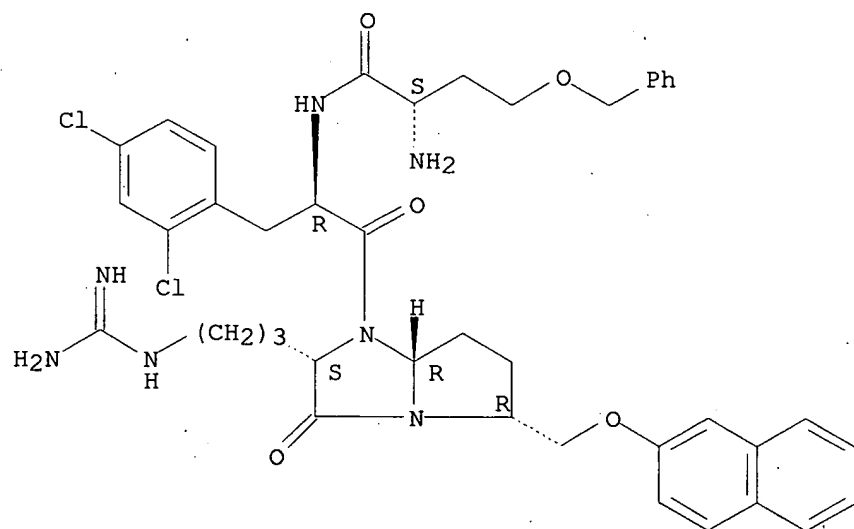
Absolute stereochemistry.



RN 497935-85-0 CAPLUS

CN Butanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

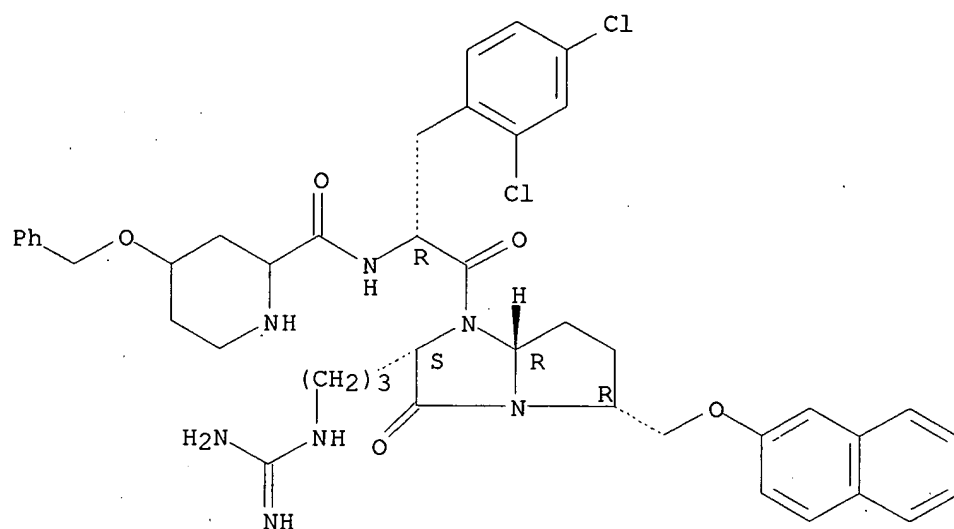
Absolute stereochemistry.



RN 497935-86-1 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

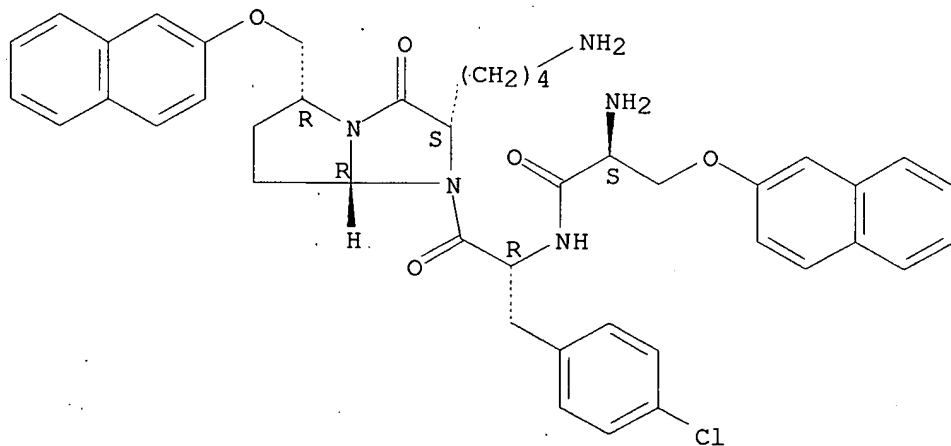
Absolute stereochemistry.



RN 497935-87-2 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-naphthalenyloxy)-, (2S)- (9CI) (CA INDEX NAME)

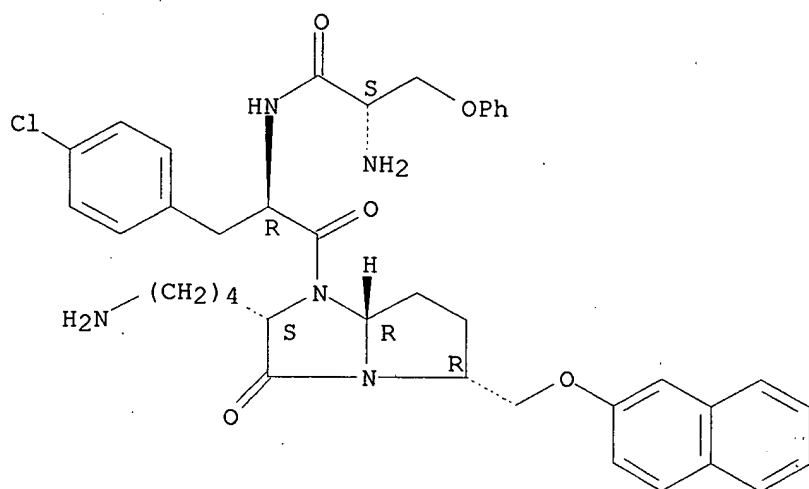
Absolute stereochemistry.



RN 497935-88-3 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-phenoxy-, (2S)- (9CI) (CA INDEX NAME)

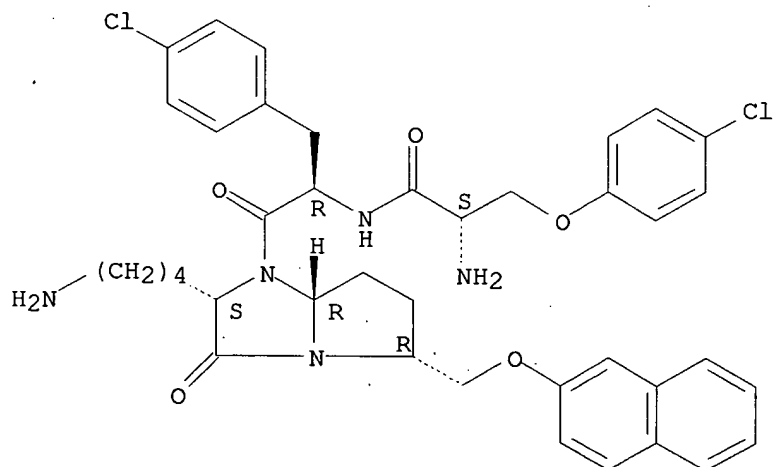
Absolute stereochemistry.



RN 497935-89-4 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S, 5R, 7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(4-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

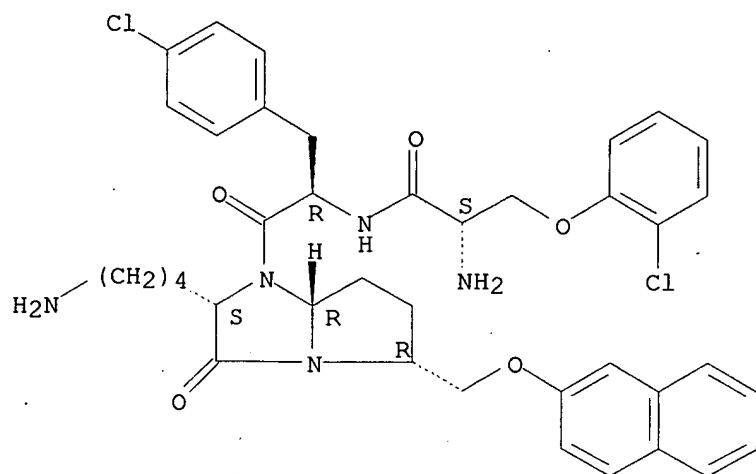
Absolute stereochemistry.



RN 497935-90-7 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S, 5R, 7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

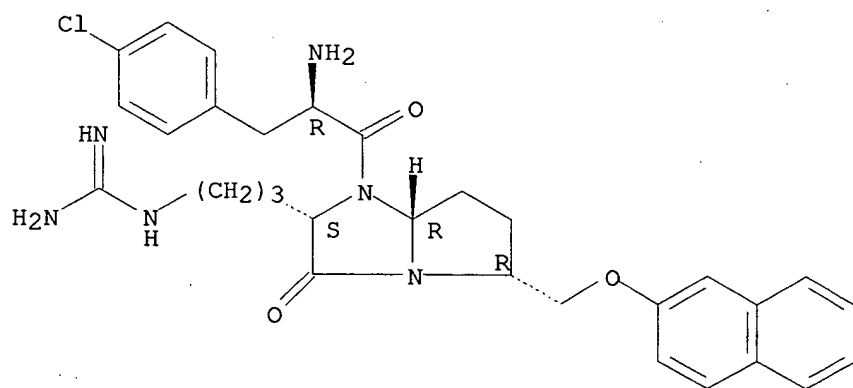
Absolute stereochemistry.



RN 728039-00-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

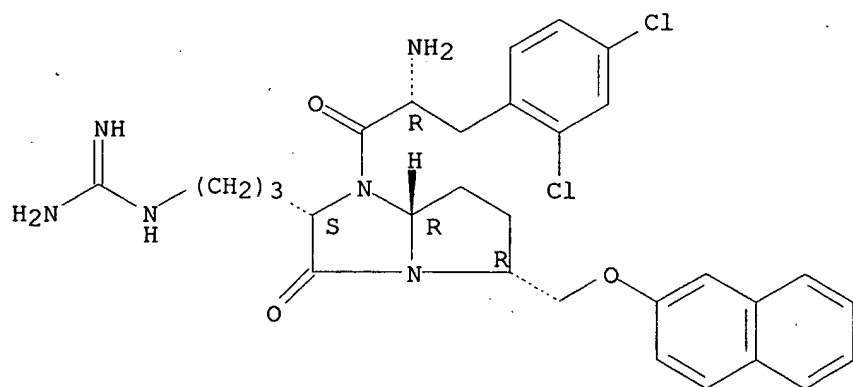
Absolute stereochemistry.



RN 728039-01-8 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

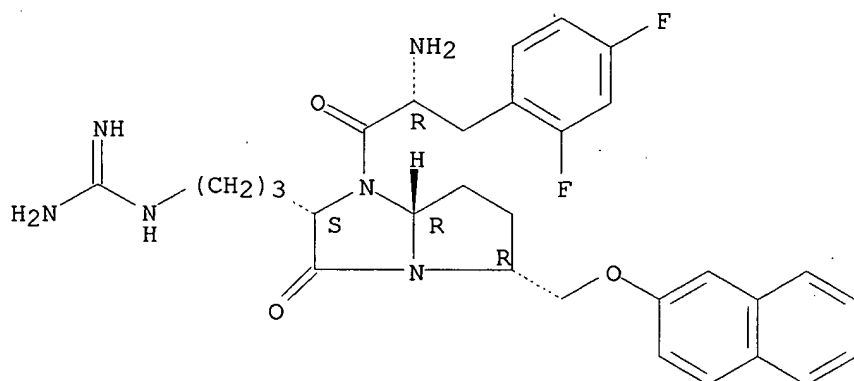
Absolute stereochemistry.



RN 728039-02-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-difluorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

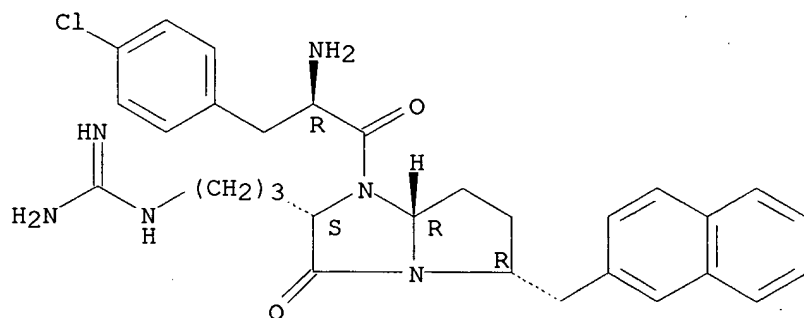
Absolute stereochemistry.



RN 728039-03-0 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

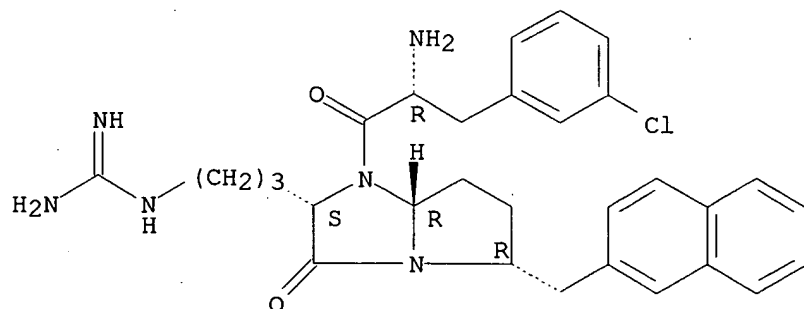
Absolute stereochemistry.



RN 728039-04-1 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(3-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

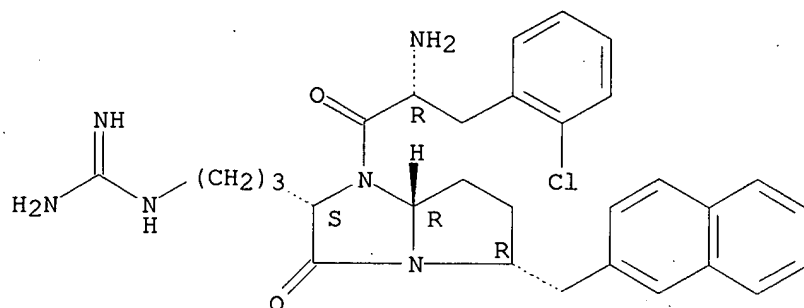
Absolute stereochemistry.



RN 728039-05-2 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

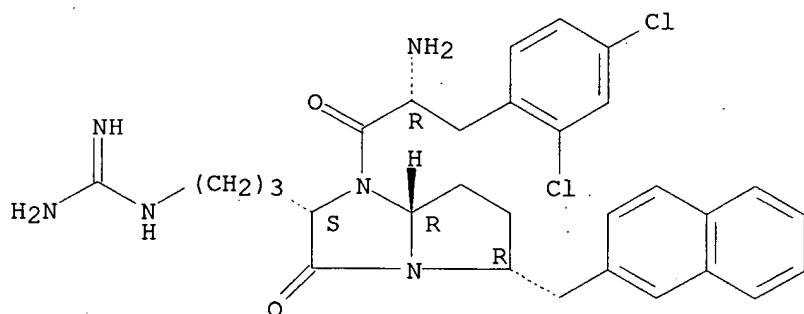
Absolute stereochemistry.



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CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

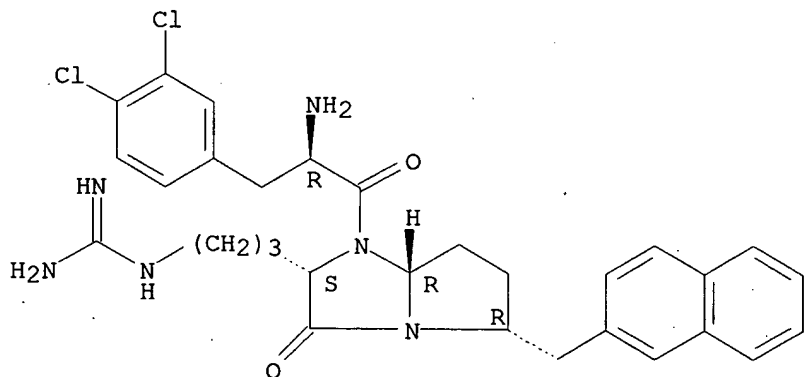
Absolute stereochemistry.



RN 728039-07-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(3,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

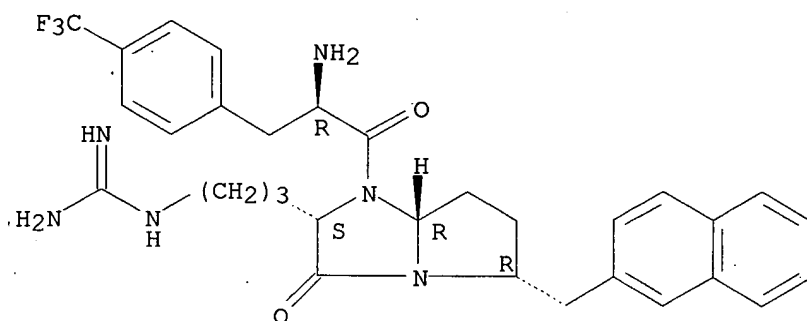


RN 728039-08-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-

[(2R)-2-amino-1-oxo-3-[4-(trifluoromethyl)phenyl]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

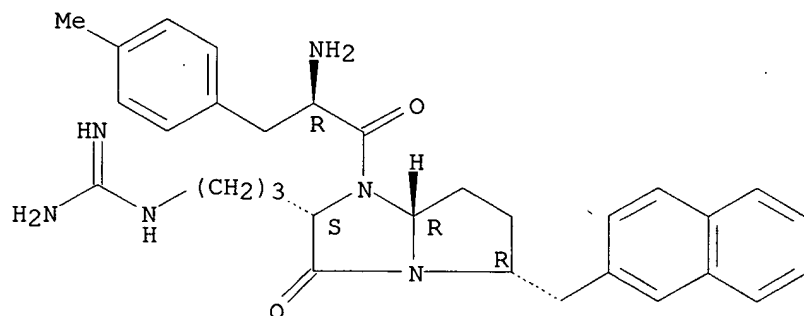
Absolute stereochemistry.



RN 728039-09-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-3-(4-methylphenyl)-1-oxopropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

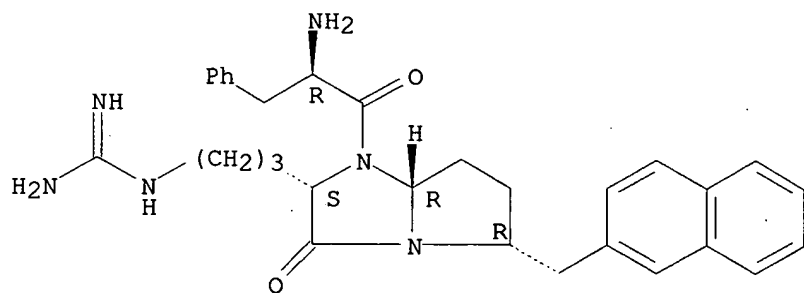
Absolute stereochemistry.



RN 728039-10-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

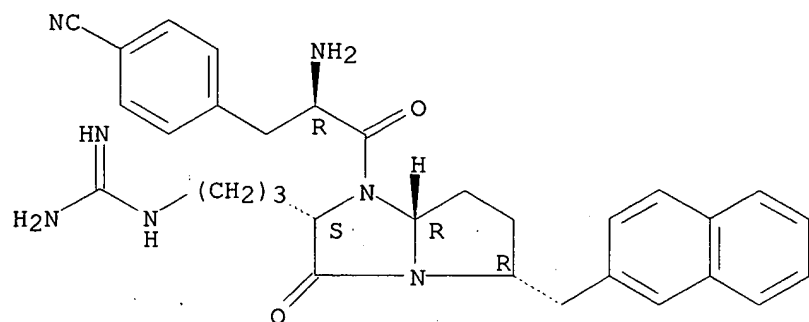
Absolute stereochemistry.



RN 728039-11-0 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-cyanophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

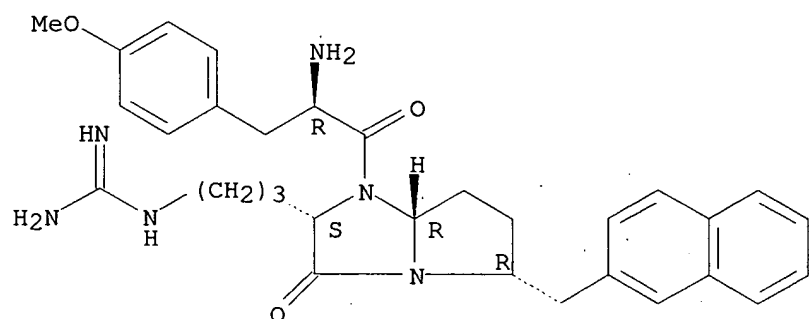
Absolute stereochemistry.



RN 728039-12-1 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-3-(4-methoxyphenyl)-1-oxopropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

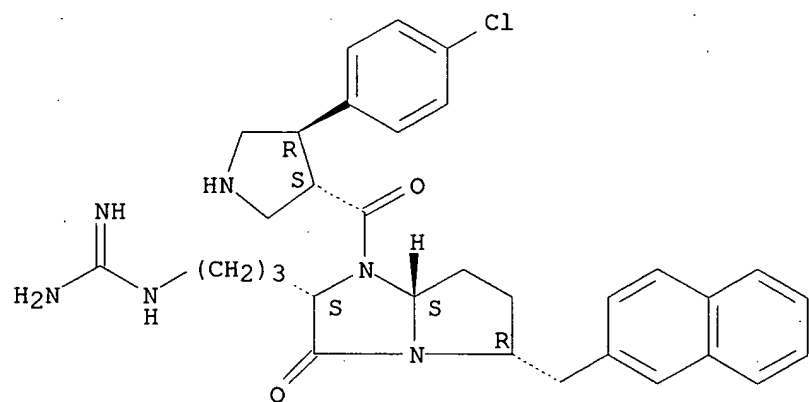
Absolute stereochemistry.



RN 728039-13-2 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[[(3S,4R)-4-(4-chlorophenyl)-3-pyrrolidinyl]carbonyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aS)- (9CI) (CA INDEX NAME)

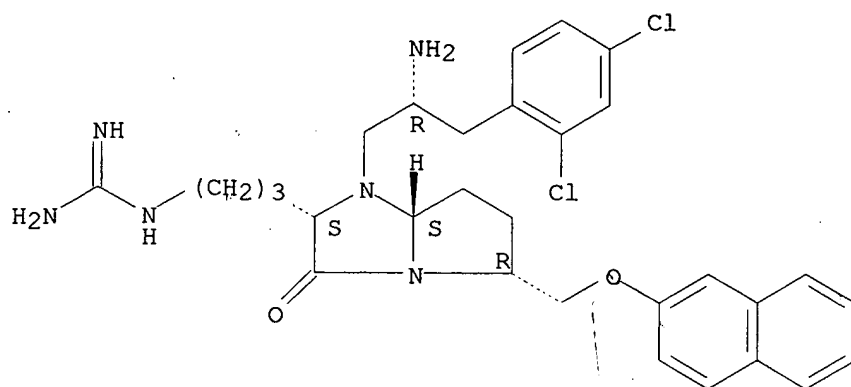
Absolute stereochemistry.



RN 728039-14-3 CAPLUS

CN Guanidine, [3-[(2S,5R,7aS)-1-[(2R)-2-amino-3-(2,4-dichlorophenyl)propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-2-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:527392 CAPLUS
DN 143:20084
TI Naphthalene-containing melanocortin receptor-specific small molecule
IN Sharma, Shubh D.; Shadiack, Annette M.; Shi, Yi-Qun; Wu, Zhijun;
Rajpurohit, Ramesh; Burris, Kevin; Purma, Papireddy
PA Palatin Technologies, Inc., USA
SO U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of U.S. Ser. No. 837,519.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

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PATENT FAMILY INFORMATION:

FAN 2003:133079

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 US 2004-837519 20040430
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 US 2004-546393P P 20040219
 US 2004224957 A1 20041111

OS MARPAT 143:20084

AB A method of modulating energy homeostasis in a mammal without eliciting a sexual response by administration of a therapeutically effective amount of a pharmaceutical composition including a melanocortin receptor compound of the formula I (where R1 = a bond or a linker unit including from one to six backbone atoms and an unsubstituted naphthalene group, L = a conformationally restricted ring system consisting of a single ring or bicyclic nonarom. carbocyclic ring system, etc., R2= -(CH2)4NH2, -(CH2)3NHC(NH2)=NH, etc., R3 = L-or D-isomer of Phe, Phe(4-F), Phe(4-Br), etc., and Rx = H, C-C6 aliphatic linear chain, etc.).

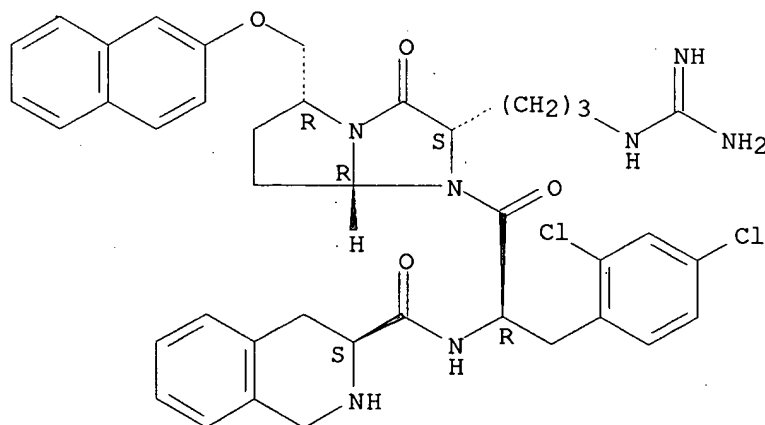
IT 497935-81-6P 497935-84-9P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (naphthalene-containing melanocortin receptor-specific small mol.)

RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 497935-84-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

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PATENT FAMILY INFORMATION:

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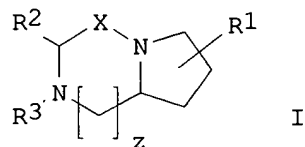
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AB The invention discloses melanocortin receptor-specific bicyclic compds. having the structure I (R1 = L1-J wherein L1 is a linker and J is a ring structure selected from the group consisting of substituted or unsubstituted aromatic carboxylic rings, substituted or unsubstituted non-aromatic carboxylic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, etc.; R2 = (CH2)y-W wherein W is a heteroarom. unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N; R3 = L2-Q wherein L2 is a linker and Q is an aromatic carboxylic ring selected from the group consisting of Ph, substituted Ph, naphthyl and substituted naphthyl; X = CH2 or C=O and z is 0 or 1), and stereoisomer and pharmaceutically acceptable salts thereof, which are agonists, antagonists or mixed agonists and antagonists at one or more melanocortin receptors, and having utility in the treatment of melanocortin receptor-related disorders and conditions. Pharmaceutical compns. containing a compound of structure I and methods relating to the use thereof for treating eating disorders and sexual dysfunction are also disclosed.

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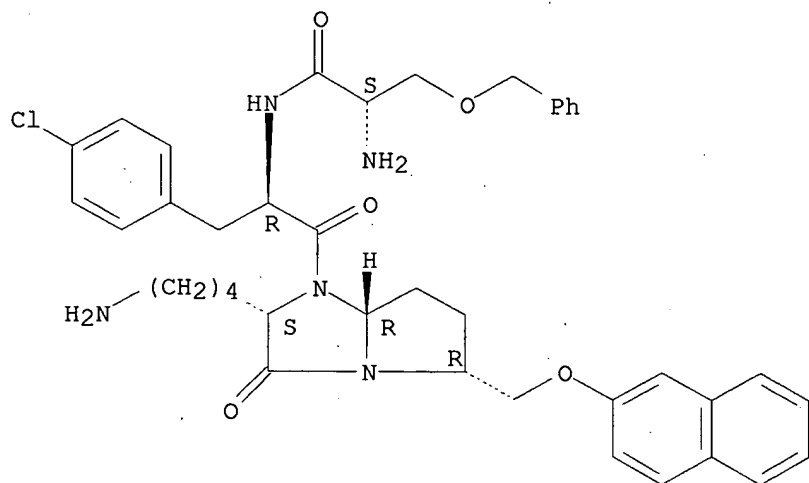
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(bicyclic melanocortin receptor-specific compds. for treating disorders
 such as eating disorders and sexual dysfunction)

RN 497935-48-5 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-
 [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-
 chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA
 INDEX NAME)

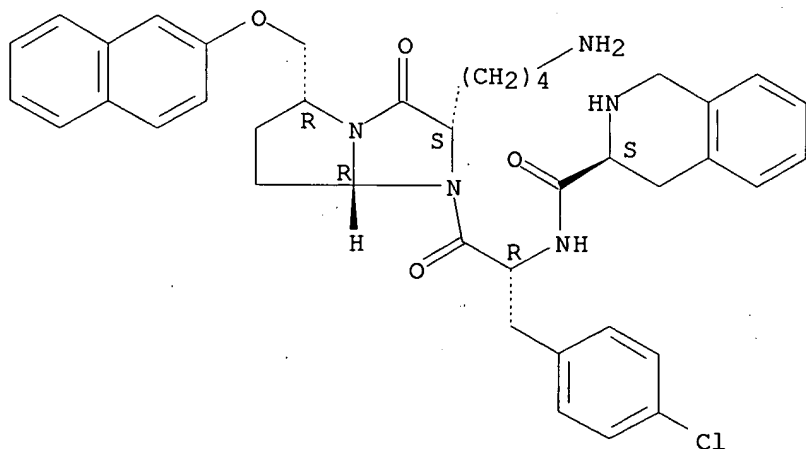
Absolute stereochemistry.



RN 497935-49-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-
 aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-
 a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-
 , (3S)- (9CI) (CA INDEX NAME)

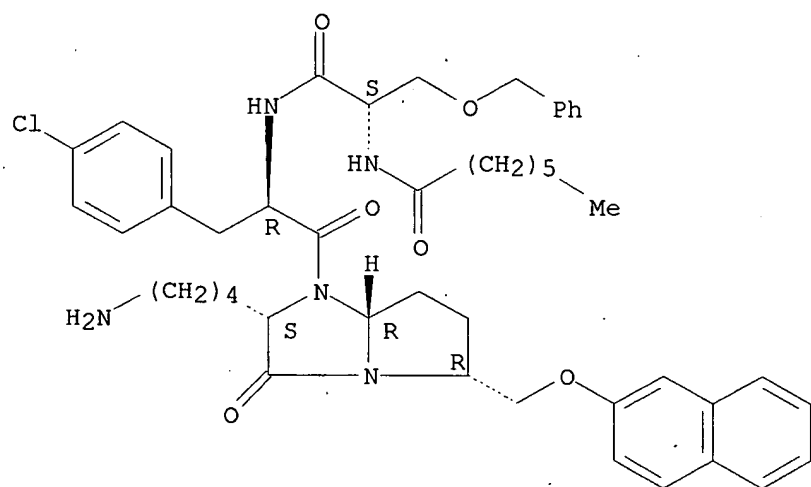
Absolute stereochemistry.



RN 497935-50-9 CAPLUS

CN Heptanamide, N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

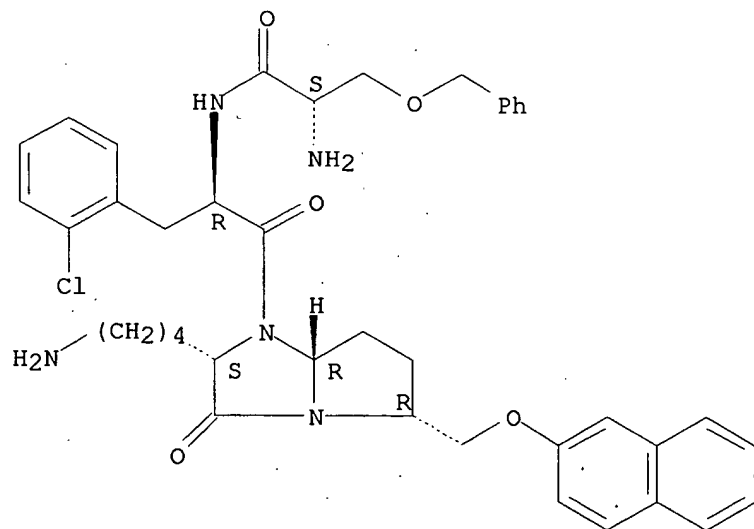
Absolute stereochemistry.



RN 497935-51-0 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

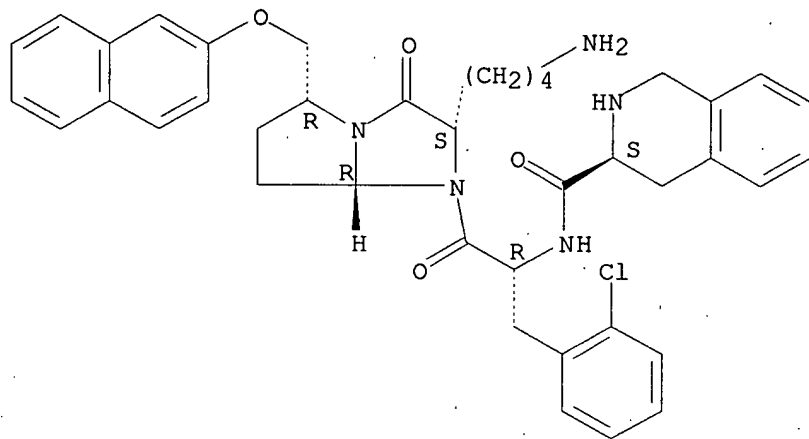
Absolute stereochemistry.



RN 497935-52-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

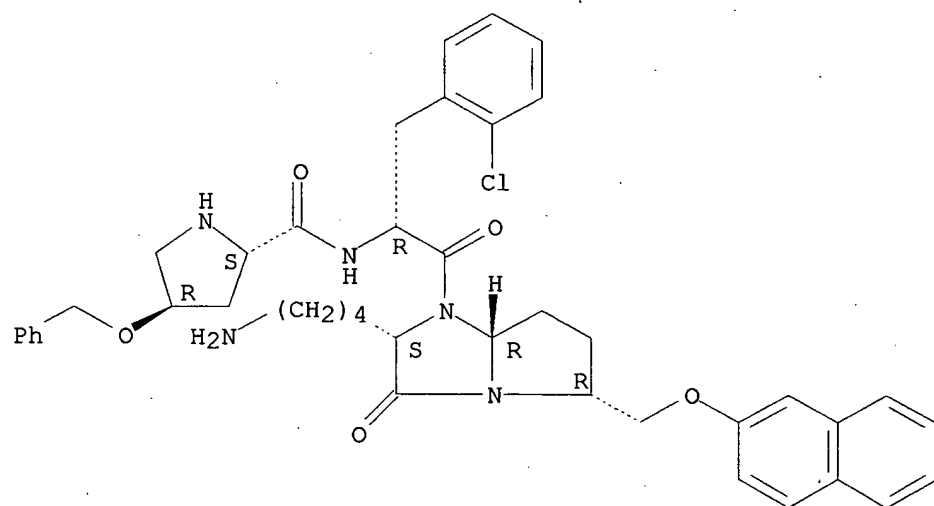
Absolute stereochemistry.



RN 497935-53-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

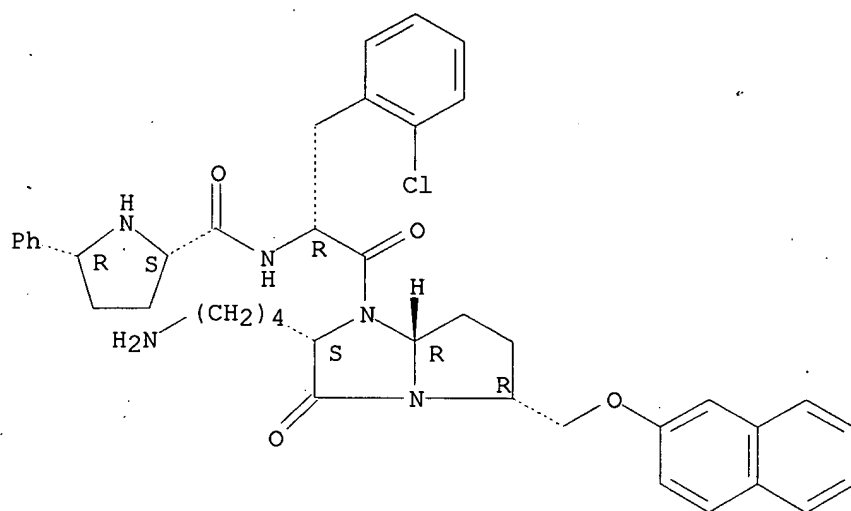
Absolute stereochemistry.



RN 497935-54-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

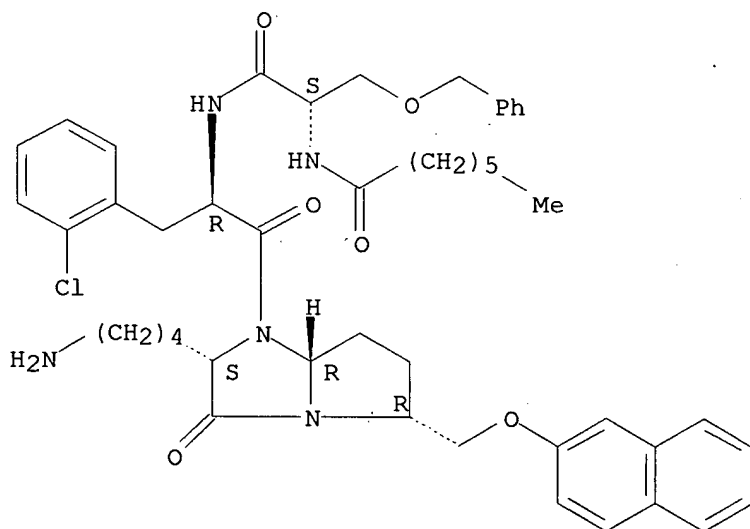
Absolute stereochemistry.



RN 497935-55-4 CAPLUS

CN Heptanamide, N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

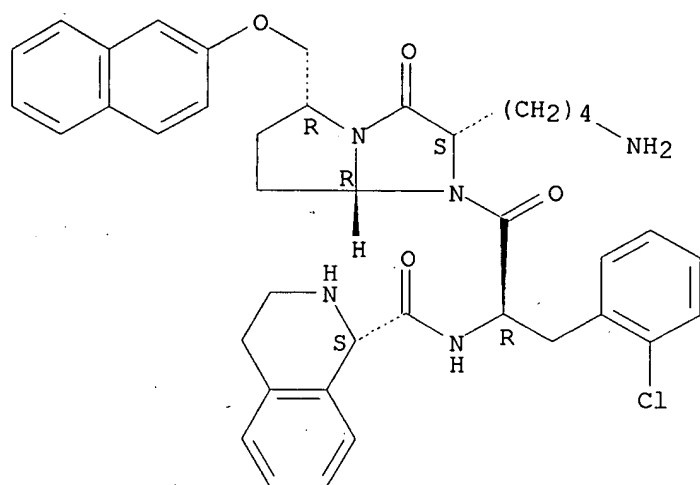
Absolute stereochemistry.



RN 497935-56-5 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1S)- (9CI) (CA INDEX NAME)

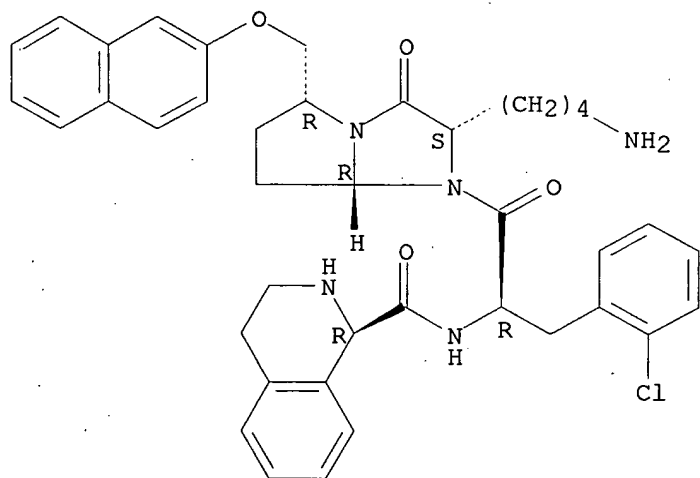
Absolute stereochemistry.



RN 497935-57-6 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1R)- (9CI) (CA INDEX NAME)

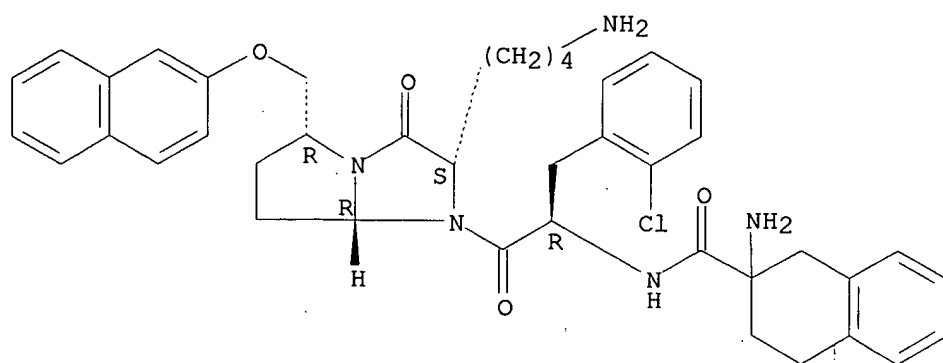
Absolute stereochemistry.



RN 497935-58-7 CAPLUS

CN 2-Naphthalenecarboxamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (9CI) (CA INDEX NAME)

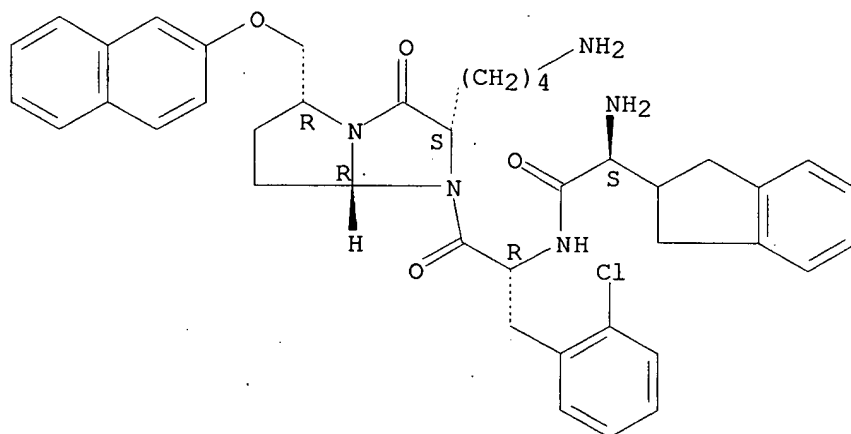
Absolute stereochemistry.



RN 497935-59-8 CAPLUS

CN 1H-Indene-2-acetamide, α -amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (α S)- (9CI) (CA INDEX NAME)

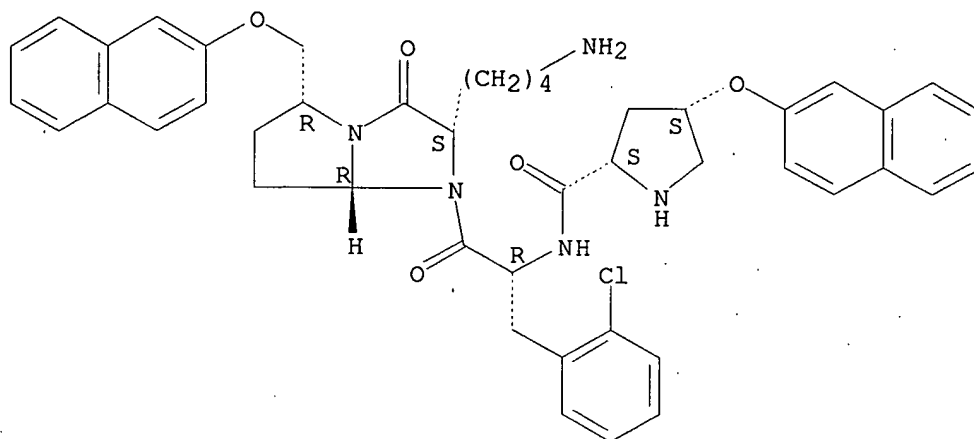
Absolute stereochemistry.



RN 497935-60-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(2-naphthalenyloxy)-, (2S,4S)- (9CI) (CA INDEX NAME)

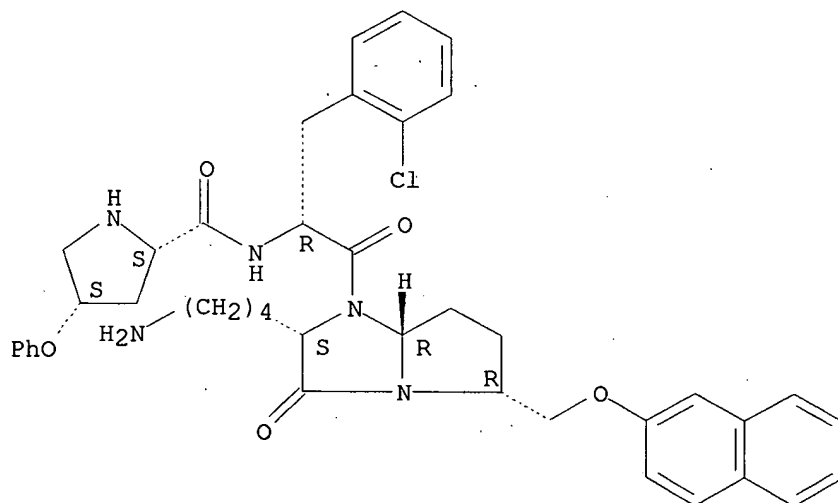
Absolute stereochemistry.



RN 497935-61-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-phenoxy-, (2S,4S)- (9CI) (CA INDEX NAME)

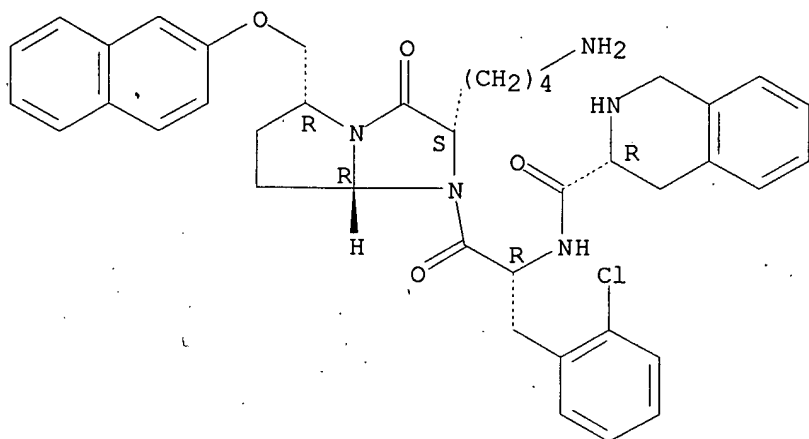
Absolute stereochemistry.



RN 497935-62-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

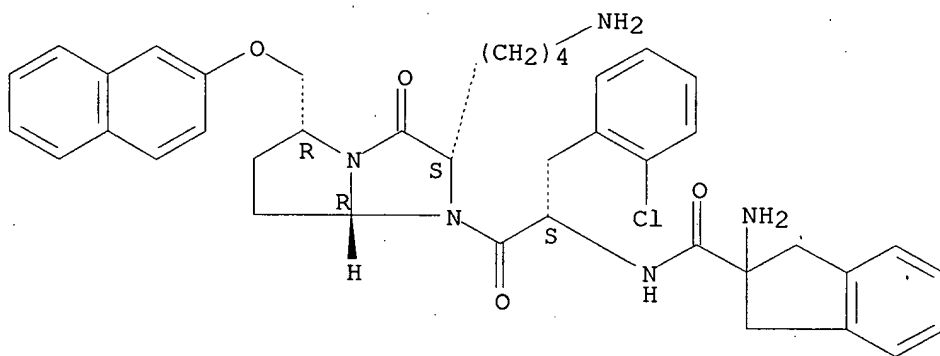
Absolute stereochemistry.



RN 497935-63-4 CAPLUS

CN 1H-Indene-2-carboxamide, 2-amino-N-[(1S)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

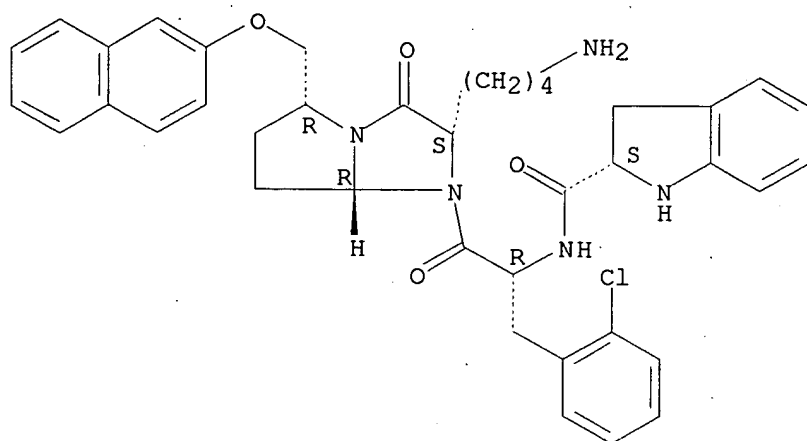
Absolute stereochemistry.



RN 497935-64-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

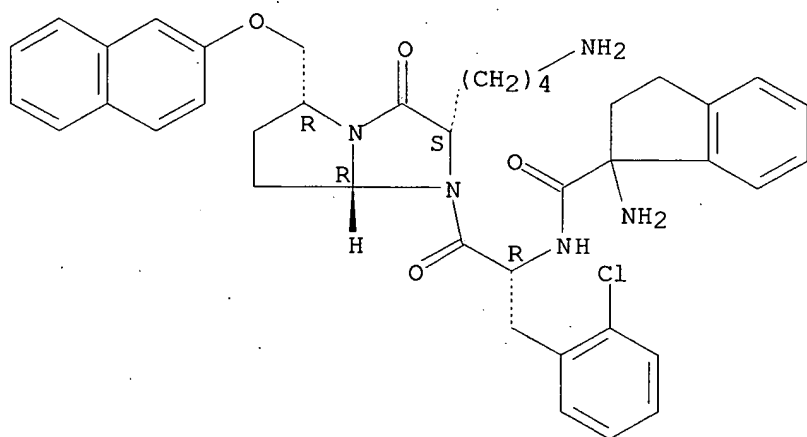
Absolute stereochemistry.



RN 497935-65-6 CAPLUS

CN 1H-Indene-1-carboxamide, 1-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI)
(CA INDEX NAME)

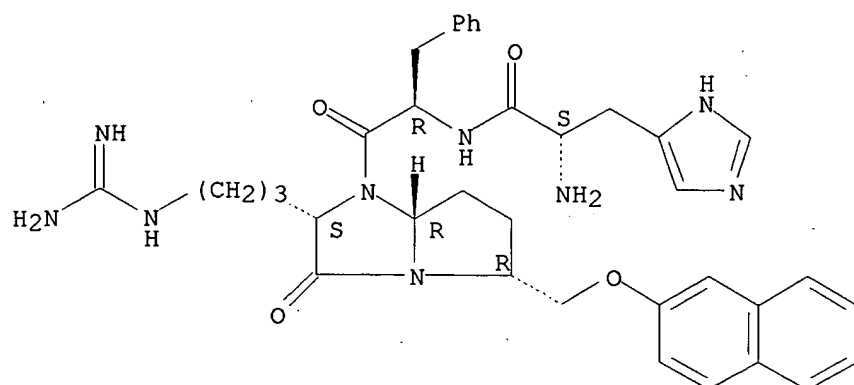
Absolute stereochemistry.



RN 497935-66-7 CAPLUS

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

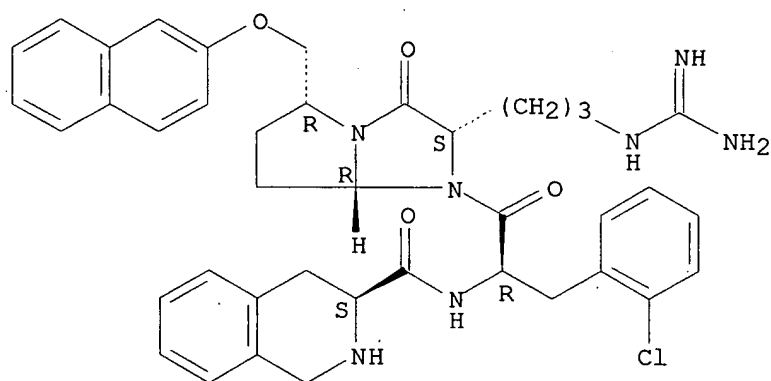
Absolute stereochemistry.



RN 497935-67-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

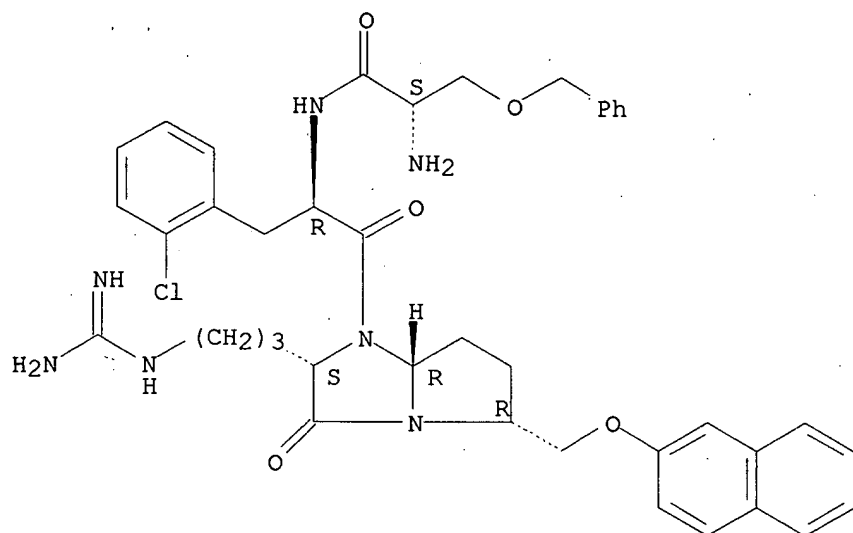
Absolute stereochemistry.



RN 497935-68-9 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

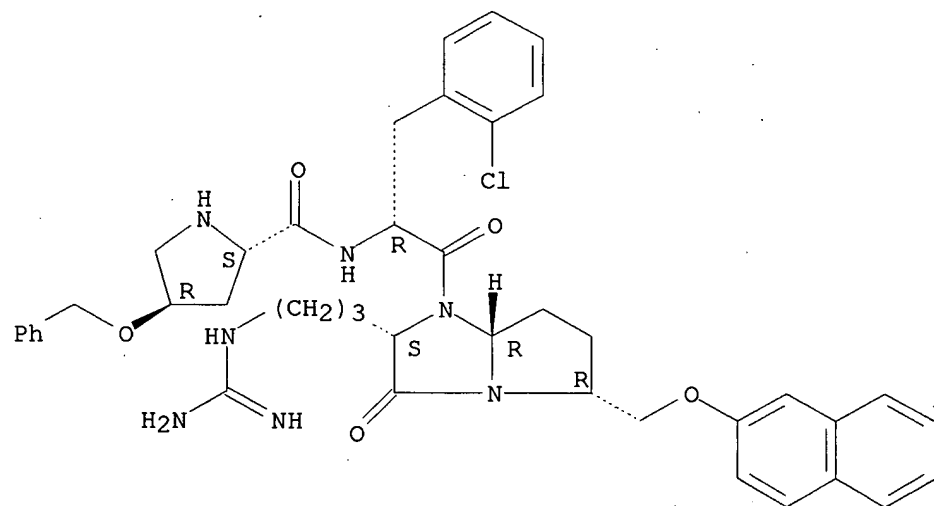
Absolute stereochemistry.



RN 497935-69-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

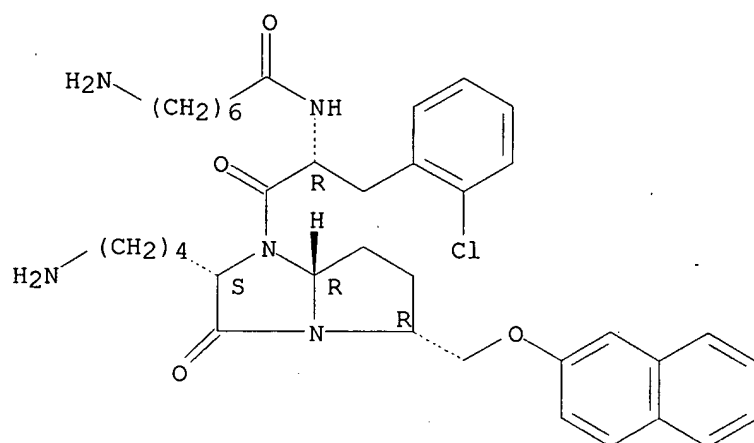
Absolute stereochemistry.



RN 497935-70-3 CAPLUS

CN Heptanamide, 7-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

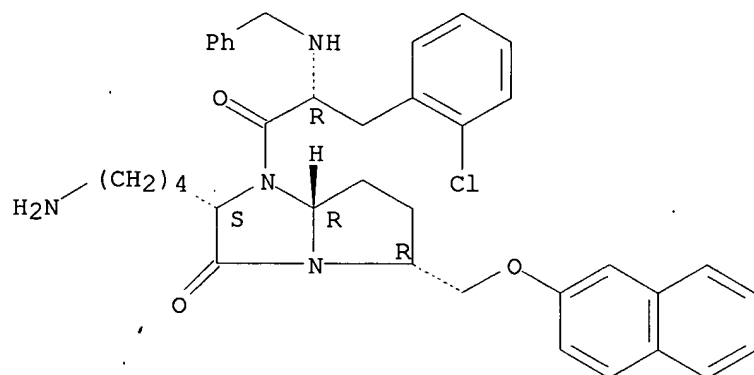
Absolute stereochemistry.



RN 497935-71-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-3-(2-chlorophenyl)-1-oxo-2-[(phenylmethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

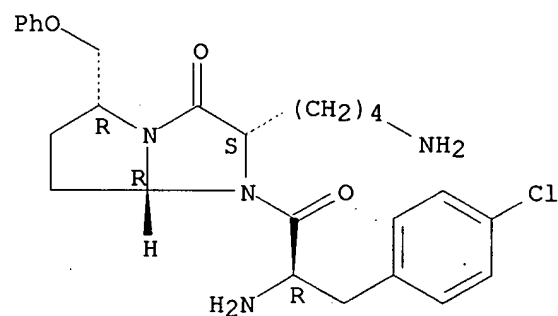
Absolute stereochemistry.



RN 497935-72-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxy)methyl-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

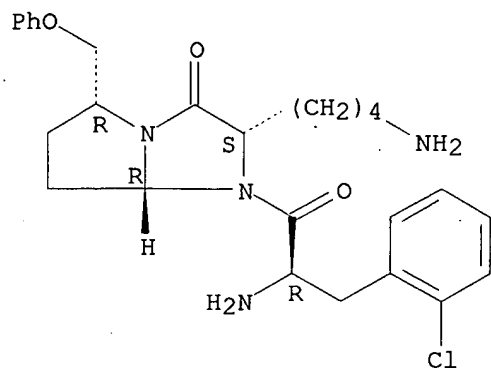


RN 497935-73-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxy)methyl-, (2S,5R,7aR)- (9CI)

(CA INDEX NAME)

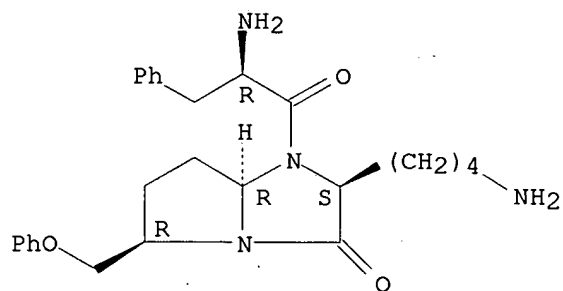
Absolute stereochemistry.



RN 497935-74-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(phoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

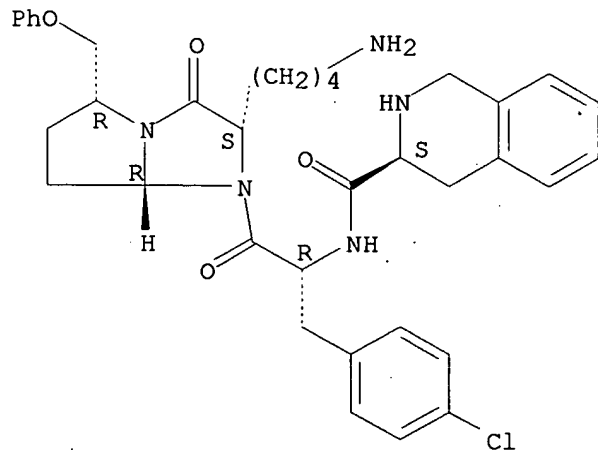
Absolute stereochemistry.



RN 497935-75-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-(phoxymethyl)-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

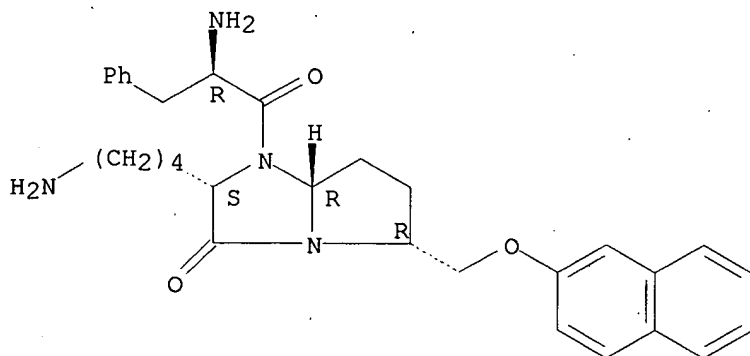
Absolute stereochemistry.



RN 497935-76-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

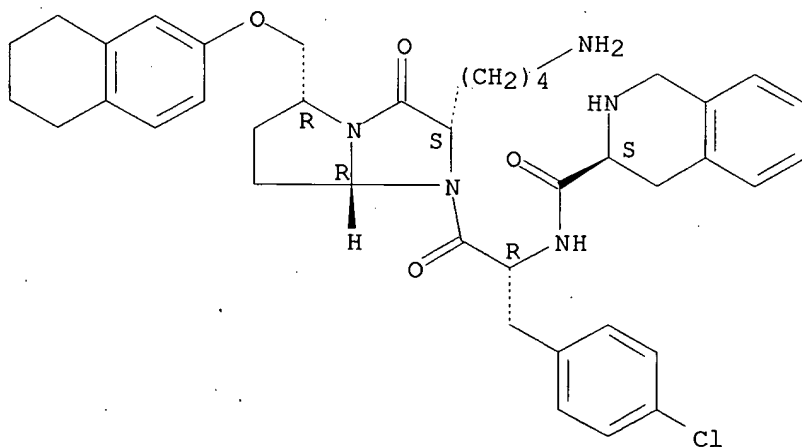
Absolute stereochemistry.



RN 497935-77-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[5,6,7,8-tetrahydro-2-naphthalenyl]oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

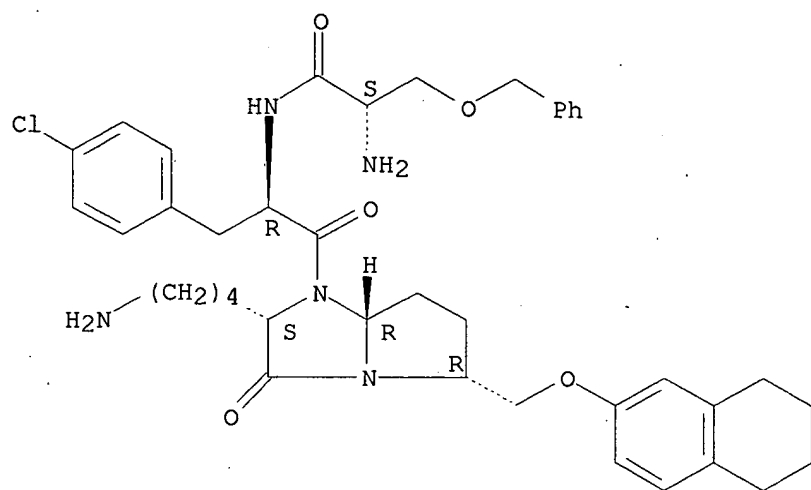
Absolute stereochemistry.



RN 497935-78-1 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[5,6,7,8-tetrahydro-2-naphthalenyl]oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

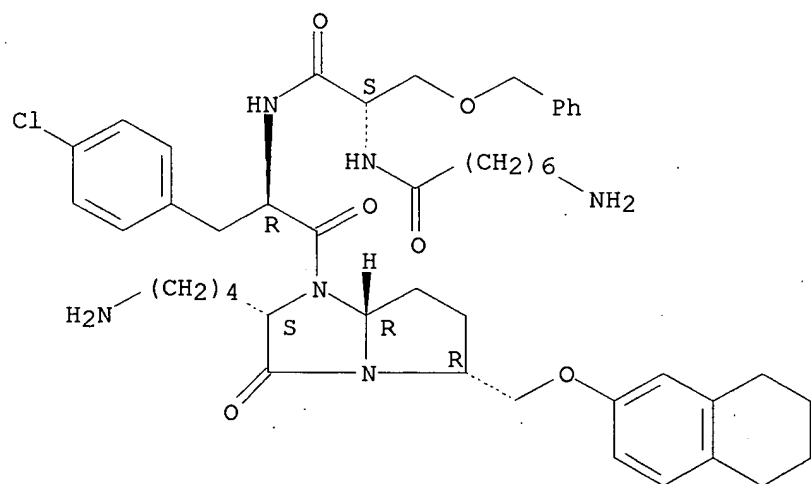
Absolute stereochemistry.



RN 497935-79-2 CAPLUS

CN Heptanamide, 7-amino-N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[[5,6,7,8-tetrahydro-2-naphthalenyl]oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

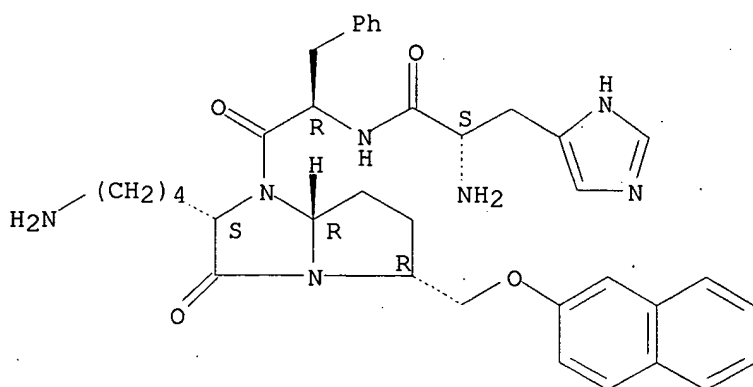
Absolute stereochemistry.



RN 497935-80-5 CAPLUS

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

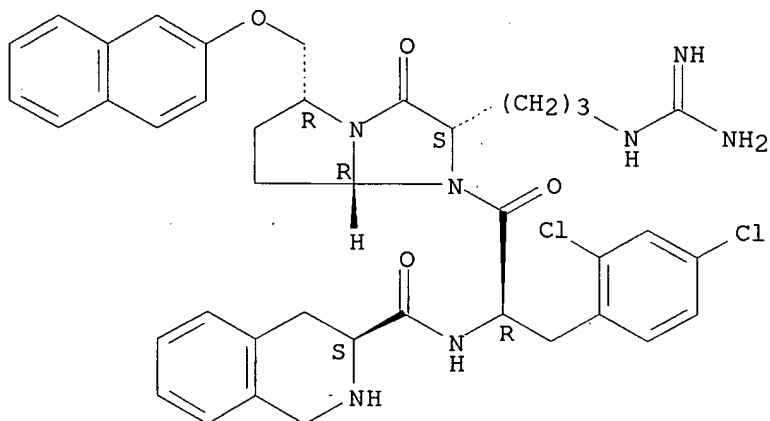
Absolute stereochemistry.



RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

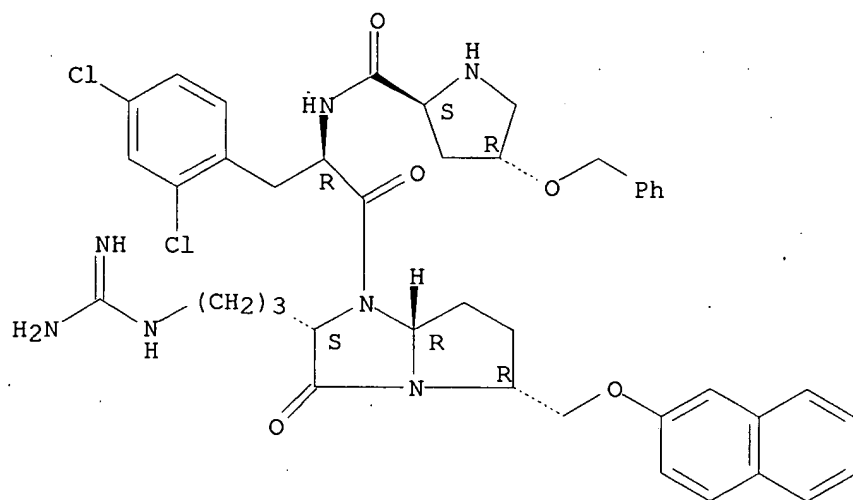
Absolute stereochemistry.



RN 497935-82-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

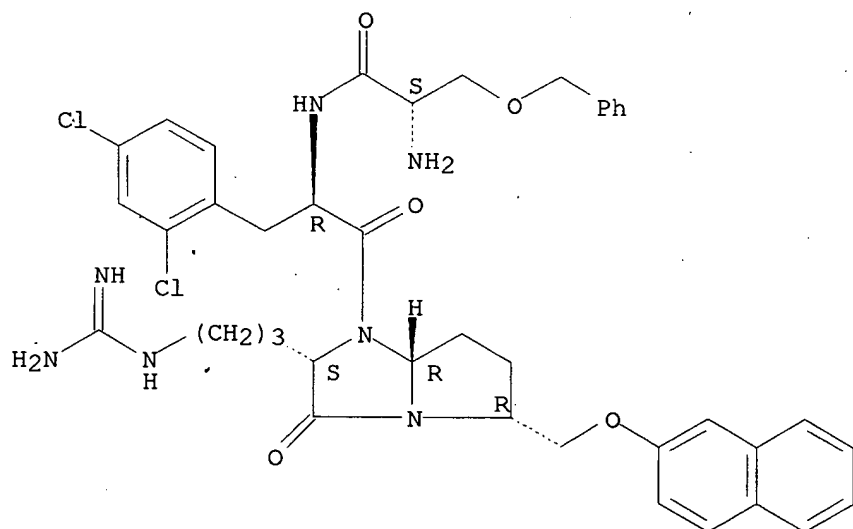
Absolute stereochemistry.



RN 497935-83-8 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

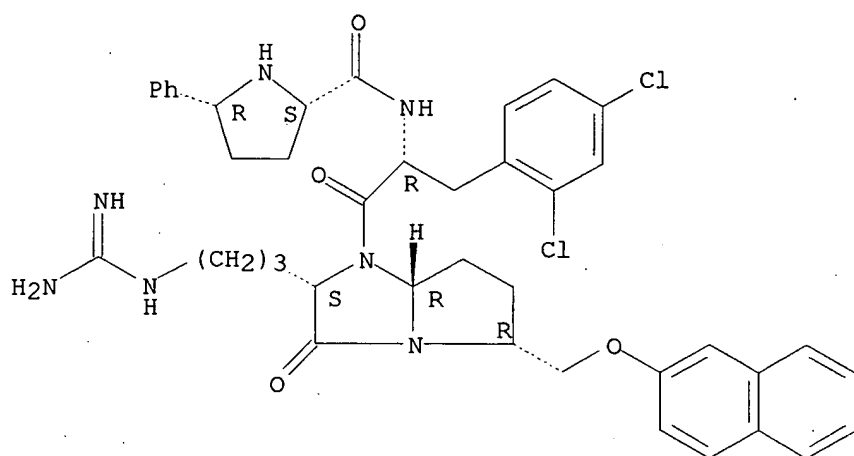
Absolute stereochemistry.



RN 497935-84-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

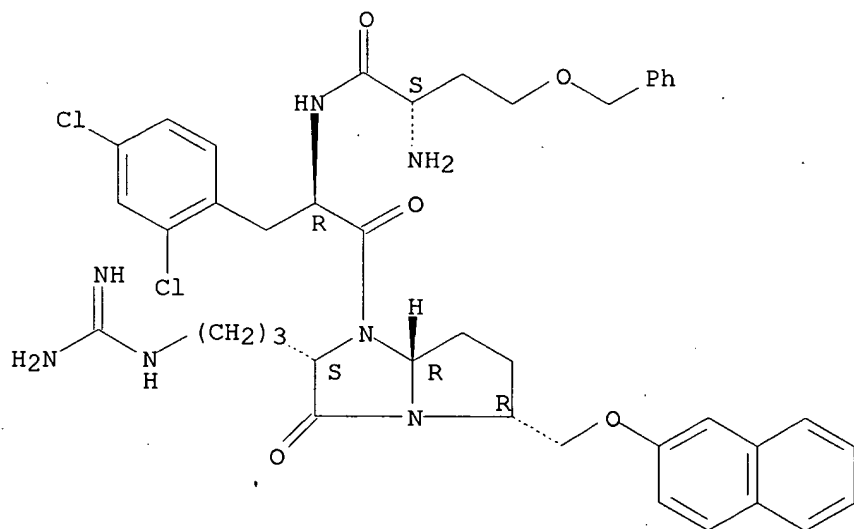
Absolute stereochemistry.



RN 497935-85-0 CAPLUS

CN Butanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

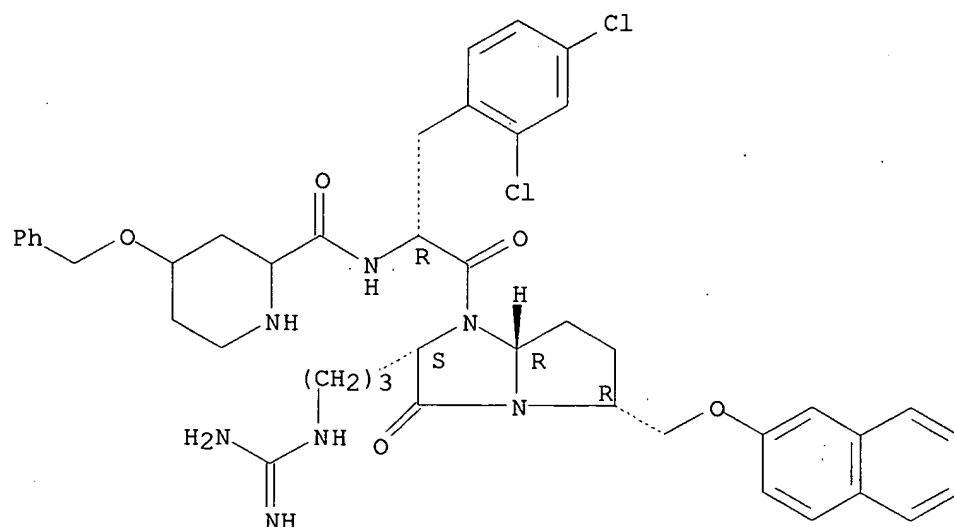
Absolute stereochemistry.



RN 497935-86-1 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

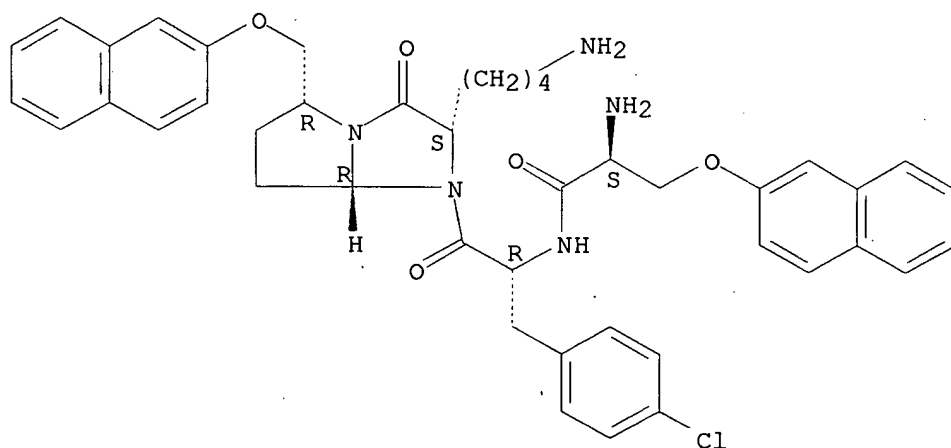
Absolute stereochemistry.



RN 497935-87-2 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S, 5R, 7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-naphthalenyloxy)-, (2S)- (9CI) (CA INDEX NAME)

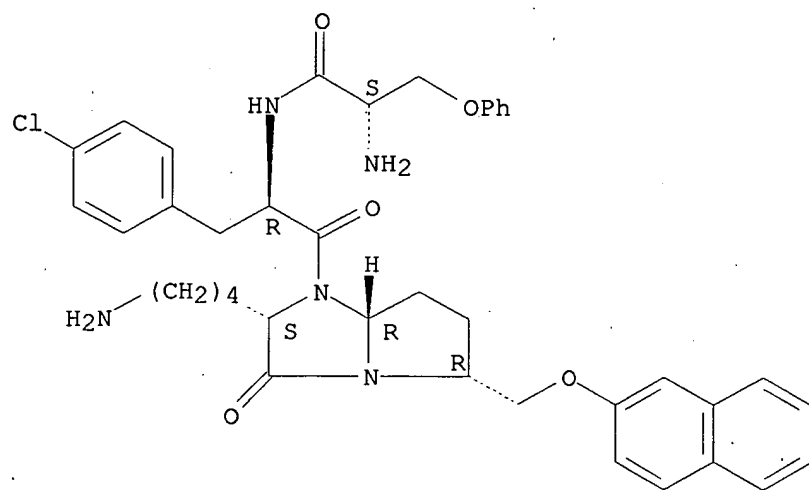
Absolute stereochemistry.



RN 497935-88-3 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S, 5R, 7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-phenoxy-, (2S)- (9CI) (CA INDEX NAME)

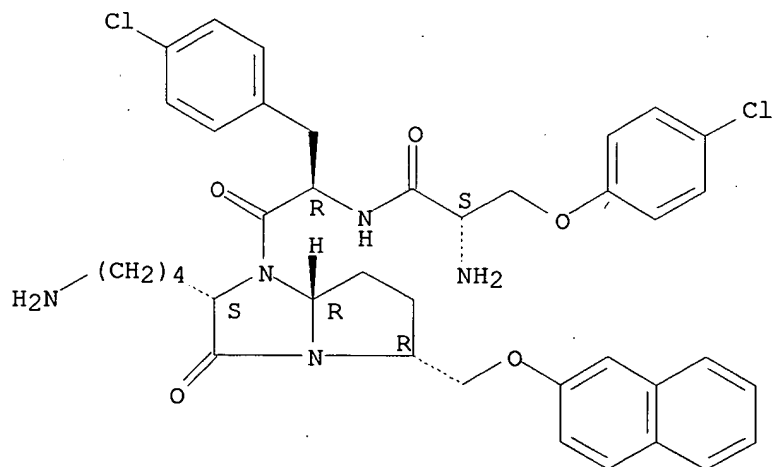
Absolute stereochemistry.



RN 497935-89-4 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(4-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

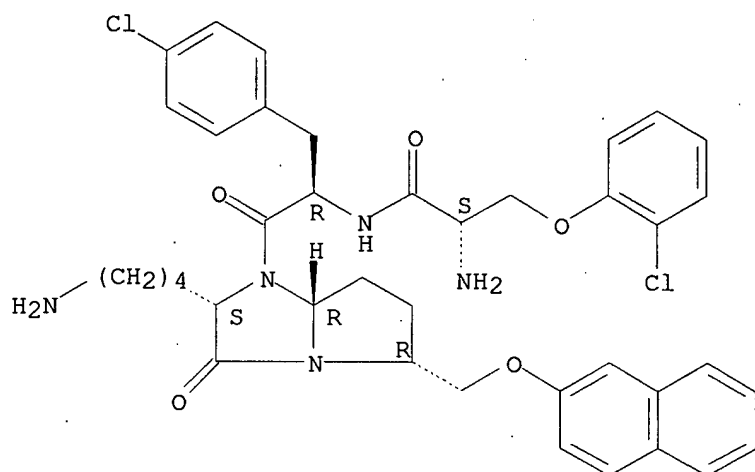
Absolute stereochemistry.



RN 497935-90-7 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

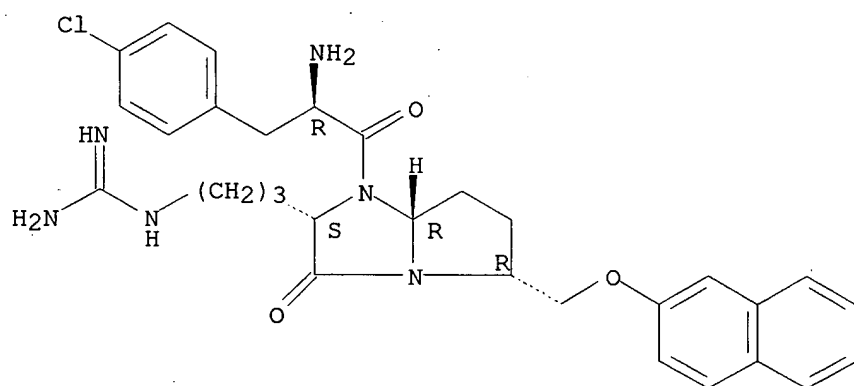
Absolute stereochemistry.



RN 728039-00-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

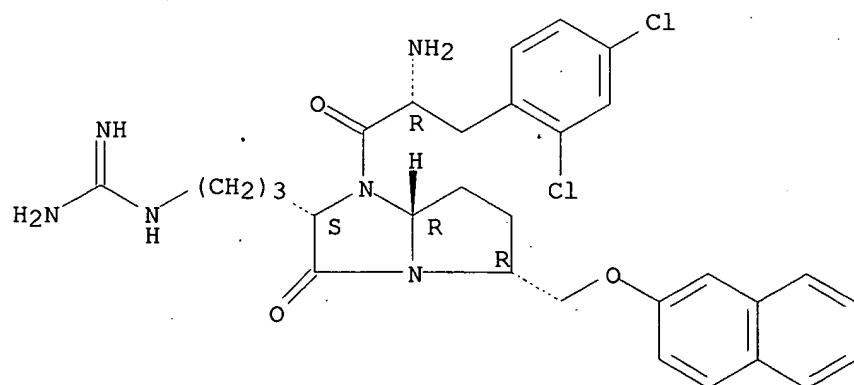
Absolute stereochemistry.



RN 728039-01-8 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

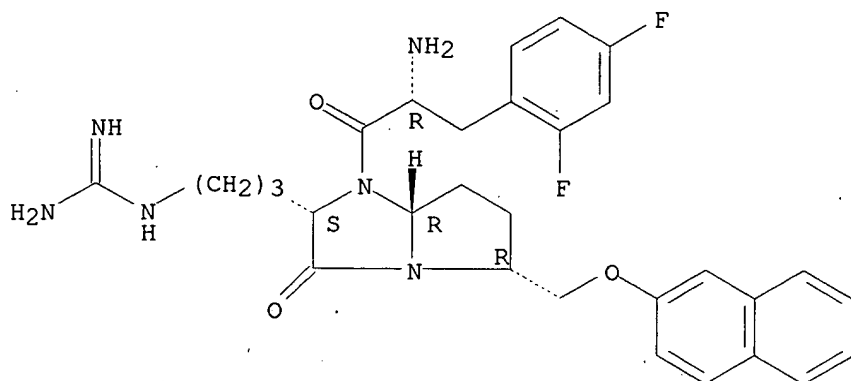
Absolute stereochemistry.



RN 728039-02-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-difluorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

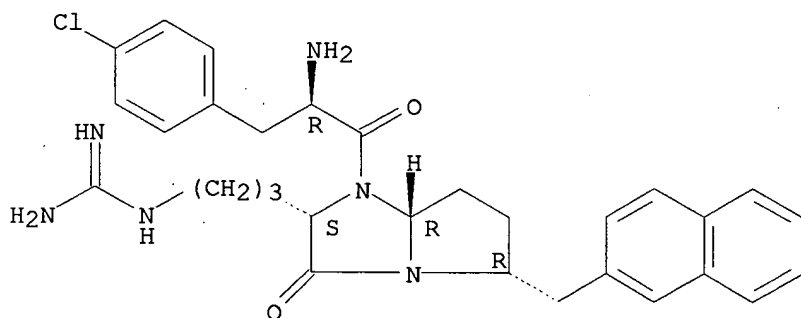
Absolute stereochemistry.



RN 728039-03-0 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

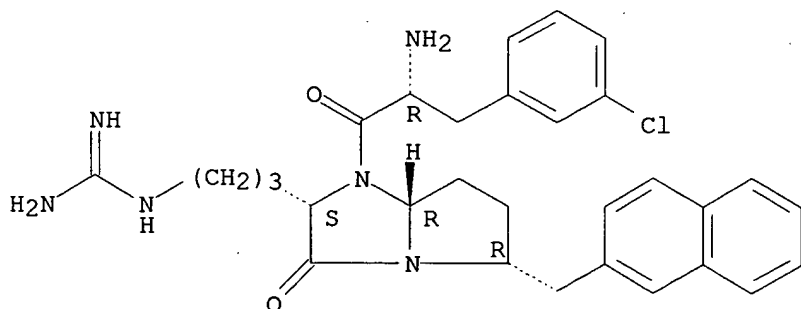
Absolute stereochemistry.



RN 728039-04-1 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(3-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

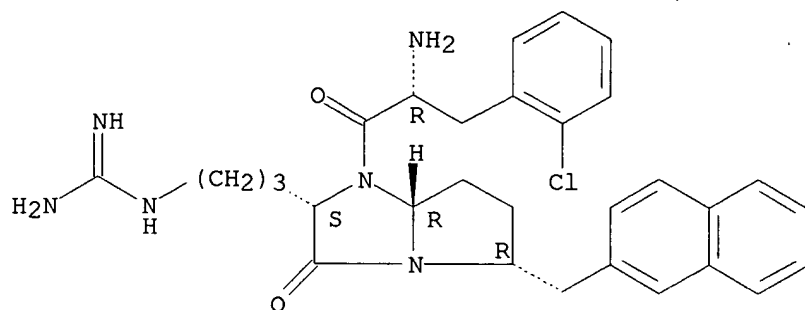
Absolute stereochemistry.



RN 728039-05-2 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

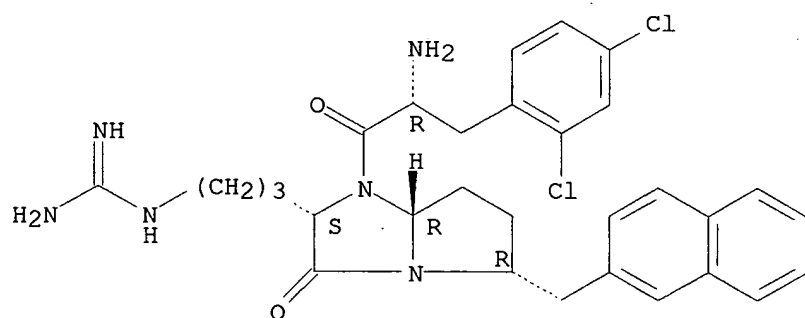
Absolute stereochemistry.



RN 728039-06-3 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

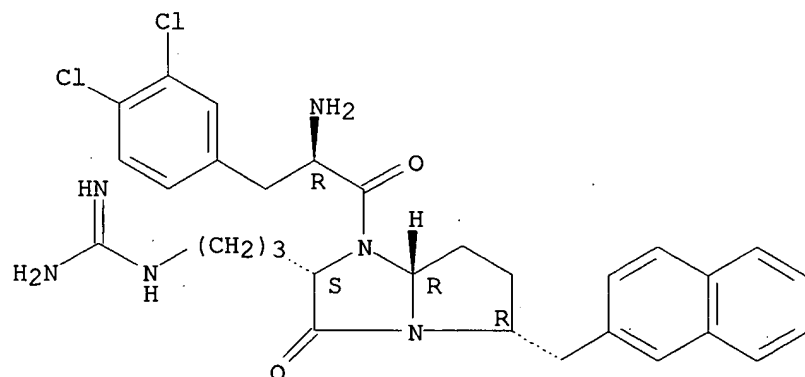
Absolute stereochemistry.



RN 728039-07-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(3,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

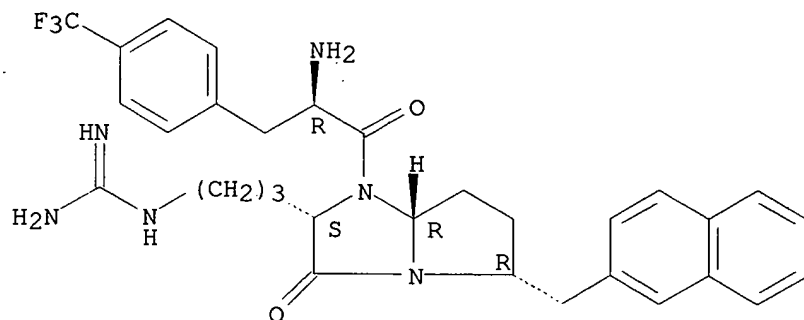


RN 728039-08-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-

[(2R)-2-amino-1-oxo-3-[4-(trifluoromethyl)phenyl]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

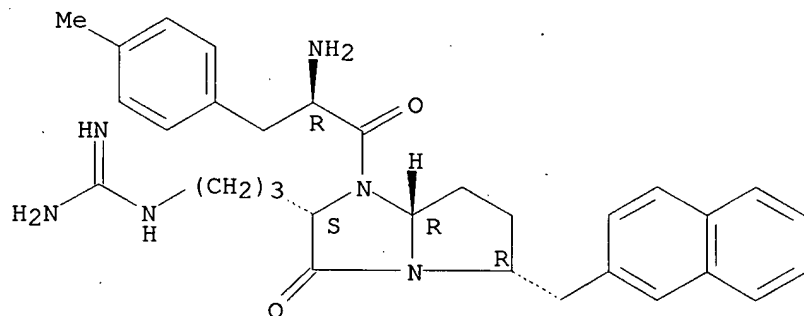
Absolute stereochemistry.



RN 728039-09-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-3-(4-methylphenyl)-1-oxopropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

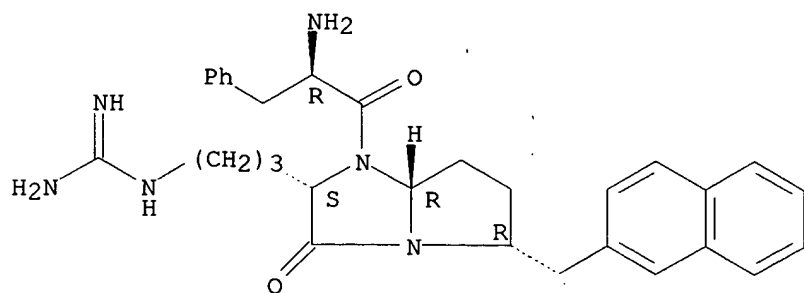
Absolute stereochemistry.



RN 728039-10-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

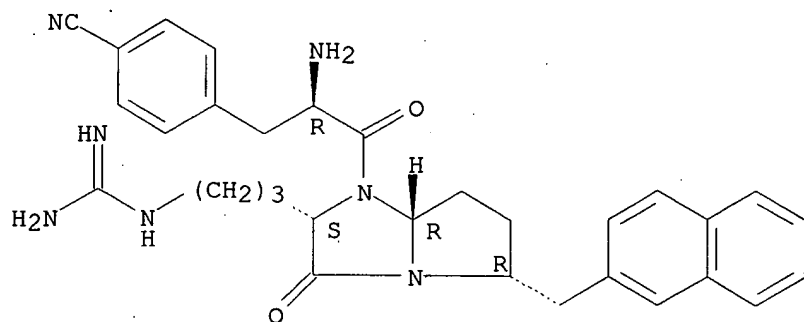
Absolute stereochemistry.



RN 728039-11-0 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-cyanophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

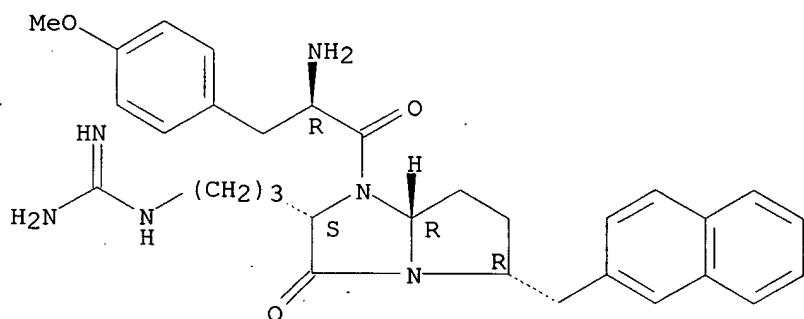
Absolute stereochemistry.



RN 728039-12-1 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-3-(4-methoxyphenyl)-1-oxopropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

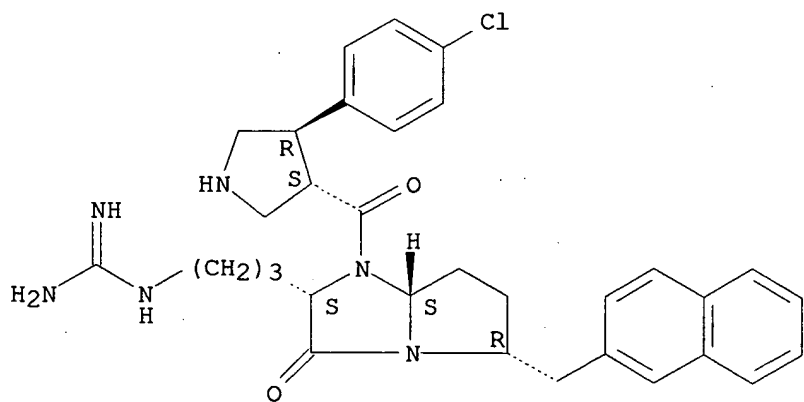
Absolute stereochemistry.



RN 728039-13-2 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[[(3S,4R)-4-(4-chlorophenyl)-3-pyrrolidinyl]carbonyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aS)- (9CI) (CA INDEX NAME)

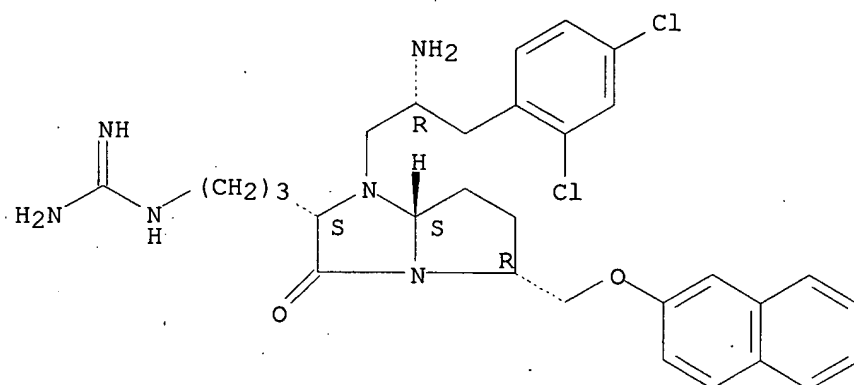
Absolute stereochemistry.



RN 728039-14-3 CAPLUS

CN Guanidine, [3-[(2S,5R,7aS)-1-[(2R)-2-amino-3-(2,4-dichlorophenyl)propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-2-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2003:133079 CAPLUS
 DN 138:188071
 TI Peptidomimetics of biologically active metallopeptides
 IN Sharma, Shubh D.; Shi, Yiqun; Rajpurohit, Ramesh; Wu, Zhijun
 PA Palatin Technologies, Inc., USA
 SO PCT Int. Appl., 168 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
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PATENT FAMILY INFORMATION:

FAN 2004:633168

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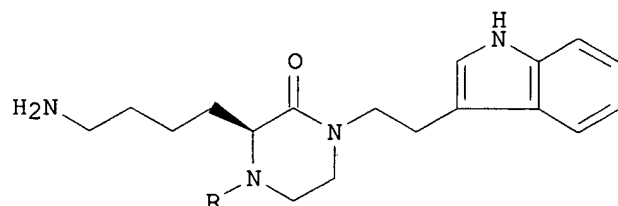
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GI



I

AB The invention relates to a method of deriving a peptidomimetic of a biol. active metallopeptide. The peptidomimetic contains at least one non-peptide ring structure and at least two amino acid-related elements. The invention further relates to peptidomimetics with a template space heterocyclic ring structure, including 5-, 6- and 8-membered and 5-5 and 6-5 bicyclic fused ring structure melanocortin receptor-specific peptidomimetics. The examples describe the synthesis of pyrrolidines, 2-piperazinones [e.g., I [R = BuCH₂CH₂CO-Ser(Bzl)-D-Phe(2-Cl)]], hexahydropyrrolo[1,2-a]pyrazin-4-ones, hexahydropyrrolo[1,2-a]imidazol-3-ones, 1,4-benzodiazepines, and piperazines. Competitive inhibition testing of compound I against α -MSH yielded the following results at 1 μ M: melanocortin-1 receptor (MC1-R) 96%, MC3-R 51%, MC4-R 99%, and MC5-R 82%.

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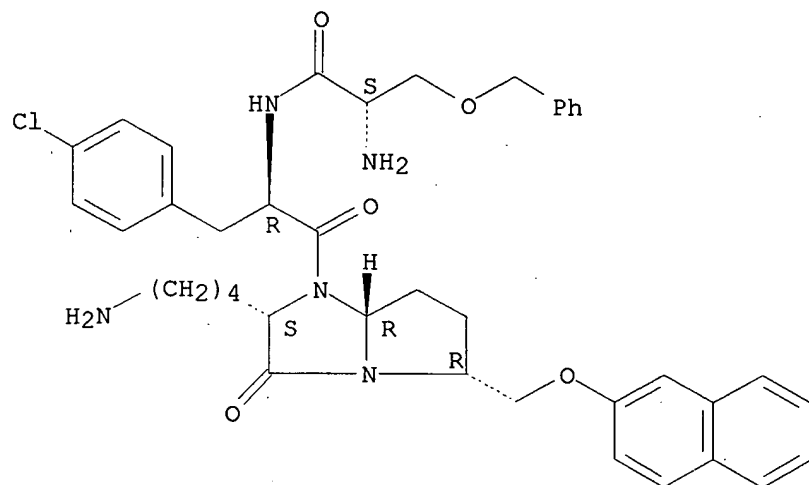
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RN 497935-48-5 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-

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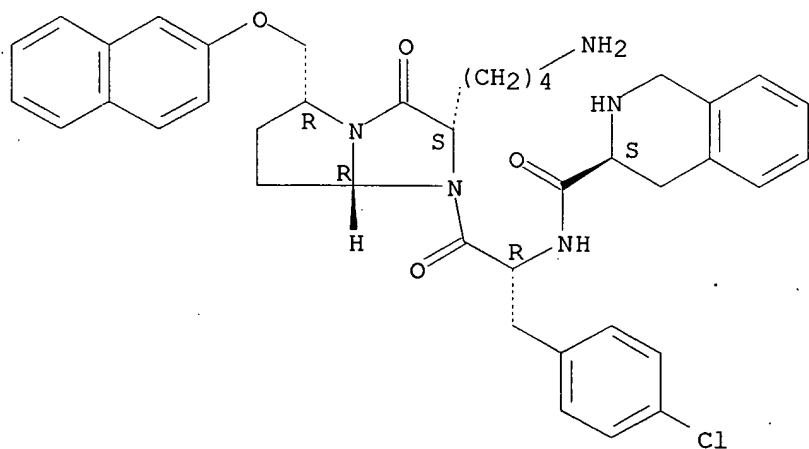
Absolute stereochemistry.



RN 497935-49-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

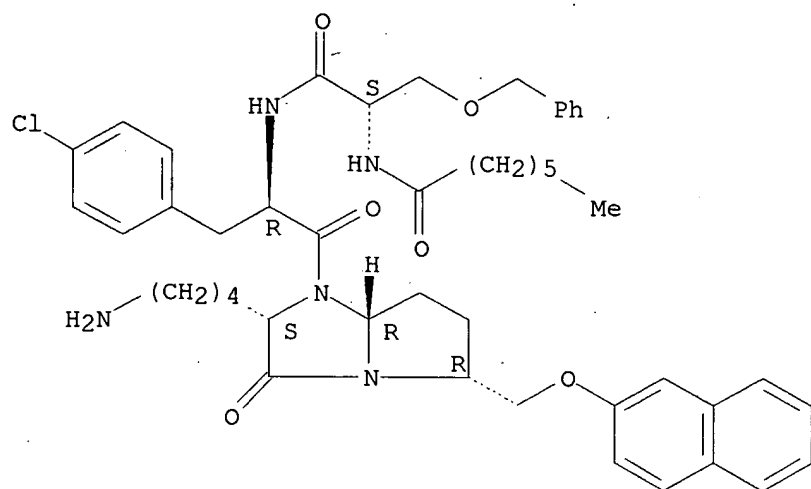
Absolute stereochemistry.



RN 497935-50-9 CAPLUS

CN Heptanamide, N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

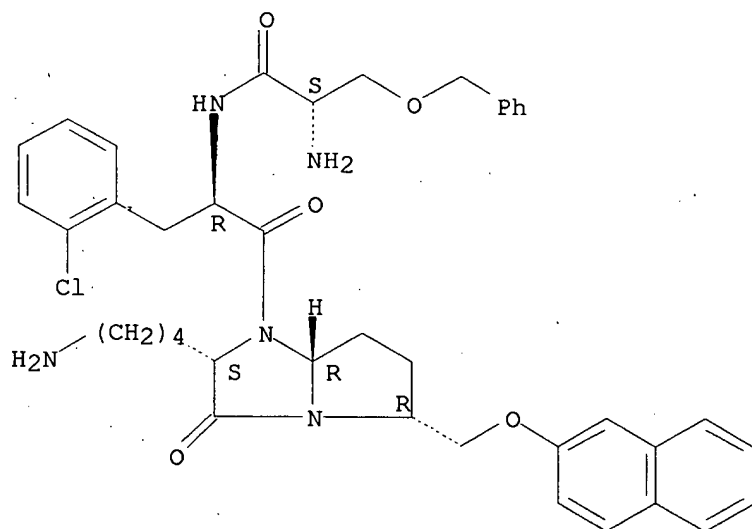
Absolute stereochemistry.



RN 497935-51-0 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

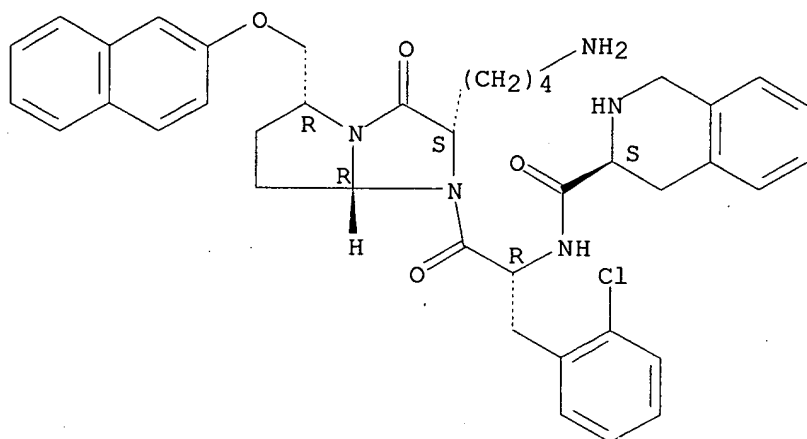
Absolute stereochemistry.



RN 497935-52-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

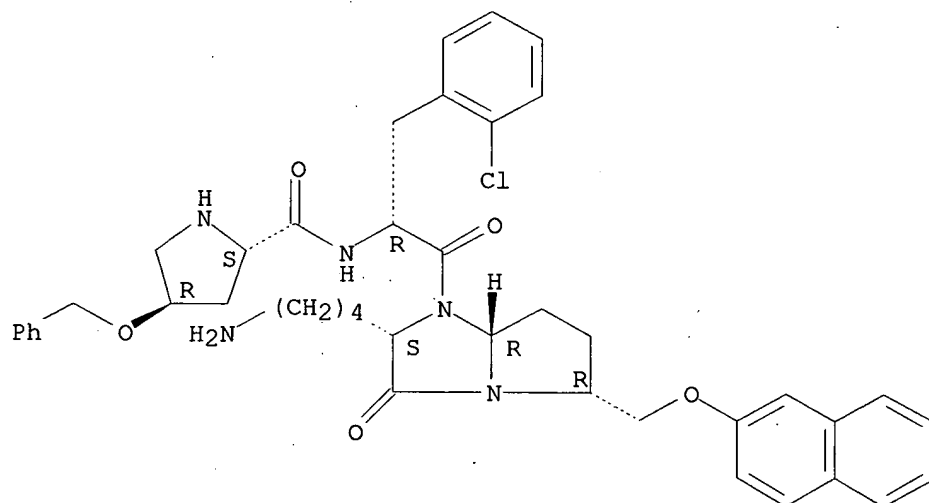
Absolute stereochemistry.



RN 497935-53-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

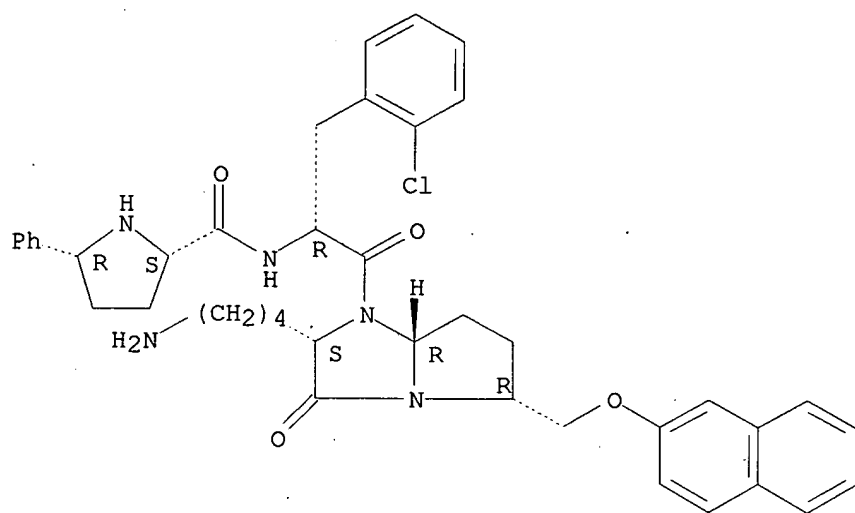
Absolute stereochemistry.



RN 497935-54-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

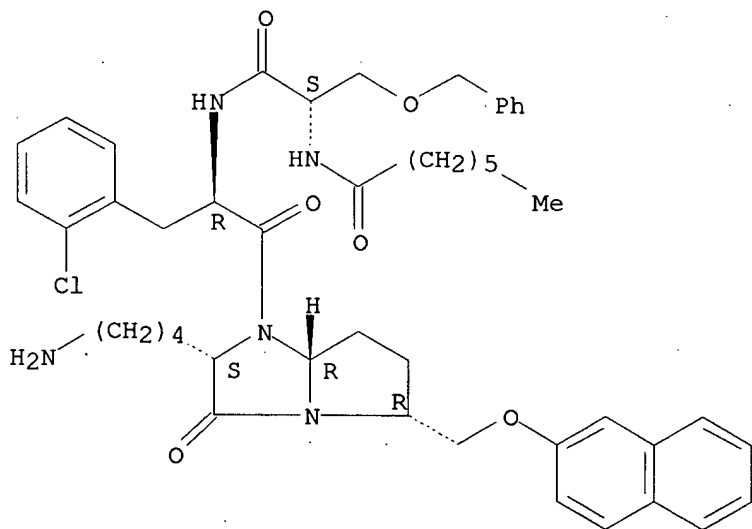
Absolute stereochemistry.



RN 497935-55-4 CAPLUS

CN Heptanamide, N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

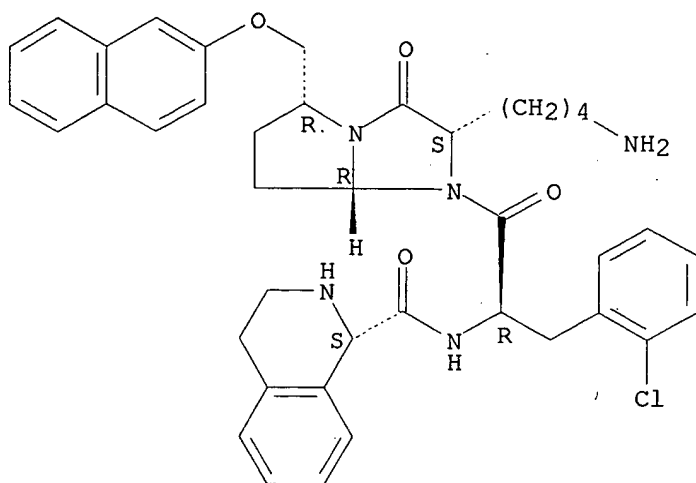
Absolute stereochemistry.



RN 497935-56-5 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1S)- (9CI) (CA INDEX NAME)

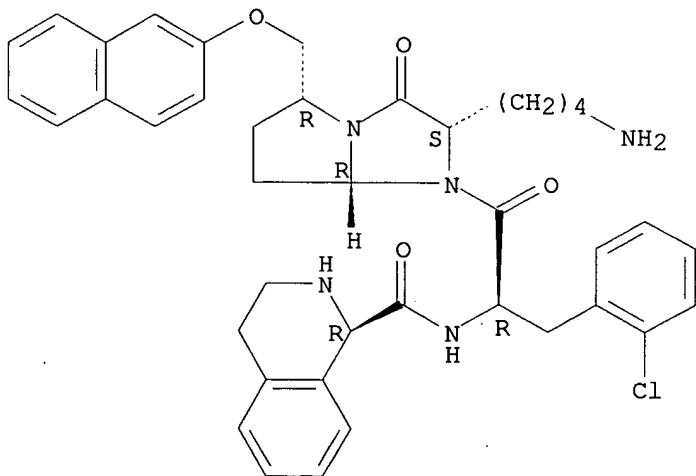
Absolute stereochemistry.



RN 497935-57-6 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1R)- (9CI) (CA INDEX NAME)

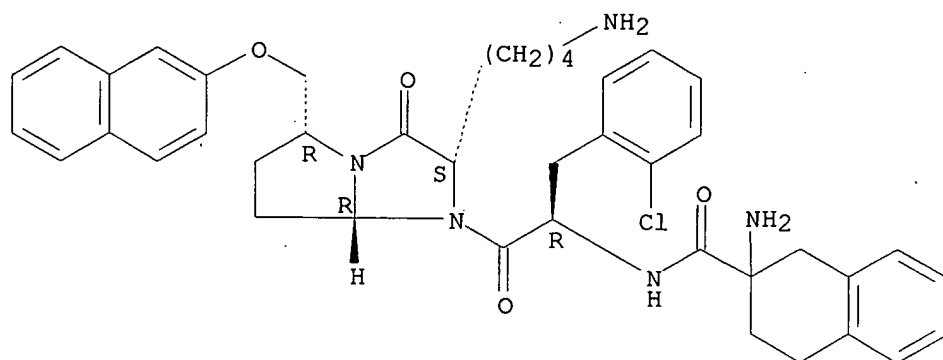
Absolute stereochemistry.



RN 497935-58-7 CAPLUS

CN 2-Naphthalenecarboxamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (9CI) (CA INDEX NAME)

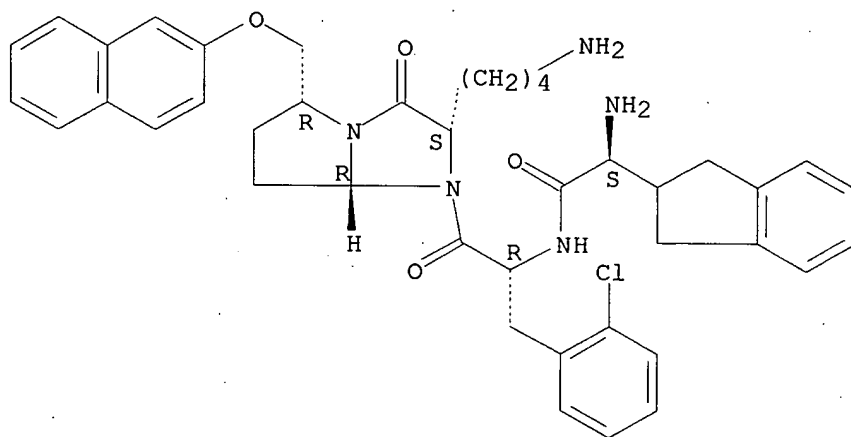
Absolute stereochemistry.



RN 497935-59-8 CAPLUS

CN 1H-Indene-2-acetamide, α -amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (α S)- (9CI) (CA INDEX NAME)

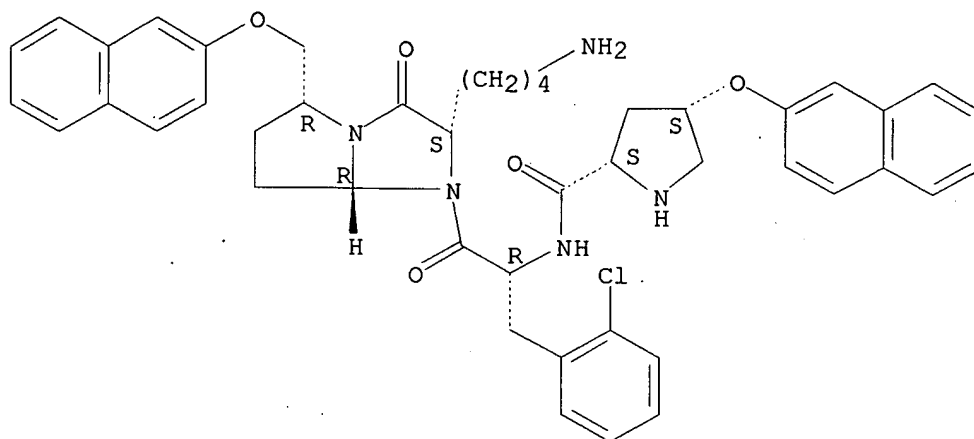
Absolute stereochemistry.



RN 497935-60-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(2-naphthalenyloxy)-, (2S,4S)- (9CI) (CA INDEX NAME)

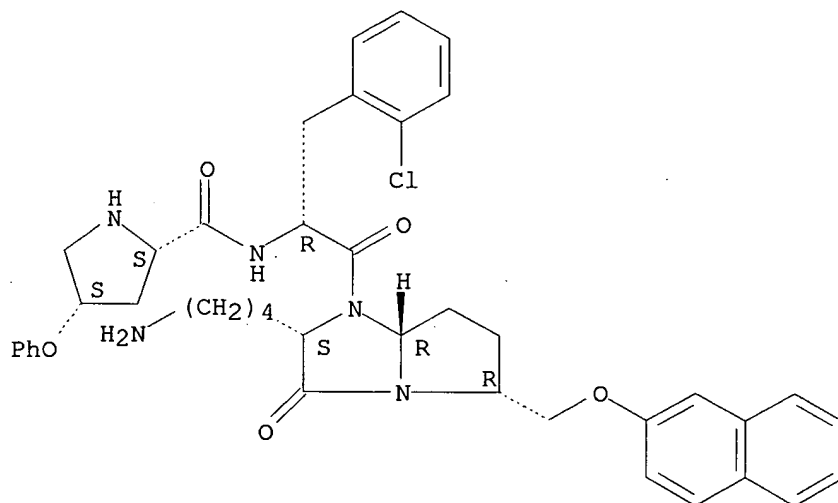
Absolute stereochemistry.



RN 497935-61-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-phenoxy-, (2S,4S)- (9CI) (CA INDEX NAME)

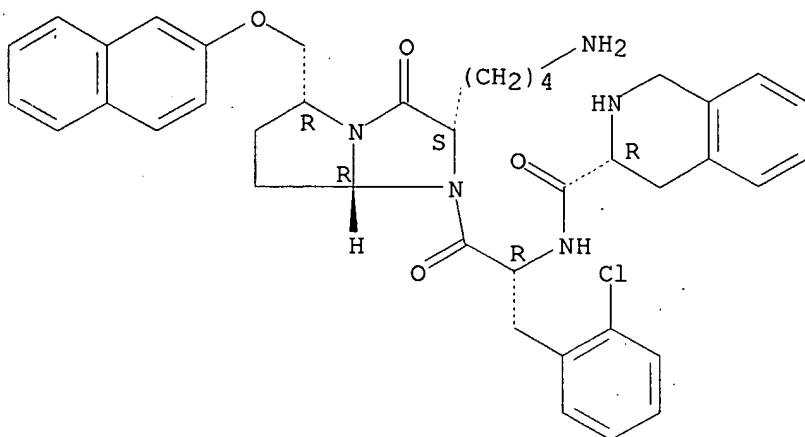
Absolute stereochemistry.



RN 497935-62-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

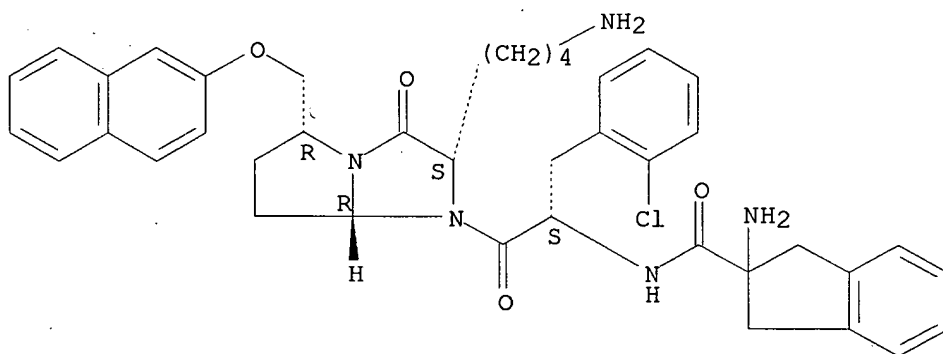
Absolute stereochemistry.



RN 497935-63-4 CAPLUS

CN 1H-Indene-2-carboxamide, 2-amino-N-[(1S)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI)
(CA INDEX NAME)

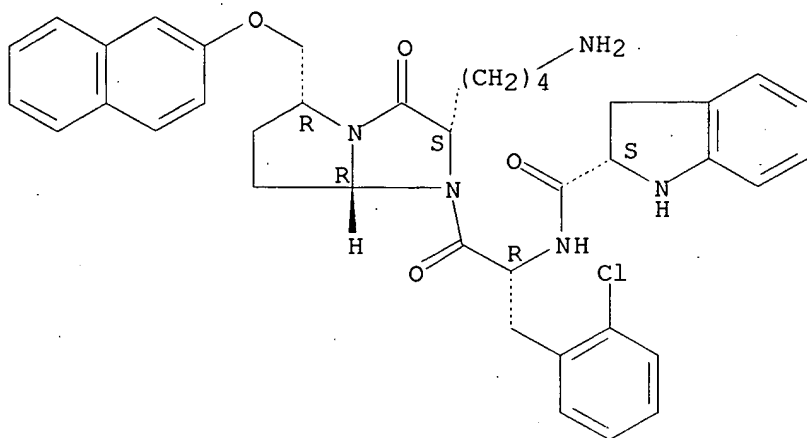
Absolute stereochemistry.



RN 497935-64-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

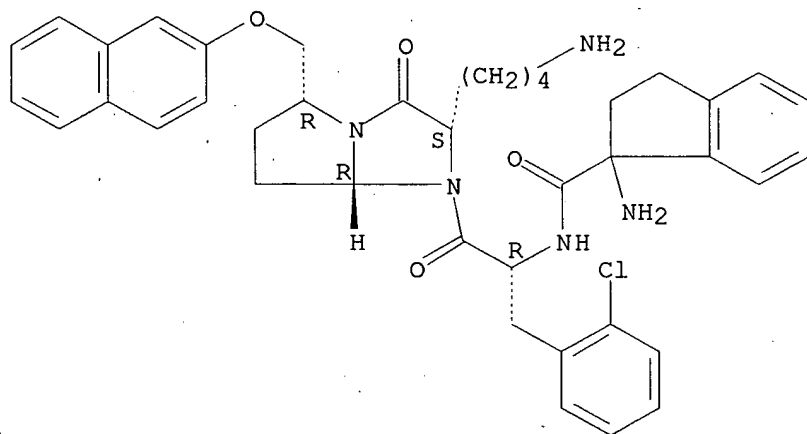
Absolute stereochemistry.



RN 497935-65-6 CAPLUS

CN 1H-Indene-1-carboxamide, 1-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI)
(CA INDEX NAME)

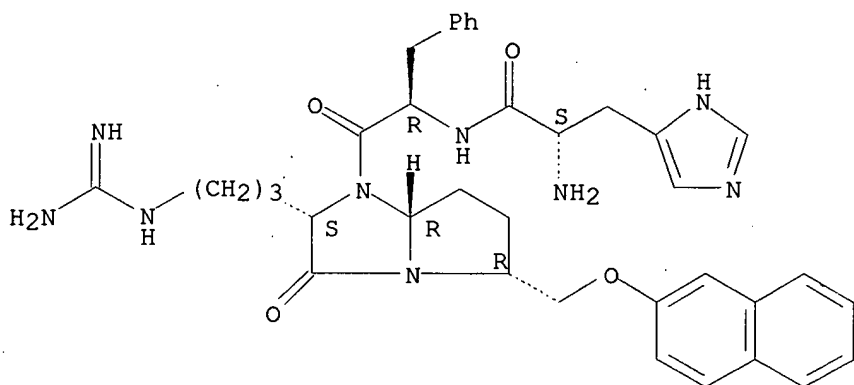
Absolute stereochemistry.



RN 497935-66-7 CAPLUS

CN 1H-Imidazole-4-propanamide, α -amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (α S)- (9CI) (CA INDEX NAME)

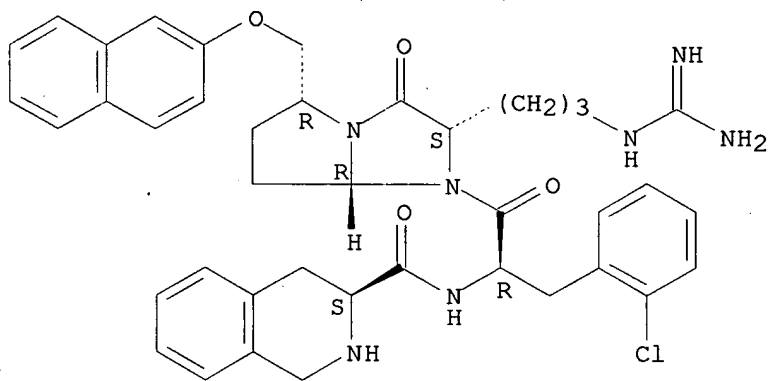
Absolute stereochemistry.



RN 497935-67-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

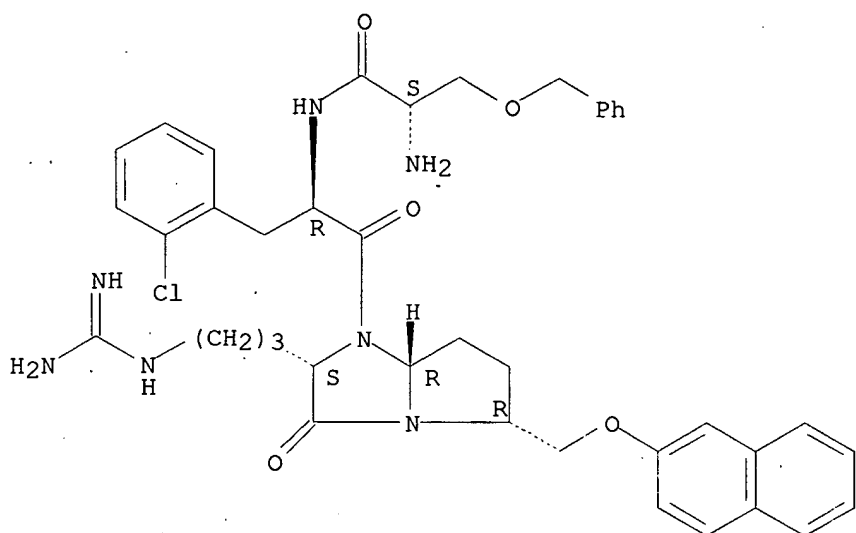
Absolute stereochemistry.



RN 497935-68-9 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

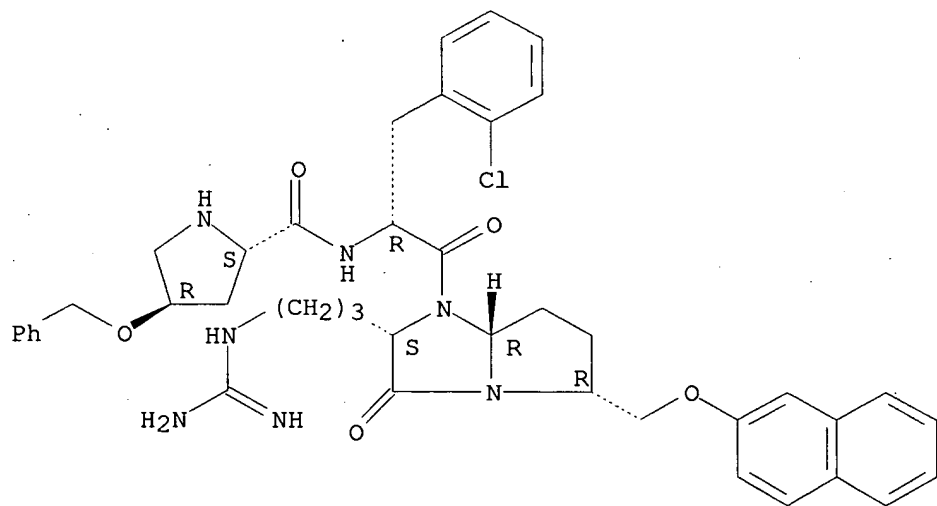
Absolute stereochemistry.



RN 497935-69-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

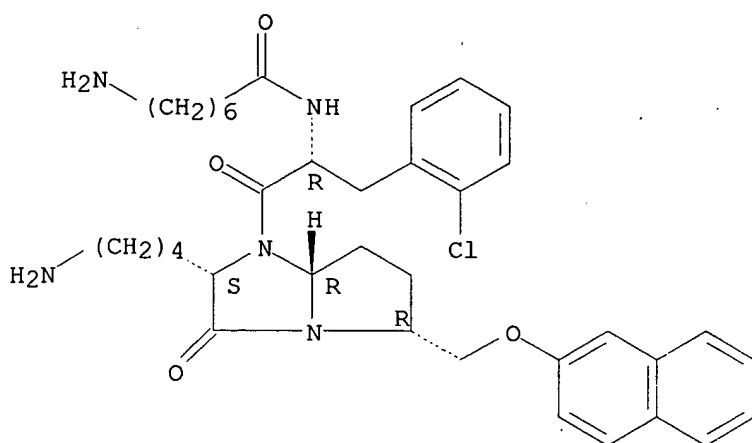
Absolute stereochemistry.



RN 497935-70-3 CAPLUS

CN Heptanamide, 7-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

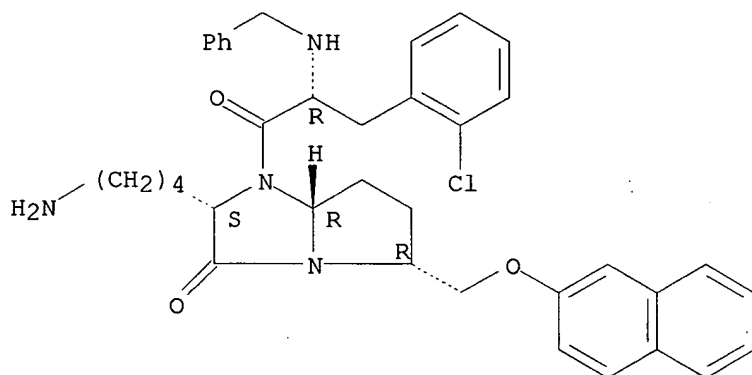
Absolute stereochemistry.



RN 497935-71-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-3-(2-chlorophenyl)-1-oxo-2-[(phenylmethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

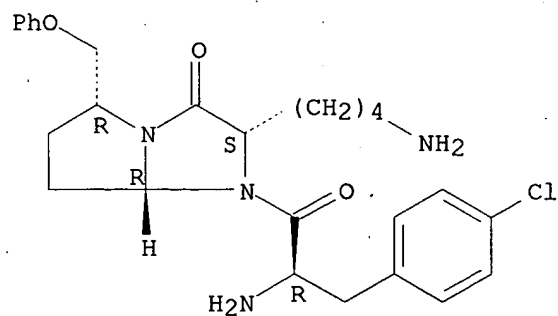
Absolute stereochemistry.



RN 497935-72-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxy)methyl-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

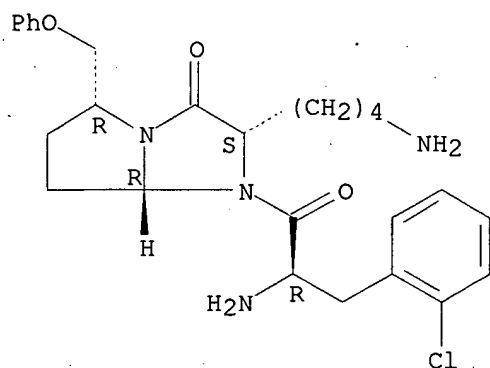


RN 497935-73-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxy)methyl-, (2S,5R,7aR)- (9CI)

(CA INDEX NAME)

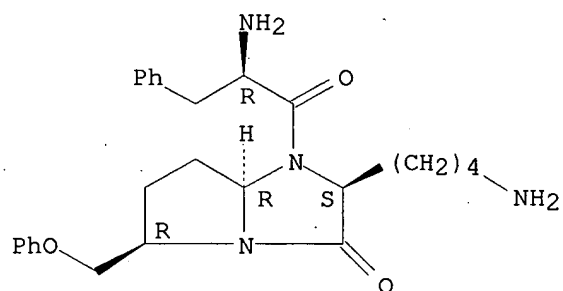
Absolute stereochemistry.



RN 497935-74-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

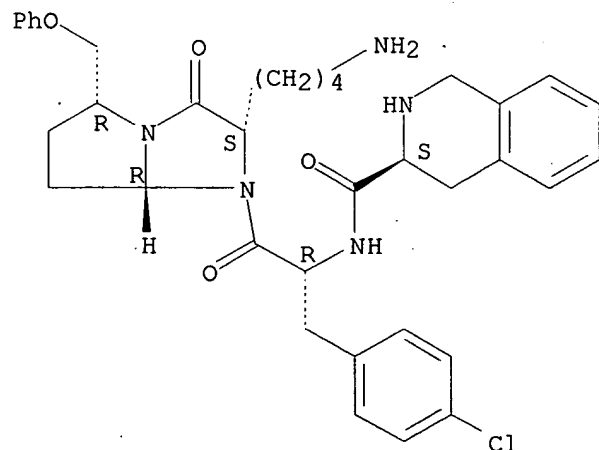
Absolute stereochemistry.



RN 497935-75-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-(phenoxymethyl)-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

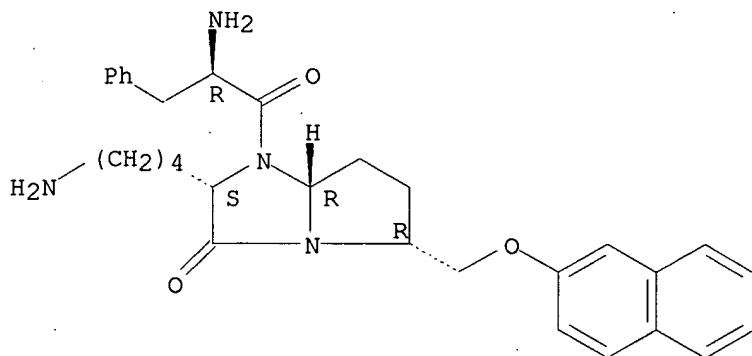
Absolute stereochemistry.



RN 497935-76-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

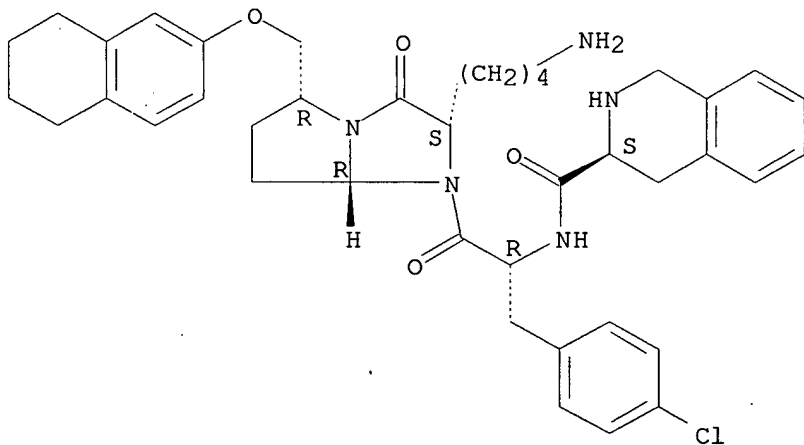
Absolute stereochemistry.



RN 497935-77-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

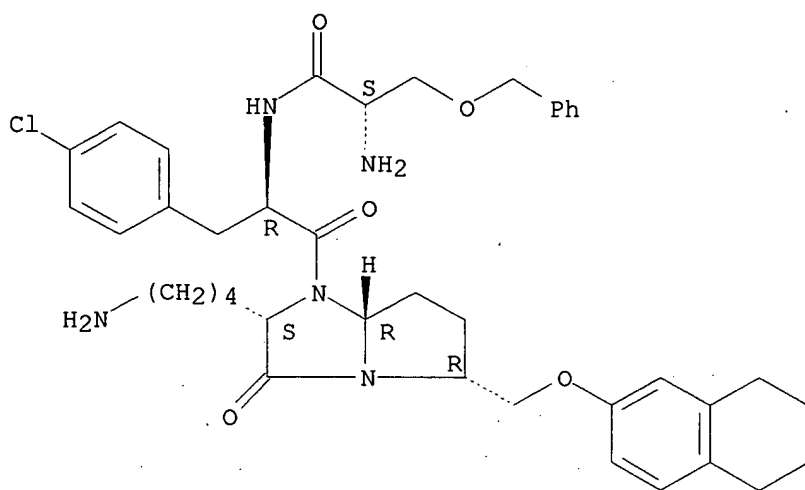
Absolute stereochemistry.



RN 497935-78-1 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

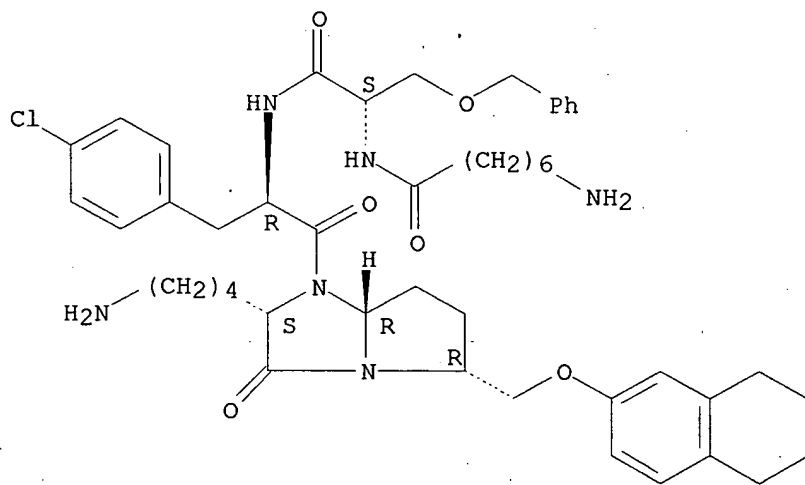
Absolute stereochemistry.



RN 497935-79-2 CAPLUS

CN Heptanamide, 7-amino-N-[(1S)-2-[[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

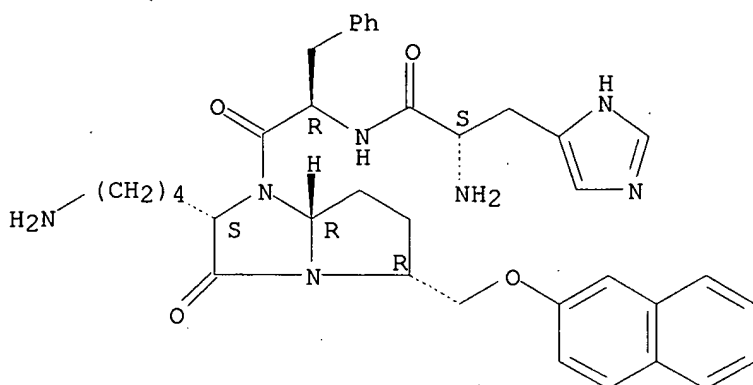
Absolute stereochemistry.



RN 497935-80-5 CAPLUS

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

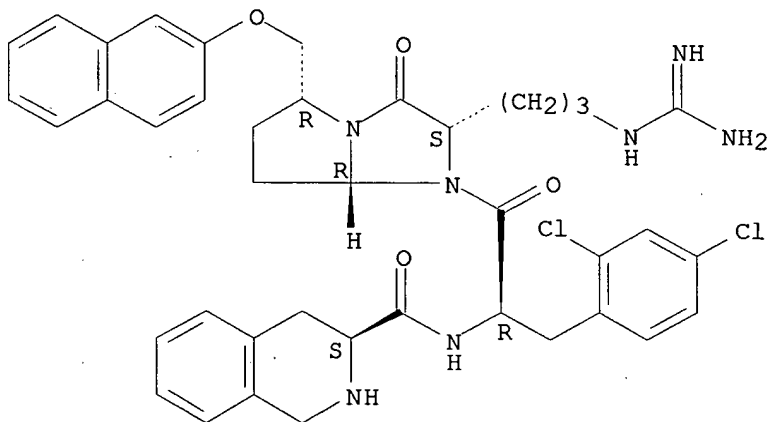
Absolute stereochemistry.



RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

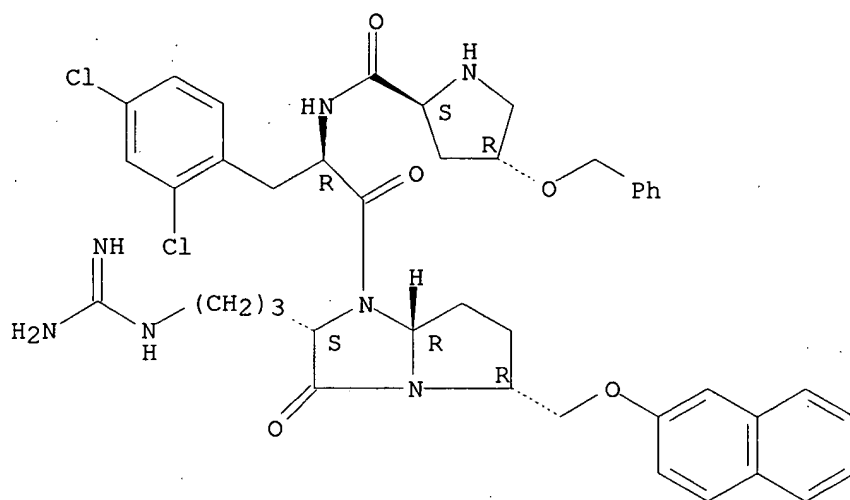
Absolute stereochemistry.



RN 497935-82-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

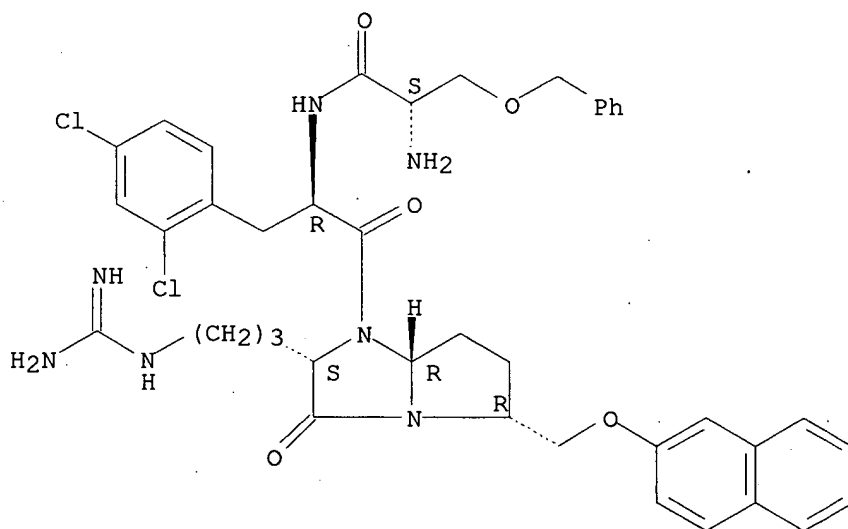
Absolute stereochemistry.



RN 497935-83-8 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

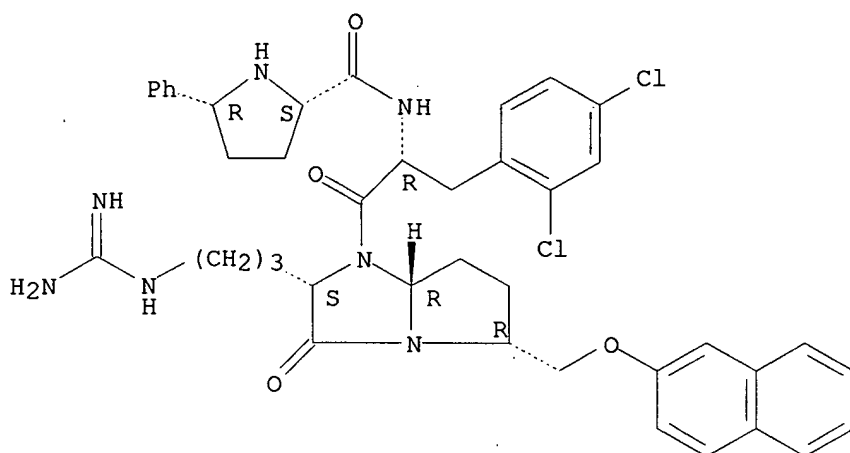
Absolute stereochemistry.



RN 497935-84-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

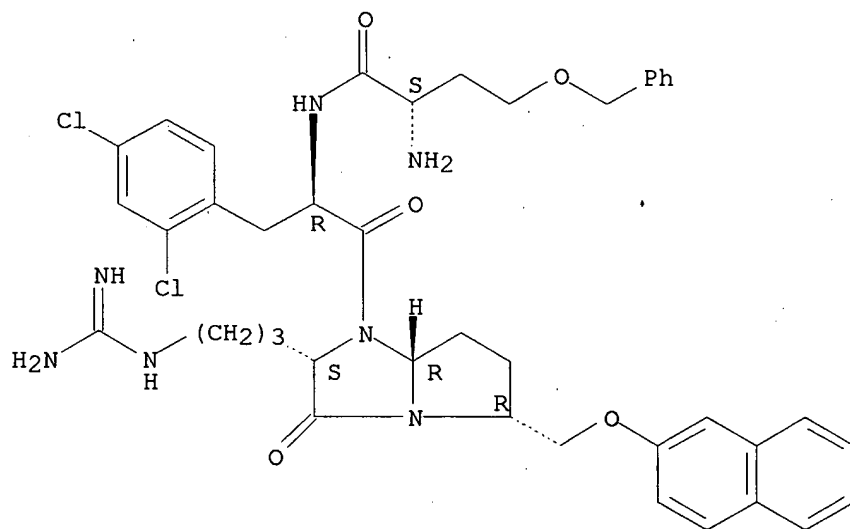
Absolute stereochemistry.



RN 497935-85-0 CAPLUS

CN Butanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

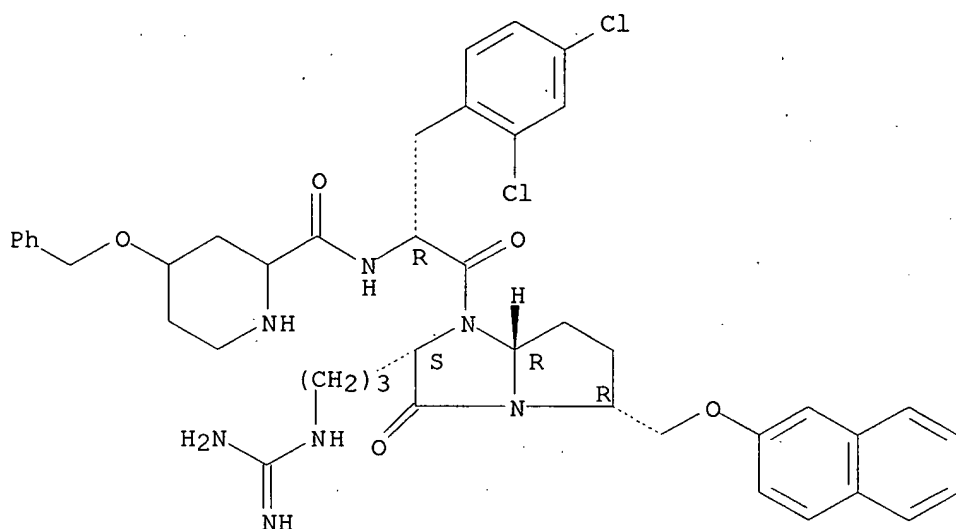
Absolute stereochemistry.



RN 497935-86-1 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

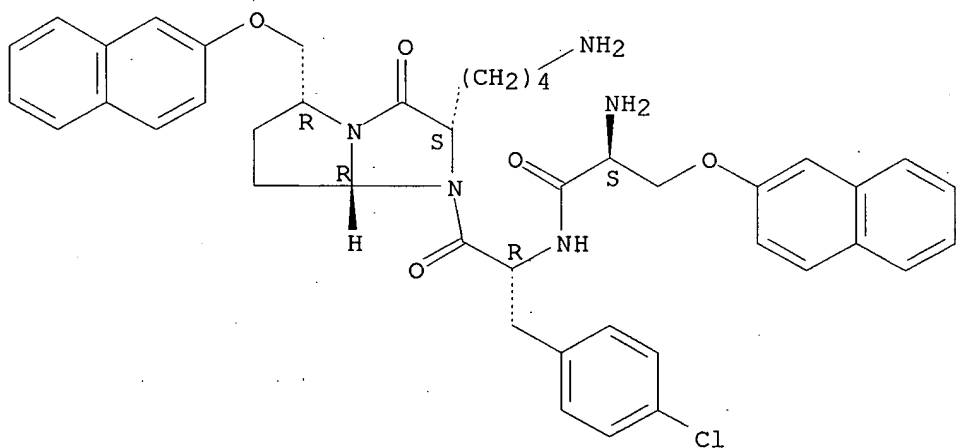
Absolute stereochemistry.



RN 497935-87-2 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-naphthalenyloxy)-, (2S)- (9CI) (CA INDEX NAME)

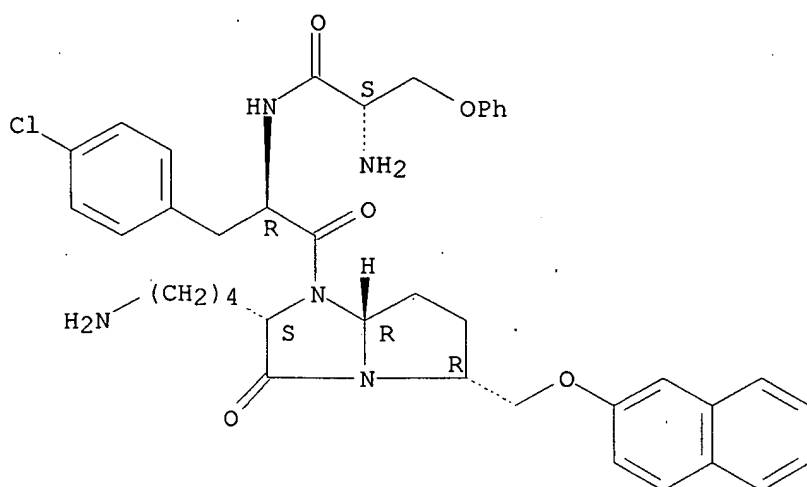
Absolute stereochemistry.



RN 497935-88-3 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-phenoxy-, (2S)- (9CI) (CA INDEX NAME)

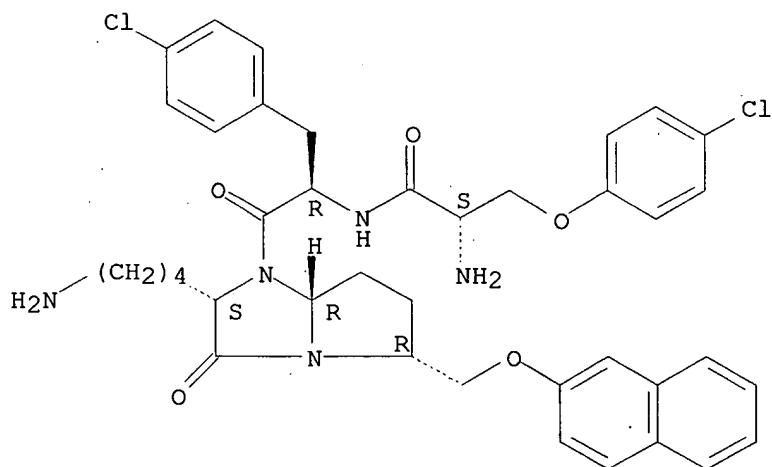
Absolute stereochemistry.



RN 497935-89-4 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(4-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

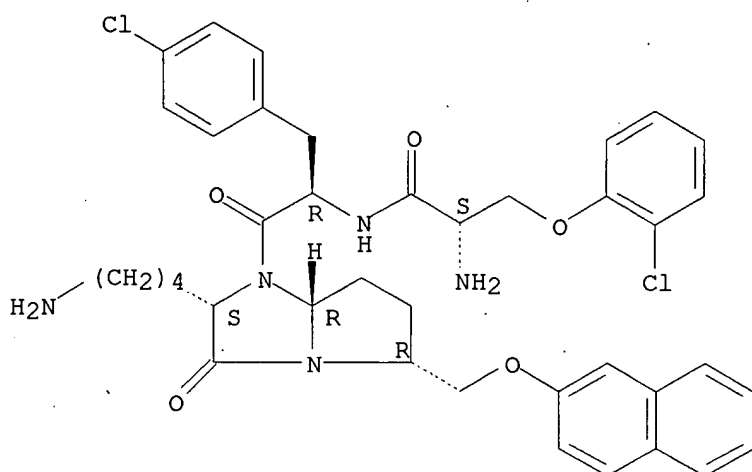
Absolute stereochemistry.



RN 497935-90-7 CAPLUS

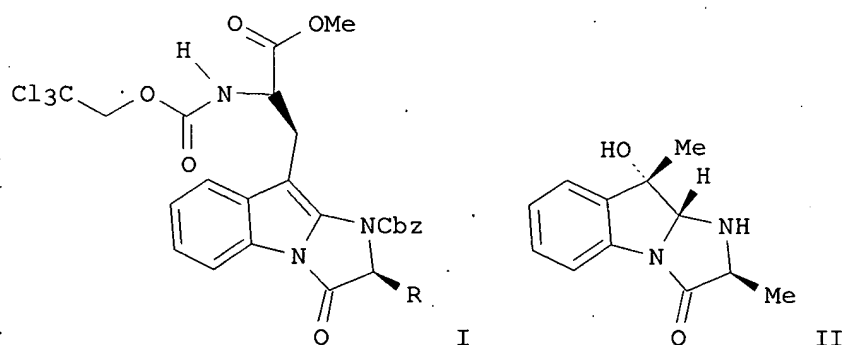
CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:962180 CAPLUS
DN 138:170390
TI Total Synthesis of (-)-Fumiquinazolines A, B, C, E, H, and I. Approaches to the Synthesis of Fiscalin A
AU Snider, Barry B.; Zeng, Hongbo
CS Department of Chemistry, Brandeis University, Waltham, MA, 02454-9110, USA
SO Journal of Organic Chemistry (2003), 68(2), 545-563
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 138:170390
GI



AB The first syntheses of (-)-fumiquinazolines A, B, and I, which proceed in 14 steps from protected tryptophan, anthranilic acid, leucine, and alanine in 7% overall yield, are described. Tricycle I (R = Me, CH₂CHMe₂) was formed by a palladium-catalyzed cyclization. Oxidation of I (R = Me) with a saccharine-derived oxaziridine for fumiquinazolines A and B and oxidation of I (R = CH₂CHMe₂) with dimethyldioxirane for fumiquinazoline I selectively formed the appropriate imidazoindolone stereoisomers. Application of the Ganesan-Mazurkiewicz cyclization completed the syntheses. Efficient 14-step syntheses of (-)-fumiquinazolines C and E and a 15-step synthesis of (-)-fumiquinazoline H using FmocNHCH(CH₂SePh)CO₂H as a dehydroalanine

precursor that spontaneously eliminated benzeneselenol without oxidation under the cyclization conditions are also reported. Model II for fiscalin A with the H and OH anti to each other has been prepared, but the procedure that worked for the model failed with the fully functionalized side chain.

IT 210702-36-6

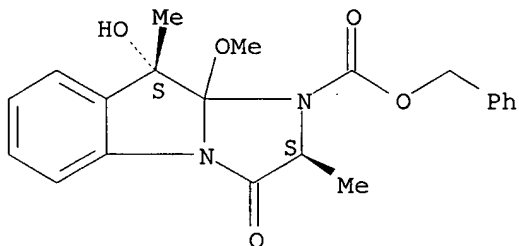
RL: RCT (Reactant); RACT (Reactant or reagent)

(total synthesis of (-)-fumiquinazolines A, B, C, E, H, and I and fiscalin A via Ganesan-Mazurkiewicz cyclization)

RN 210702-36-6 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 316828-38-3P 316828-43-0P 316828-44-1P

316828-45-2P 316828-53-2P 316828-54-3P

316828-55-4P 316828-57-6P 422319-31-1P

422319-35-5P 422319-37-7P 422319-39-9P

422319-40-2P 422319-50-4P 496962-01-7P

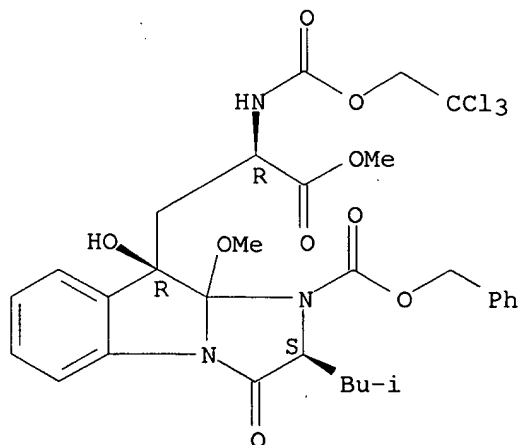
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total synthesis of (-)-fumiquinazolines A, B, C, E, H, and I and fiscalin A via Ganesan-Mazurkiewicz cyclization)

RN 316828-38-3 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

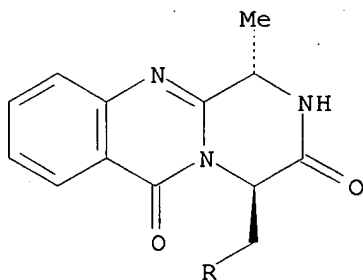
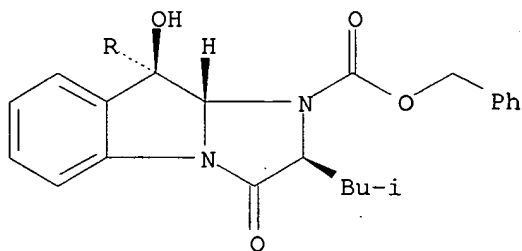


RN 316828-43-0 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-

(2-methylpropyl)-3-oxo-9-[[[(1S,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester,
(2S,9R,9aS)- (9CI) (CA INDEX NAME)

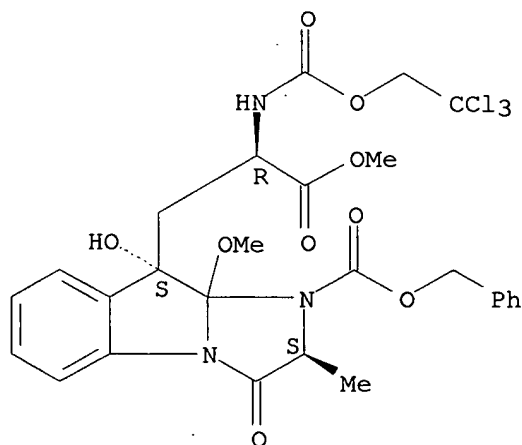
Absolute stereochemistry. Rotation (-).



RN 316828-44-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]-α-[[2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9S)- (9CI)
(CA INDEX NAME)

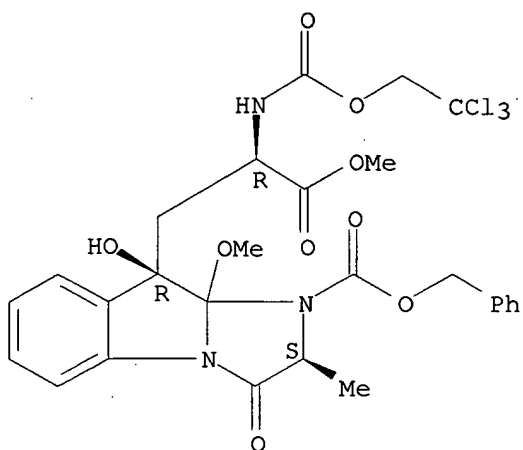
Absolute stereochemistry.



RN 316828-45-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]-α-[[2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9R)- (9CI)
(CA INDEX NAME)

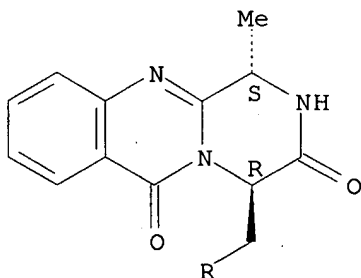
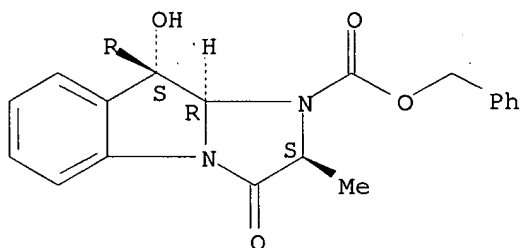
Absolute stereochemistry.



RN 316828-53-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1S,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

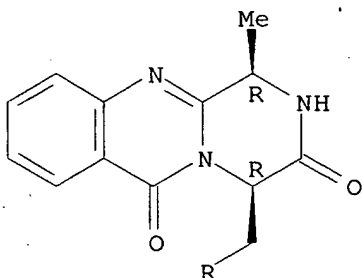
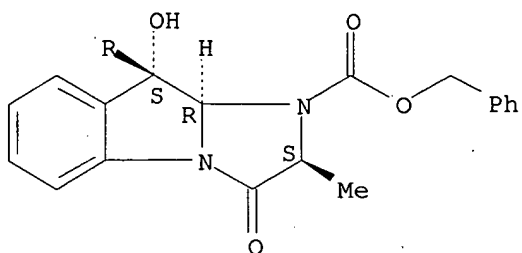
Absolute stereochemistry. Rotation (-).



RN 316828-54-3 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

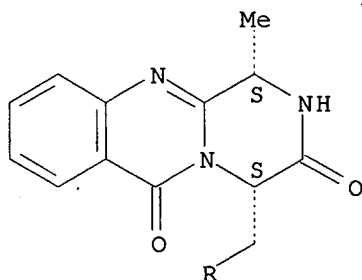
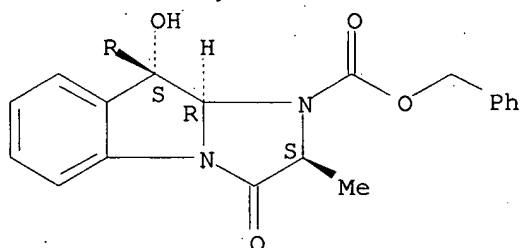
Absolute stereochemistry. Rotation (+).



RN 316828-55-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

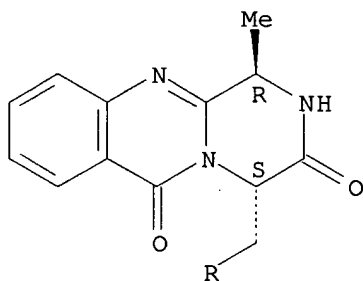
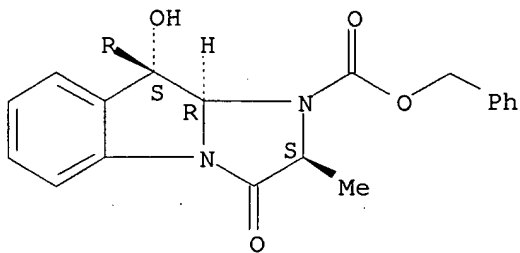
Absolute stereochemistry. Rotation (+).



RN 316828-57-6 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

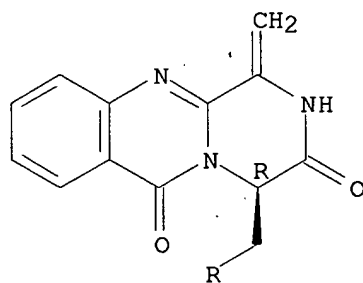
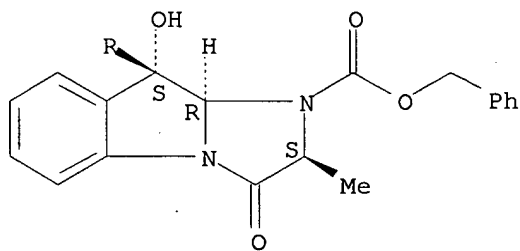
Absolute stereochemistry. Rotation (+).



RN 422319-31-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

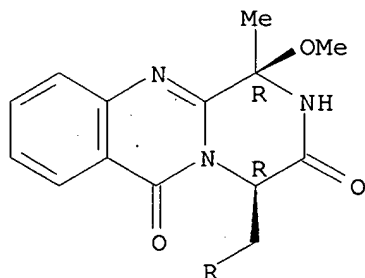
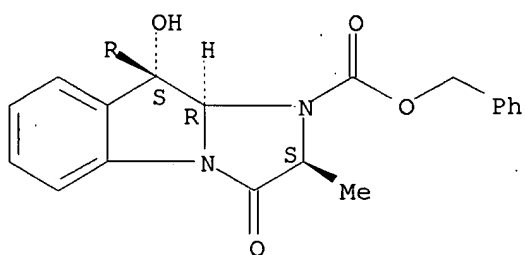
Absolute stereochemistry. Rotation (-).



RN 422319-35-5 CAPLUS

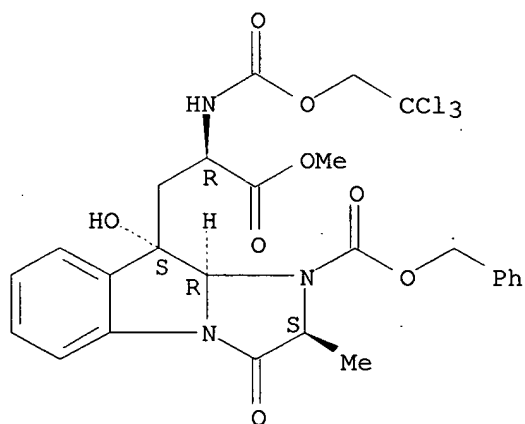
CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4R)-1,3,4,6-tetrahydro-1-methoxy-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



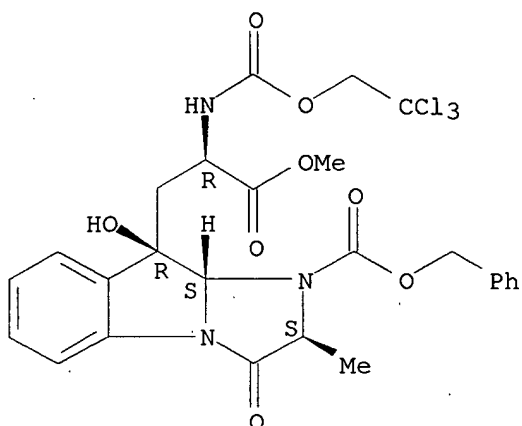
RN 422319-37-7 CAPLUS
 CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 422319-39-9 CAPLUS
 CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9R,9aS)-(9CI) (CA INDEX NAME)

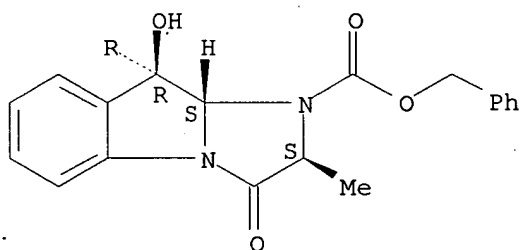
Absolute stereochemistry. Rotation (+).



RN 422319-40-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)-(9CI) (CA INDEX NAME)

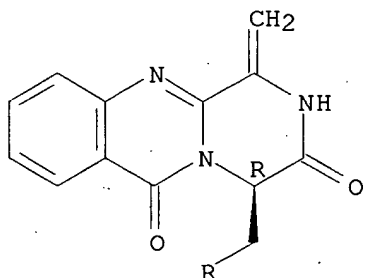
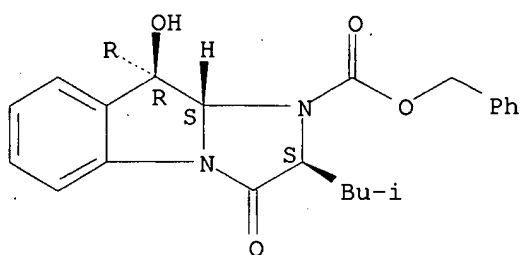
Absolute stereochemistry. Rotation (-).



RN 422319-50-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)-(9CI) (CA INDEX NAME)

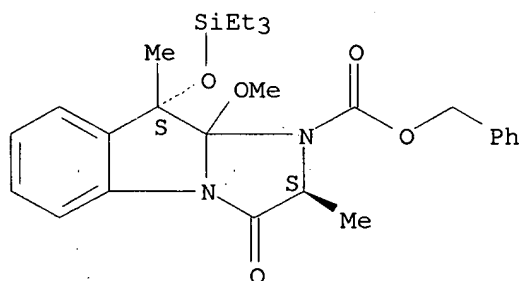
Absolute stereochemistry. Rotation (+).



RN 496962-01-7 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9a-methoxy-2,9-dimethyl-3-oxo-9-[(triethylsilyl)oxy]-, phenylmethyl ester, (2S,9S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



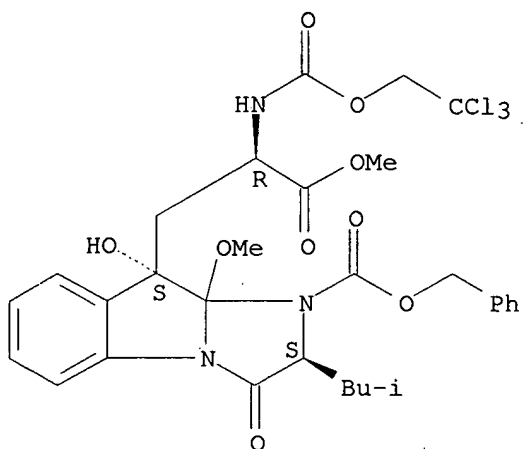
IT 316828-37-2P 422319-55-9P 496962-04-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of (-)-fumiquinazolines A, B, C, E, H, and I and
fiscalin A via Ganesan-Mazurkiewicz cyclization)

RN 316828-37-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-α-[[2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9S)-(9CI) (CA INDEX NAME)

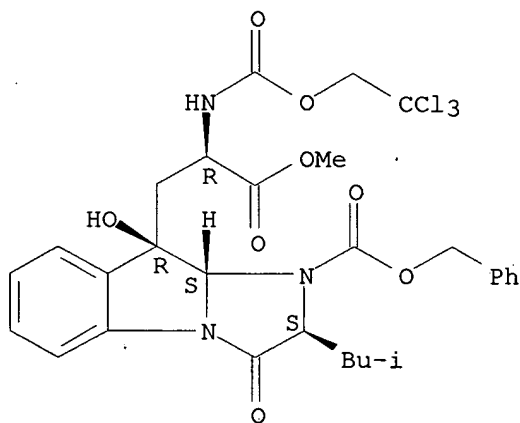
Absolute stereochemistry.



RN 422319-55-9 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9R,9aS)-(9CI) (CA INDEX NAME)

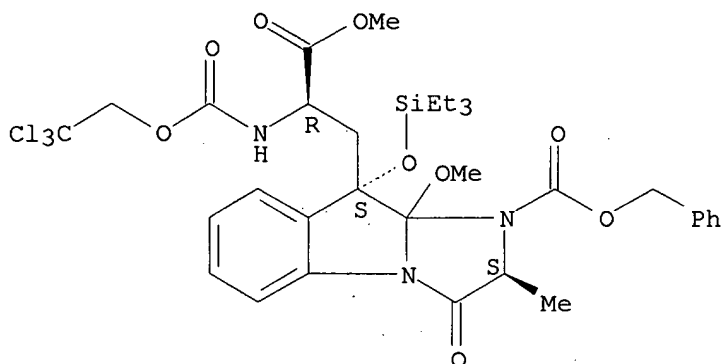
Absolute stereochemistry. Rotation (+).



RN 496962-04-0 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[2,2,2-trichloroethoxy)carbonyl]amino]-9-[(triethylsilyl)oxy]-, methyl ester, (α R,2S,9S)-(9CI) (CA INDEX NAME)

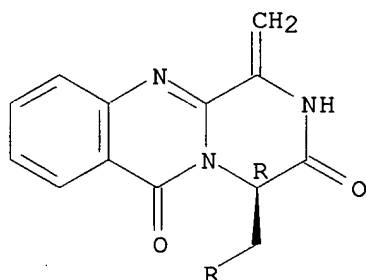
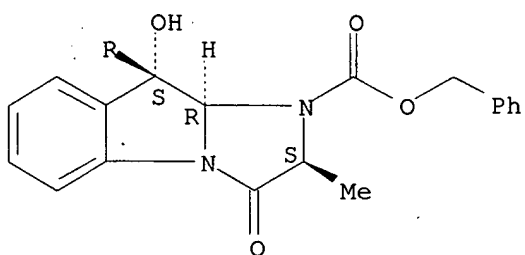
Absolute stereochemistry.



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:177405 CAPLUS
DN 136:369882
TI Total Syntheses of (-)-Fumiquinazolines C, E, and H
AU Snider, Barry B.; Zeng, Hongbo
CS Department of Chemistry, Brandeis University, Waltham, MA, 02454-9110, USA
SO Organic Letters (2002), 4(7), 1087-1090
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 136:369882
AB Total syntheses of the heptacyclic fumiquinazolines C and H have been accomplished efficiently using FmocNHCH(CH₂SePh)CO₂H as the precursor for the requisite dehydrofumiquinazoline.
IT 422319-31-1P 422319-35-5P 422319-37-7P
422319-39-9P 422319-40-2P 422319-50-4P
422319-55-9P 422319-66-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total syntheses of (-)-fumiquinazolines C, E, and H)
RN 422319-31-1 CAPLUS
CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

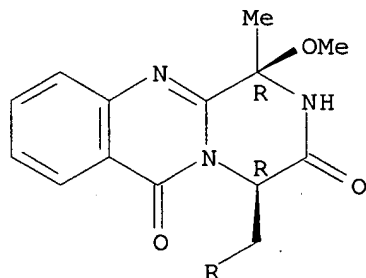
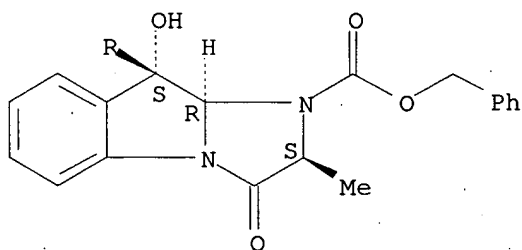
Absolute stereochemistry. Rotation (-).



RN 422319-35-5 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4R)-1,3,4,6-tetrahydro-1-methoxy-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

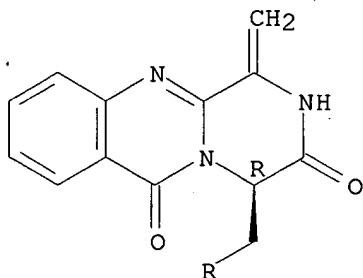
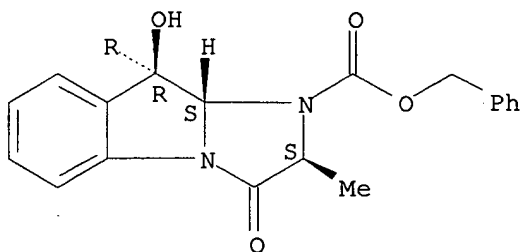


RN 422319-37-7 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]-α-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

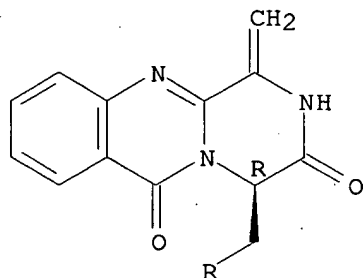
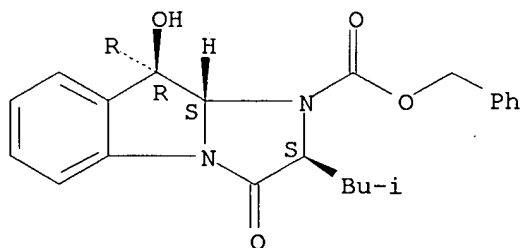
Absolute stereochemistry. Rotation (-).



RN 422319-50-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

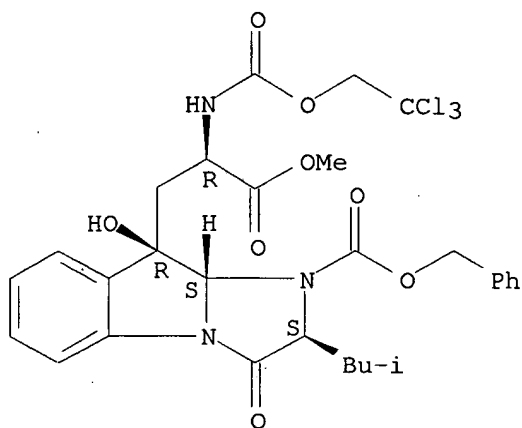
Absolute stereochemistry. Rotation (+).



RN 422319-55-9 CAPLUS

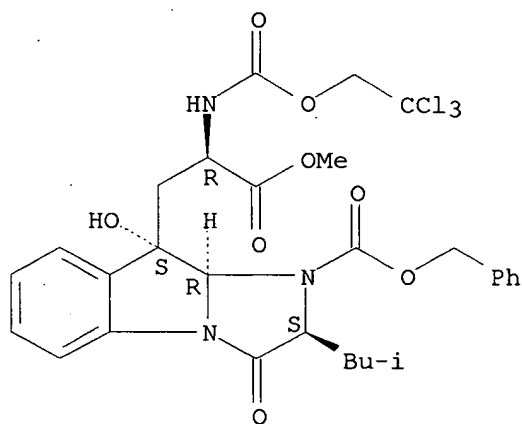
CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-α-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9R,9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 422319-66-2 CAPLUS
 CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

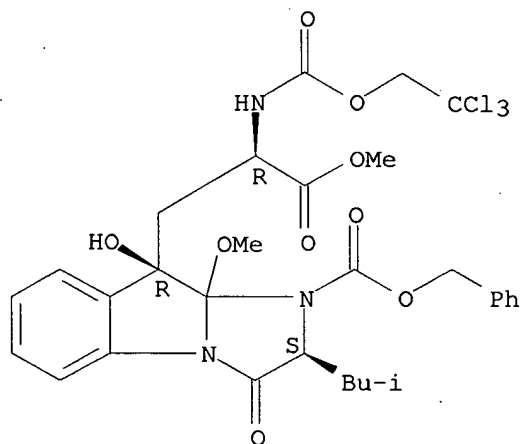
L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2000:818613 CAPLUS
 DN 134:86414
 TI Total Syntheses of (-)-Fumiquinazolines A, B, and I
 AU Snider, Barry B.; Zeng, Hongbo
 CS Department of Chemistry MS015, Brandeis University, Waltham, MA, 02454-9110, USA
 SO Organic Letters (2000), 2(25), 4103-4106
 CODEN: ORLEF7; ISSN: 1523-7060
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 134:86414
 GI

AB The first total syntheses of (-)-fumiquinazolines A (I α -Me, α -OH, R = β -Me), B (I β -Me, α -OH, R = β -Me), and I (I α -Me, β -OH, R = β -i-Bu) have been accomplished efficiently using the Pd-catalyzed cyclization of an iodoindole carbamate II (R = Me, i-Bu) to construct the imidazoindolone moiety III (R = Me, i-Bu) and the dehydrative cyclization of a diamide followed by rearrangement through an amidine to construct the quinazoline moiety.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

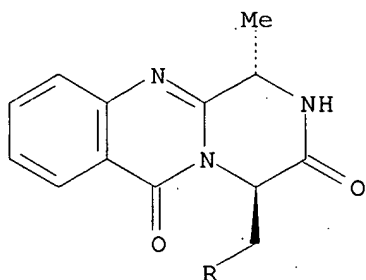
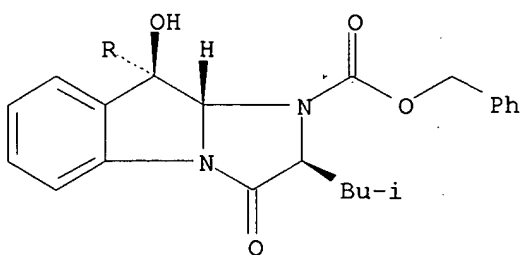
RN 316828-38-3 CAPLUS

Absolute stereochemistry.



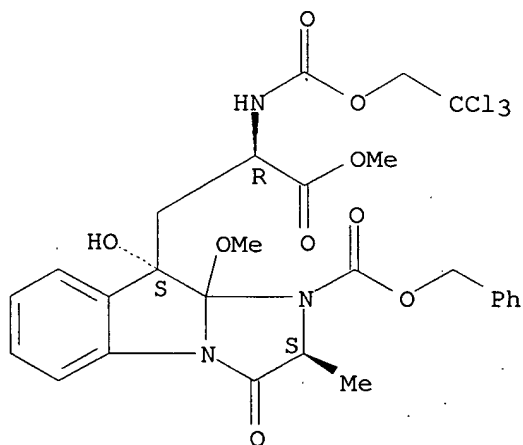
CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(1S,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



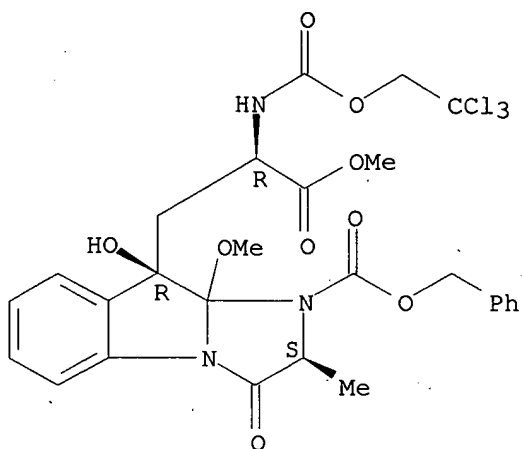
RN 316828-44-1 CAPLUS
 CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9S)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 316828-45-2 CAPLUS
 CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9R)- (9CI)
 (CA INDEX NAME)

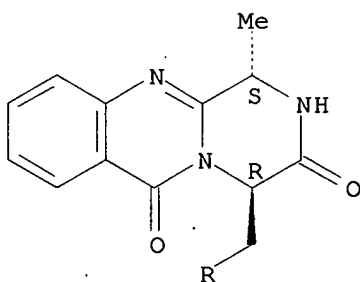
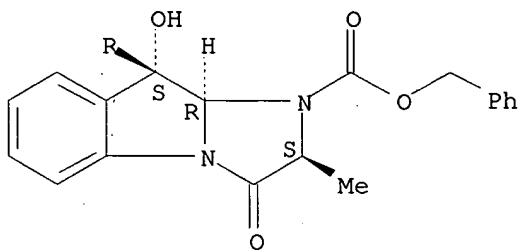
Absolute stereochemistry.



RN 316828-53-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1S,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

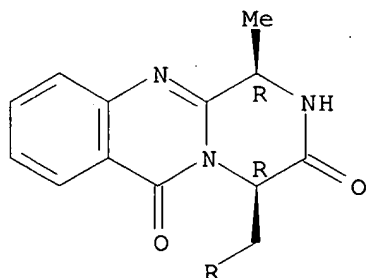
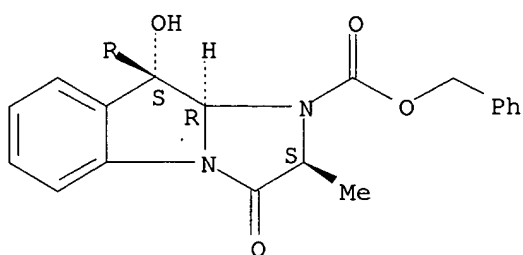
Absolute stereochemistry. Rotation (-).



RN 316828-54-3 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

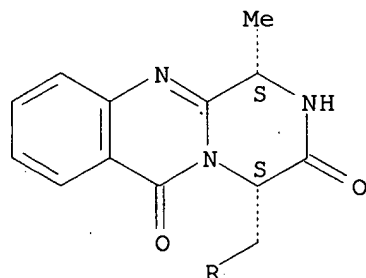
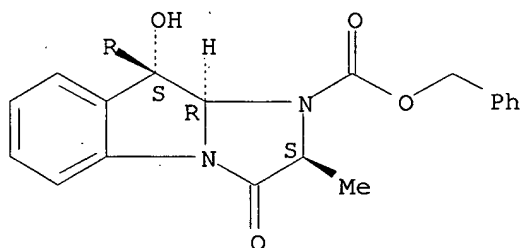
Absolute stereochemistry. Rotation (+).



RN 316828-55-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1S,4S)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

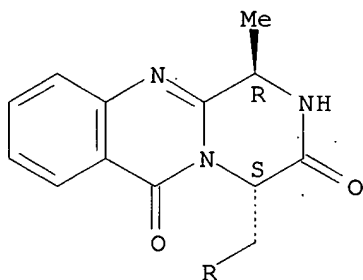
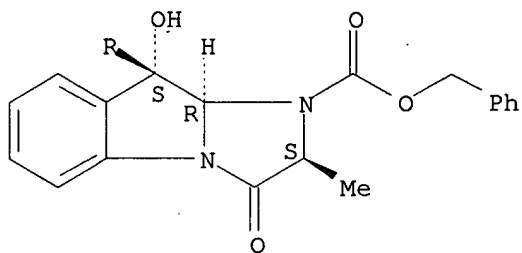
Absolute stereochemistry. Rotation (+).



RN 316828-57-6 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4S)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 316828-37-2P

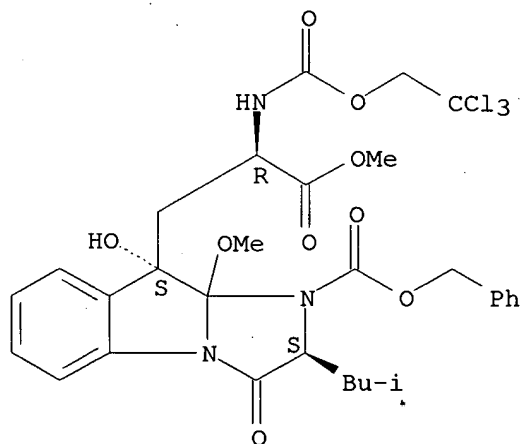
RL: SPN (Synthetic preparation); PREP (Preparation)

(total syntheses of (-)-fumiquinazolines A, B, and I)

RN 316828-37-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-α-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1998:411058 CAPLUS

DN 129:136341

TI Total Syntheses of (-)-Asperlicin and (-)-Asperlicin C

AU He, Feng; Foxman, Bruce M.; Snider, Barry B.

CS Department of Chemistry, Brandeis University, Waltham, MA, 02254-9110, USA

SO Journal of the American Chemical Society (1998), 120(25); 6417-6418

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society
DT Journal
LA English
OS CASREACT 129:136341
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB (-)-Asperlicin (I) was prepared from N α -(2,2,2-trichloroethoxycarbonyl)-L-tryptophan and N-(benzyloxycarbonyl)-L-leucine via cyclization of indoloimidazole II with o-[(2,2,2-trichloroethoxycarbonyl)amino]benzoic acid and cyclocondensation of benzodiazepinedione III with o-azidobenzoyl chloride. (-)-Asperlicin C (IV) was prepared via a similar cyclocondensation with o-azidobenzoyl chloride.

IT 102743-51-1P 210702-36-6P 210702-37-7P
210702-38-8P 210702-40-2P 210702-50-4P
210702-56-0P 210702-57-1P 210702-58-2P
210702-60-6P 210702-62-8P 210704-04-4P

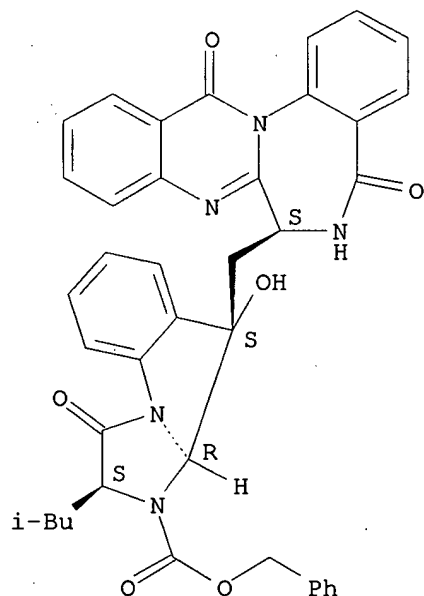
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total syntheses of (-)-asperlicin and (-)-asperlicin C)

RN 102743-51-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[[(7S)-5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

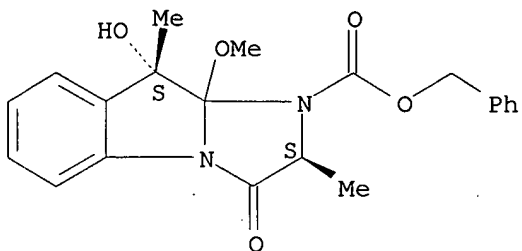
Absolute stereochemistry. Rotation (-).



RN 210702-36-6 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9S)- (9CI) (CA INDEX NAME)

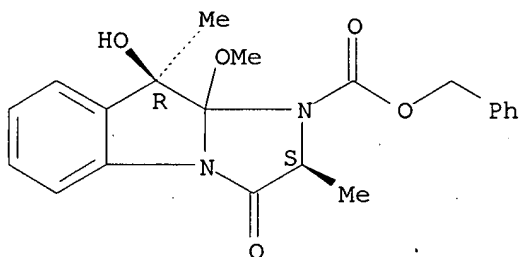
Absolute stereochemistry.



RN 210702-37-7 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9R)- (9CI) (CA INDEX NAME)

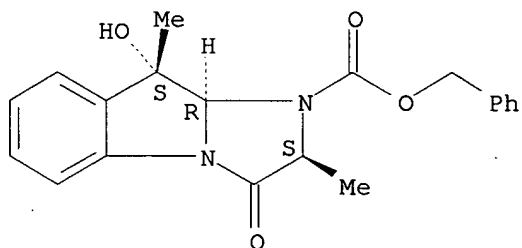
Absolute stereochemistry.



RN 210702-38-8 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

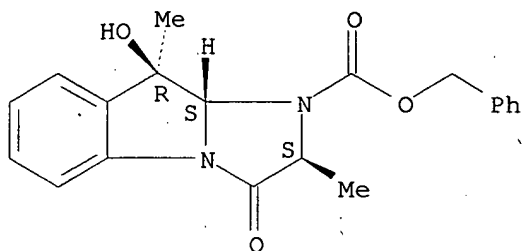
Absolute stereochemistry. Rotation (-).



RN 210702-40-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

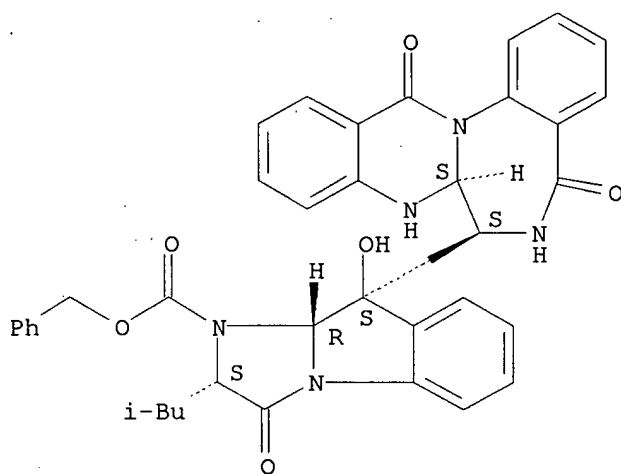
Absolute stereochemistry. Rotation (+).



RN 210702-50-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 9-[[(7S,7aS)-5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

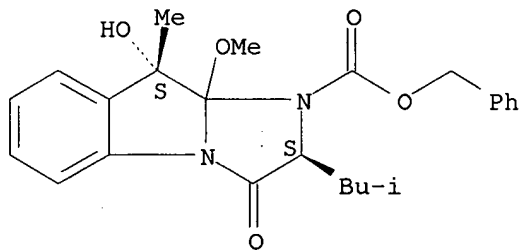
Absolute stereochemistry. Rotation (+).



RN 210702-56-0 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-9-methyl-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9S)- (9CI) (CA INDEX NAME)

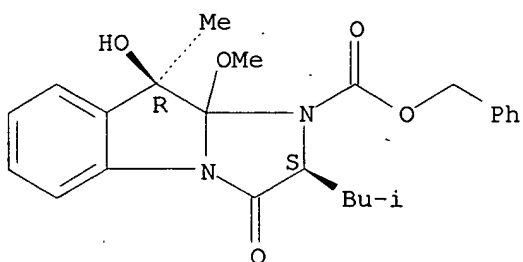
Absolute stereochemistry.



RN 210702-57-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-9-methyl-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9R)- (9CI) (CA INDEX NAME)

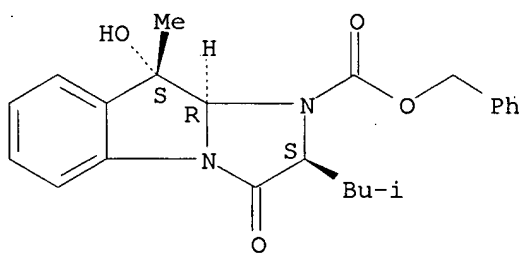
Absolute stereochemistry.



RN 210702-58-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9-methyl-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9S,9aR)- (9CI)
(CA INDEX NAME)

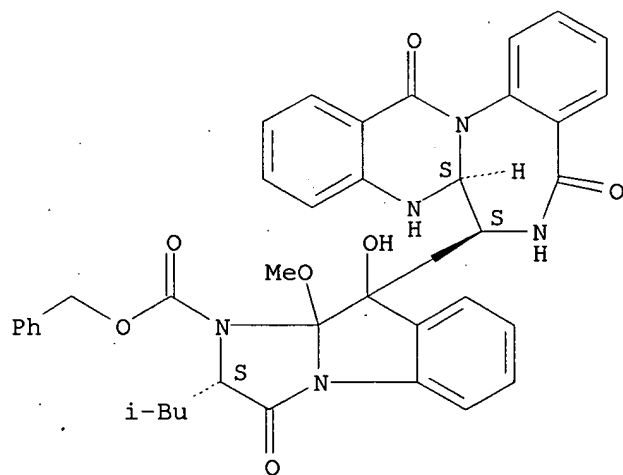
Absolute stereochemistry. Rotation (-).



RN 210702-60-6 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 9-[[[(7S,7aS)-5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

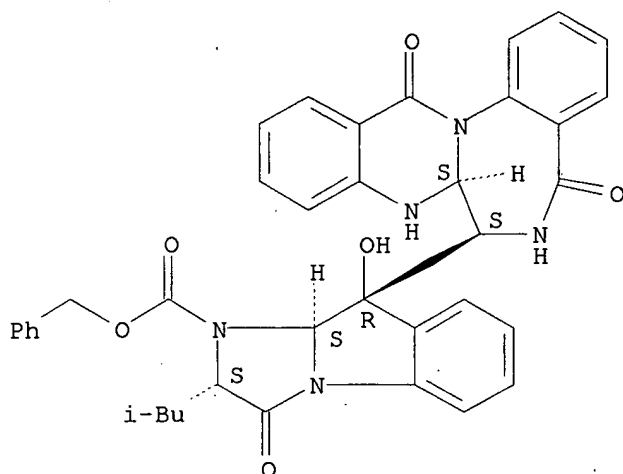
Absolute stereochemistry.



RN 210702-62-8 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 9-[[[(7S,7aS)-5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

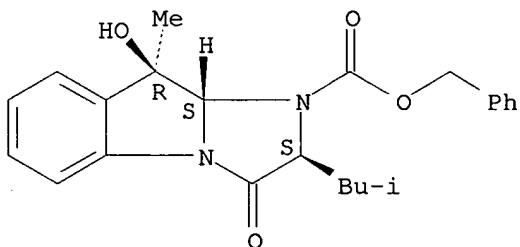
Absolute stereochemistry. Rotation (+).



RN 210704-04-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9-methyl-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9R,9aS)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:104822 CAPLUS

DN 122:56541

TI Anodic amide oxidations: conformationally restricted peptide building blocks from the direct oxidation of dipeptides

AU Cornille, Fabrice; Fobian, Yvetter M.; Slomczynska, Urszula; Beusen, Denise D.; Marshall, Garland R.; Moeller, Kevin D.

CS Dep. Mol. Biol. Pharmacol., Washington Univ., St. Louis, MO, 63130, USA

SO Tetrahedron Letters (1994), 35(38), 6989-92

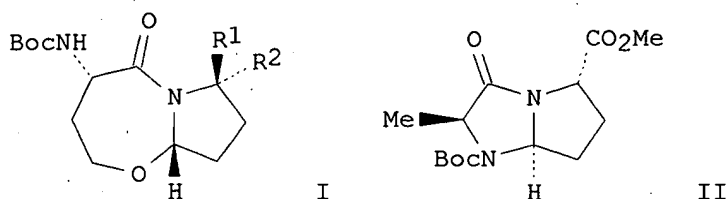
CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 122:56541

GI



AB A pair of bicyclic lactam based conformationally restricted peptide mimetics I (R 1 = H, R2 = CO2Me; R1 = CO2Me, R2 = H) have been synthesized in good yield by the direct anodic oxidation of dipeptides Boc-L-Hse-D-Pro-OMe and Boc-L-Hse-L-Pro-OMe. Similarly, bicyclic product II was obtained in 56% overall yield in a 2-step oxidation-cyclization procedure starting with dipeptide Boc-L-Ala-L-Pro-OMe.. This work highlights the simplicity of using electrochem. to construct peptide mimetics and serves to further define the nature of the substituent that are compatible with an electrochem. procedure for annulating rings into amino acid derivs.

IT 159912-49-9P

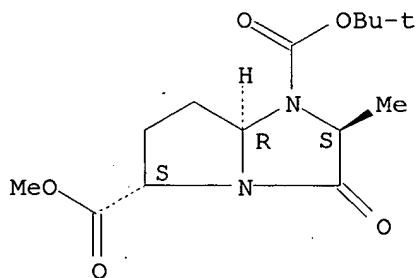
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of conformationally restricted peptide building blocks via direct anodic oxidation and cyclization of proline-containing dipeptides)

RN 159912-49-9 CAPLUS

CN 1H-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-2-methyl-3-oxo-, 1-(1,1-dimethylethyl) 5-methyl ester, [2S-(2 α ,5 β ,7 α β)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:46800 CAPLUS

DN 122:10558

TI Application of HMBC and HMQC-TOCSY NMR methods to assign the structures of bicyclic-peptide mimetics

AU d'Avignon, D. Andre; Hanau, Cathleen E.; Fobian, Yvette M.; Moeller, Kevin D.

CS Department of Chemistry, Washington University, St. Louis, MO, 63130, USA

SO Journal of Coordination Chemistry (1994), 32(1-3), 135-44

CODEN: JCCMBQ; ISSN: 0095-8972

DT Journal

LA English

AB The structures of representative bicyclic peptides are confirmed through the NMR methods of HMBC and HMQC-TOCSY. Complete assignment of proton and carbon resonances is afforded by these two-dimensional NMR methods. HMQC-TOCSY is especially useful for assigning spectra in mols. having extensive proton spin systems and in establishing connectivities between protonated carbons. Long-range proton-carbon connectivities obtained by HMBC confirm

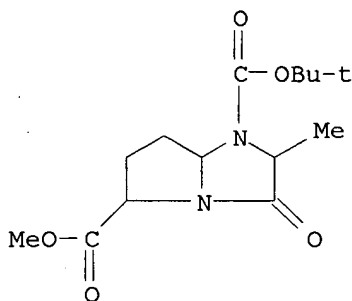
structure in mols. containing heteroatoms or non-protonated carbons that interrupt proton spin systems.

IT 159326-38-2P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(application of HMBC and HMQC-TOCSY NMR methods to assign the structures of bicyclic peptide mimetics)

RN 159326-38-2 CAPLUS

CN 1H-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-2-methyl-3-oxo-, 1-(1,1-dimethylethyl) 5-methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1993:473065 CAPLUS

DN 119:73065

TI Synthesis of a bicyclic γ -lactam dipeptide analog

AU Baldwin, Jack E.; Hulme, Christopher; Edwards, Alison J.; Schofield, Christopher J.; Parkes, Kevin E. B.

CS Dyson Perrins Lab., Oxford, OX1 3QY, UK

SO Tetrahedron Letters (1993), 34(10), 1665-8

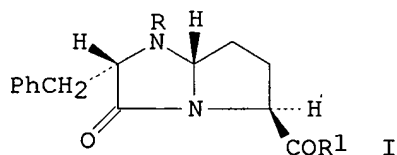
CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 119:73065

GI



AB The synthesis of conformationally restrained bicyclic γ -lactam dipeptide mimetics I (R = H, Z, R1 = OH, OCH2Ph, NHCH2CHMe2; Z = PhCH2O2C), involving a diastereoselective bicyclization reaction is described. Thus, oxidative cleavage (OsO4, NaIO4) of dipeptide Z-L-Phe-L-NHCH(CO2CH2Ph)CH2CH2CH:X (II; X = CH2) gave aldehyde II (X = O) as a mixture of the free aldehyde and cyclic hemiaminal forms. Cyclization of this mixture with acid gave bicyclic lactam I (R = Z, R1 = OCH2Ph). The stereochem. at C-5 was determined by MO calcns. on models and by x-ray crystallog. of I (R = Z, R1 = OH). I exist as ca. 1:1 mixts of conformers at ambient temperature

IT 148696-59-7 148766-59-0

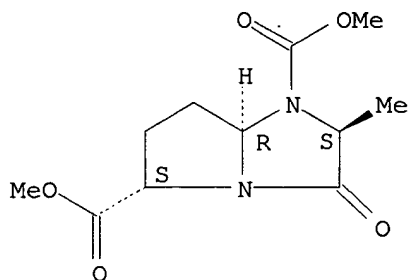
RL: PRP (Properties)

(conformation and steric energy of, vs. diastereomer, by MO calcns.)

RN 148696-59-7 CAPLUS

CN 1H-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-2-methyl-3-oxo-, dimethyl ester, [2S-(2 α ,5 β ,7a β)]- (9CI) (CA INDEX NAME)

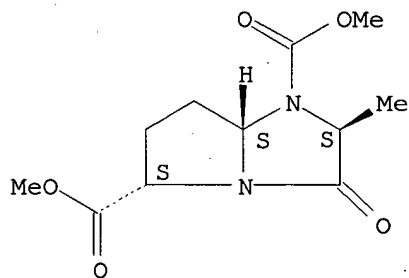
Absolute stereochemistry.



RN 148766-59-0 CAPLUS

CN 1H-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-2-methyl-3-oxo-, dimethyl ester, [2S-(2 α ,5 β ,7a α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 148696-56-4P

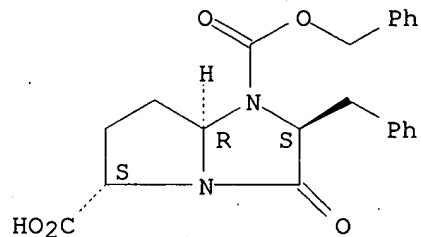
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation, amidation, crystal structure, and conformation of, by NMR)

RN 148696-56-4 CAPLUS

CN 1H-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-3-oxo-2-(phenylmethyl)-, 1-(phenylmethyl) ester, [2S-(2 α ,5 β ,7a β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 148696-57-5P

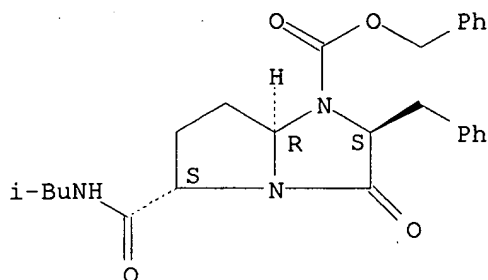
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation, deprotection, and conformation of, by NMR)

RN 148696-57-5 CAPLUS

CN 1H-Pyrrolo[1,2-a]imidazole-1-carboxylic acid, hexahydro-5-[[2-methylpropyl)amino]carbonyl]-3-oxo-2-(phenylmethyl)-, phenylmethyl ester, [2S-(2 α ,5 β ,7a β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



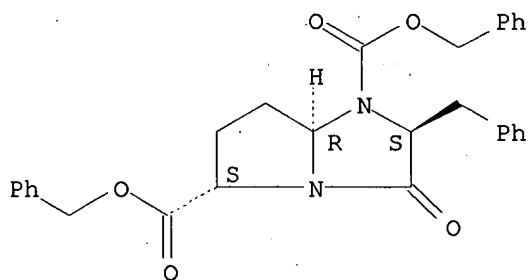
IT 148696-49-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, saponification, and conformation of, by NMR)

RN 148696-49-5. CAPLUS

CN 1H-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-3-oxo-2-(phenylmethyl)-, bis(phenylmethyl) ester, [2S-(2 α ,5 β ,7 α β)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1986:626158 CAPLUS

DN 105:226158

TI Cholecystokinin antagonists. Synthesis of asperlicin analogs with improved potency and water solubility

AU Bock, Mark G.; DiPardo, Robert M.; Rittle, Kenneth E.; Evans, Ben E.; Freidinger, Roger M.; Veber, Daniel F.; Chang, Raymond S. L.; Chen, Tsing Bau; Keegan, Maureen E.; Lotti, Victor J.

CS Dep. Med. Chem., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA

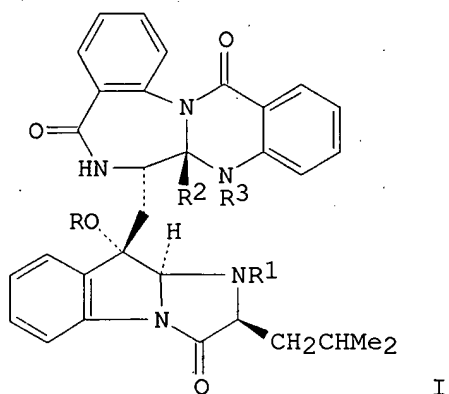
SO Journal of Medicinal Chemistry (1986), 29(10), 1941-5
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 105:226158

GI



AB Seventeen analogs I [R = H, COCH₂CH₂CO₂CH₂Ph, COCH₂CH₂CO₂H; R₁ = H, Ac, Et, (CH₂)₃Ph, protected amino acid, COCH₂CH₂CO₂H; R₂ = R₃ = H; R₂R₃ = bond] of the selective, competitive cholecystokinin (II) antagonist asperlicin (I, R = R₁ = H; R₂R₃ = bond) were prepared. These compds. were tested as inhibitors of the binding of [¹²⁵I]-II to rat pancreas and guinea pig brain receptors. I [R = R₂ = R₃ = H, R₁ = H, Et, (CH₂)₃Ph] were more potent than asperlicin on the pancreatic II receptor. I [R = R₂ = R₃ = H, R₁ = COCH₂CH₂CO₂Na] displayed potency equivalent to asperlicin on the pancreas II receptor and showed a marked improvement in water solubility, thereby facilitating the use of this class of II antagonists in physiol. and pharmacol. studies.

IT 102743-49-7P 102743-52-2P 102743-57-7P

102996-16-7P 102996-17-8P 102996-18-9P

103241-32-3P 103241-34-5P 103303-32-8P

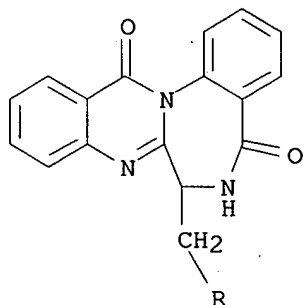
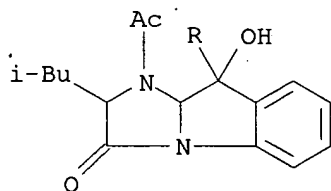
103303-33-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and cholecystokinin antagonist activity of)

RN 102743-49-7 CAPLUS

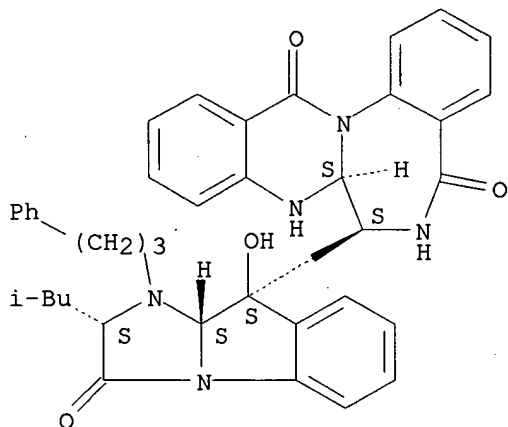
CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 7-[[1-acetyl-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]-6,7-dihydro-, [2S-[2 α ,9 β ,9(R*),9a β]]- (9CI)
(CA INDEX NAME)



RN 102743-52-2 CAPLUS

CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 6,7,7a,8-tetrahydro-7-
[[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1-(3-
phenylpropyl)-1H-imidazo[1,2-a]indol-9-yl)methyl]-, [2S-
[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

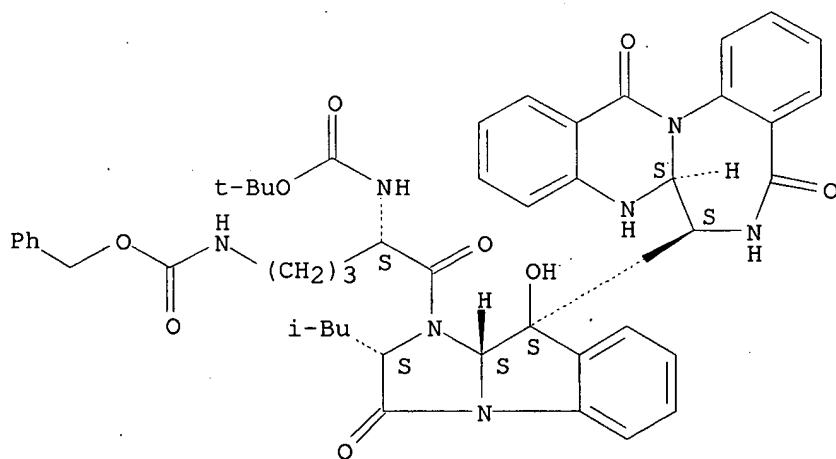
Absolute stereochemistry.



RN 102743-57-7 CAPLUS

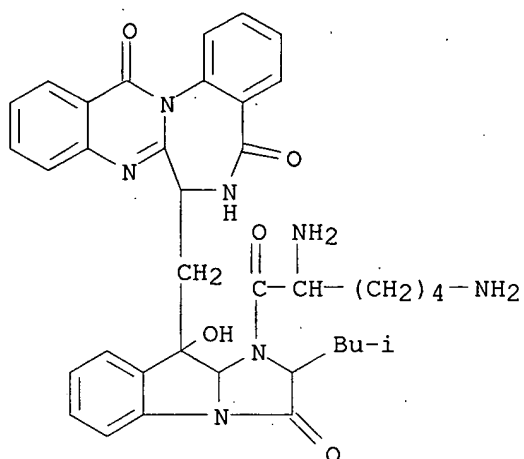
CN Carbamic acid, [4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-[9-
[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-
yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-
imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester,
[2S-[1(R*),2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 102996-16-7 CAPLUS

CN 3H-Imidazo[1,2-a]indol-3-one, 1-(2,6-diamino-1-oxohexyl)-1,2,9,9a-
tetrahydro-9-hydroxy-2-(2-methylpropyl)-9-[(5,6,7,13-tetrahydro-5,13-
dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, dihydrochloride,
[2S-[1(R*),2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

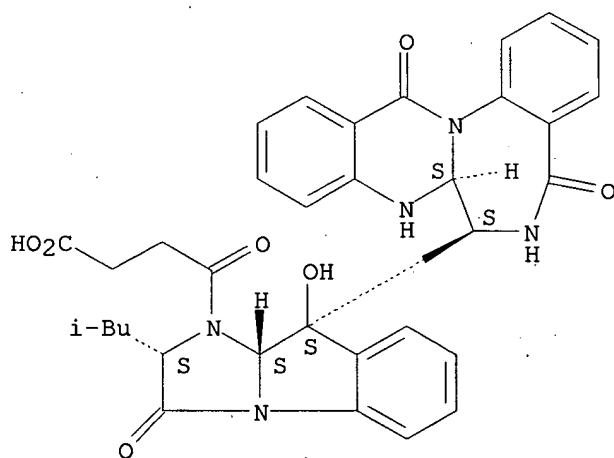


● 2 HCl

RN 102996-17-8 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-butanoic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-γ,3-dioxo-, [2S-[2α,9β,9(7R*,7aR*),9aβ]]- (9CI) (CA INDEX NAME)

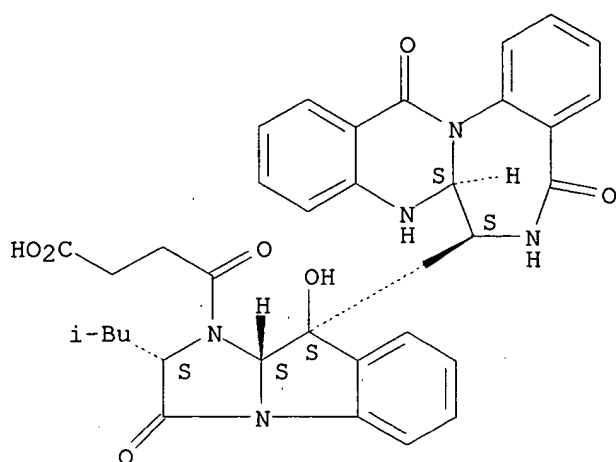
Absolute stereochemistry.



RN 102996-18-9 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-butanoic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-γ,3-dioxo-, monosodium salt, [2S-[2α,9β,9(7R*,7aR*),9aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

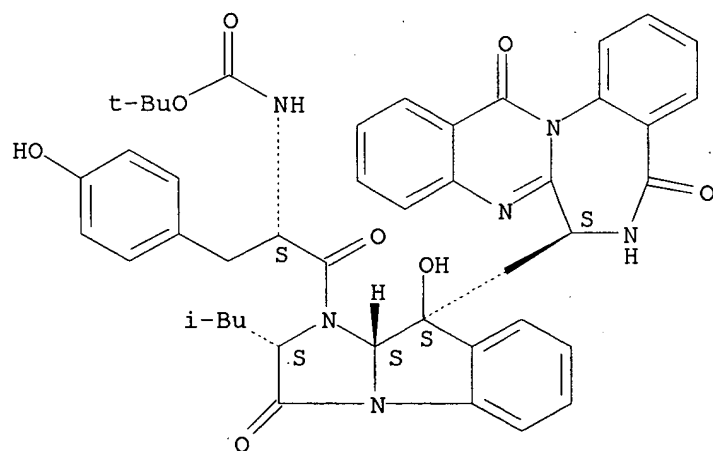


● Na

RN 103241-32-3 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]-2-oxoethyl]-, 1,1-dimethylethyl ester, [2S-[1(R*),2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

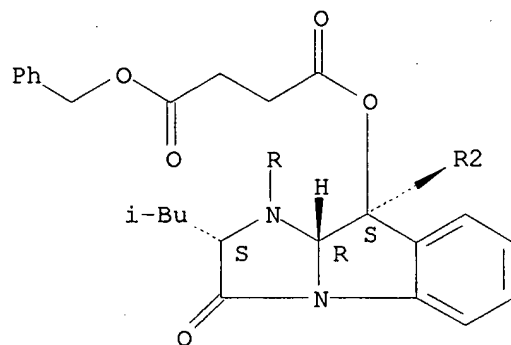
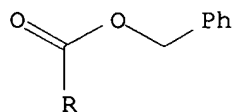
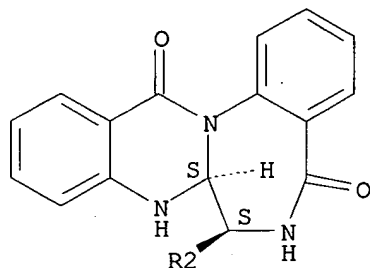
Absolute stereochemistry.



RN 103241-34-5 CAPLUS

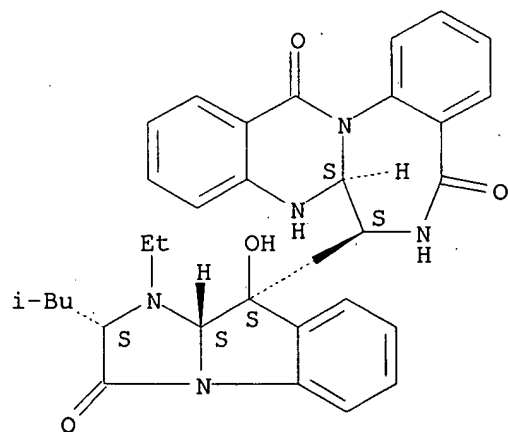
CN Butanedioic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-1H-imidazo[1,2-a]indol-9-yl phenylmethyl ester, [2S-[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



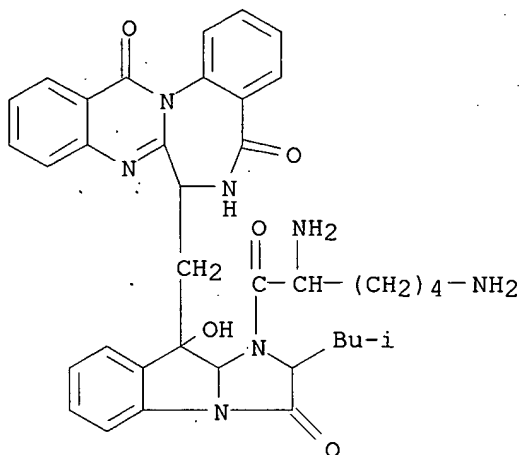
RN 103303-32-8 CAPLUS
 CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 7-[[1-ethyl-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]-6,7,7a,8-tetrahydro-, [2S-[2 α ,9 β ,9(7R*,7aR*),9a.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 103303-33-9 CAPLUS

CN 3H-Imidazo[1,2-a]indol-3-one, 1-(2,6-diamino-1-oxohexyl)-1,2,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, [2S-[1(R*),2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)



IT 102743-51-1P

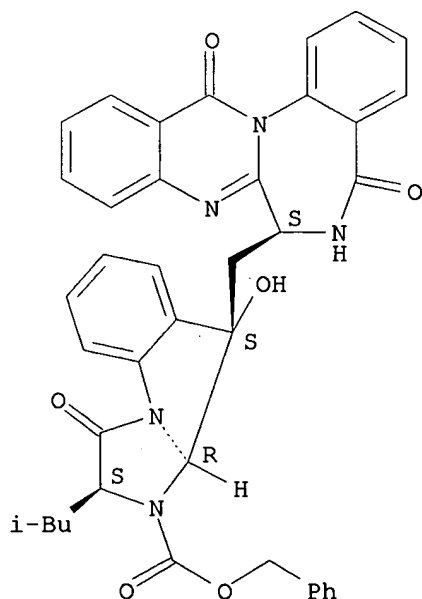
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, acylation, and cholecystokinin antagonist activity of)

RN 102743-51-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(7S)-5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 103241-31-2P 103241-33-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

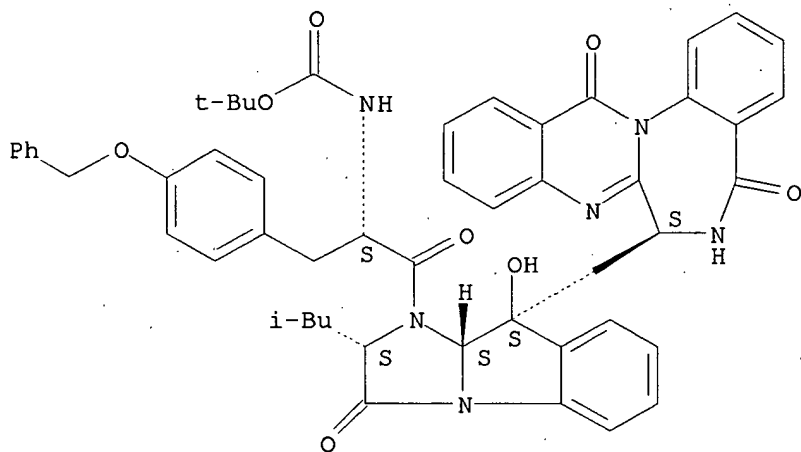
(Reactant or reagent)

(preparation, debenzoylation, and cholecystokinin antagonist activity of)

RN 103241-31-2 CAPLUS

CN Carbamic acid, [1-[[4-(phenylmethoxy)phenyl]methyl]-2-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]-2-oxoethyl]-, 1,1-dimethylethyl ester, [2S-[1(R*),2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

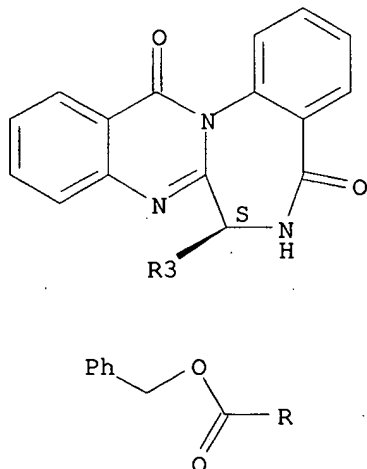


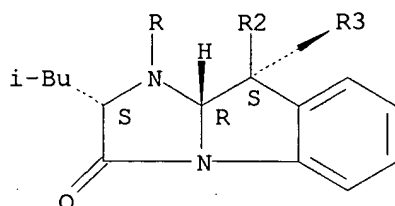
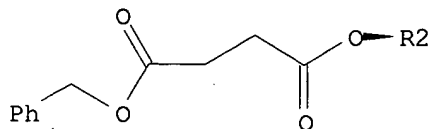
RN 103241-33-4 CAPLUS

CN Butanedioic acid, phenylmethyl 2,3,9,9a-tetrahydro-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-9-yl ester, [2S-[2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





IT 102743-57-7P

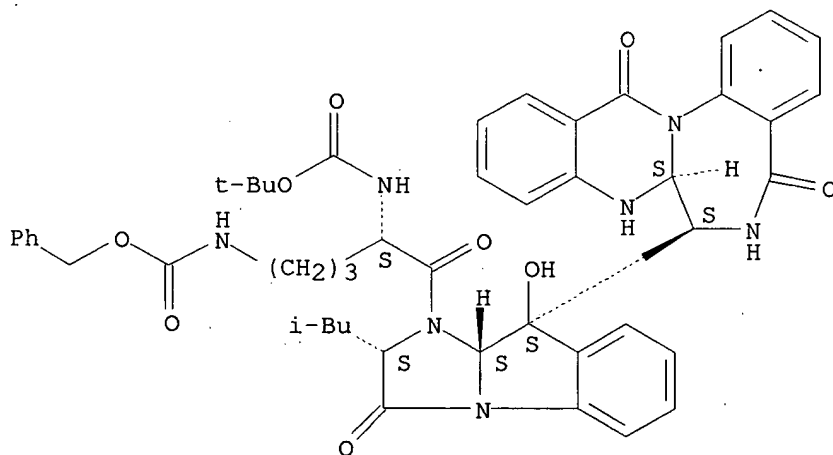
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation, deblocking, and cholecystokinin antagonist activity of)

RN 102743-57-7 CAPLUS

CN Carbamic acid, [4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, [2S-[1(R*),2 α ,9 β ,9(7R*),7aR*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 102743-56-6P 102996-15-6P

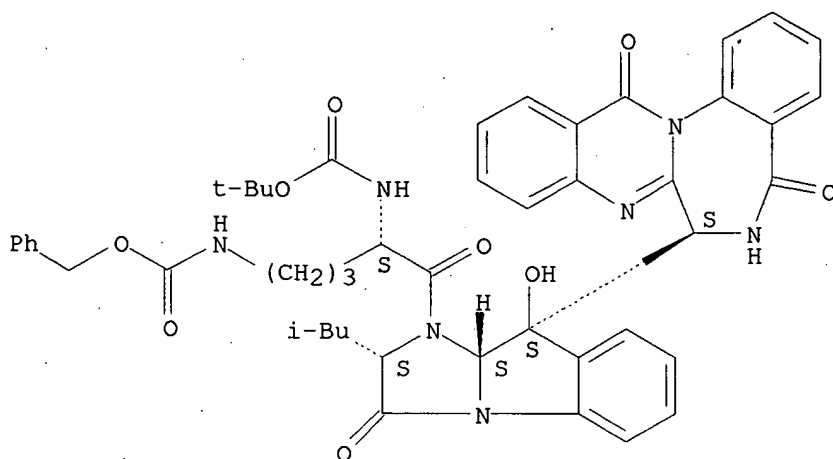
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, reduction, and cholecystokinin antagonist activity of)

RN 102743-56-6 CAPLUS

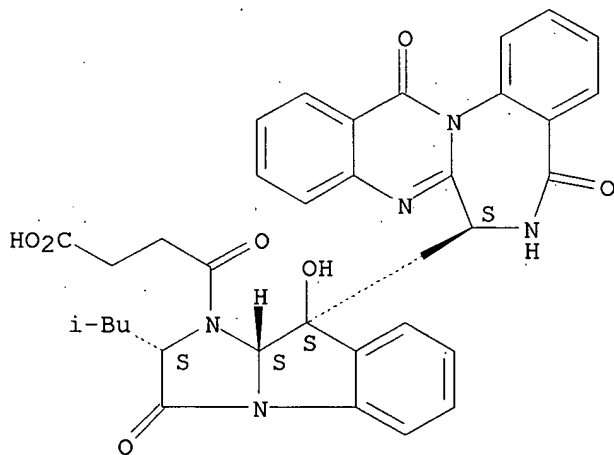
CN Carbamic acid, [4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, [2S-[1(R*),2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 102996-15-6 CAPLUS
 CN 1H-Imidazo[1,2-a]indole-1-butanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-1-(2-methylpropyl)-γ,3-dioxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, [2S-[2α,9β,9(R*),9aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1986:442854 CAPLUS
 DN 105:42854
 TI Quinazolinobenzodiazepinedione derivatives
 IN Bock, Mark G.; Freidinger, Roger M.; Evans, Ben E.
 PA Merck and Co., Inc. , USA
 SO U.S., 13 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4559338	A	19851217	US 1985-695117	19850125
	EP 190587	A1	19860813	EP 1986-100620	19860118
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				US 1985-695117	A 19850125

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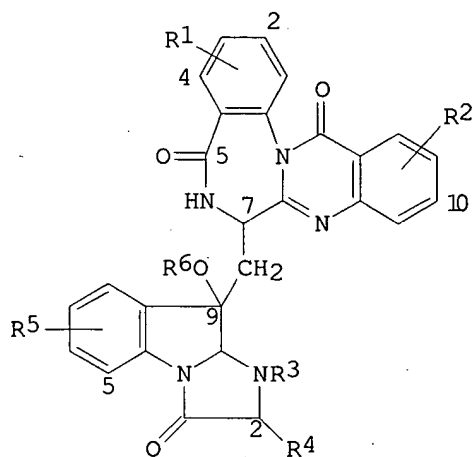
19860808

JP 1986-12274

19860124

US 1985-695117

A 19850125

OS MARPAT 105:42854
GI

I

AB Title compds. I (R1, R2, and R5 are H, Br, Cl, F, OH, alkoxy, alkyl; R3 = H, carboxyalkanoyl, aminoalkanoyl, etc.; R4 = H, alkyl, alkylthioalkyl, etc.; R6 = H, R3) were prepared, and they showed their usefulness as antagonists for cholecystokinins. Also prepared were 7a,8-dihydro derivs. of I. I (R1 = R2 = R3 = R4 = R5 = R6 = H) was treated with succinic anhydride and 4-(dimethylamino)pyridine to give I (R3 = COCH2CH2CO2H, R1 = R2 = R4 = R5 = R6 = H).

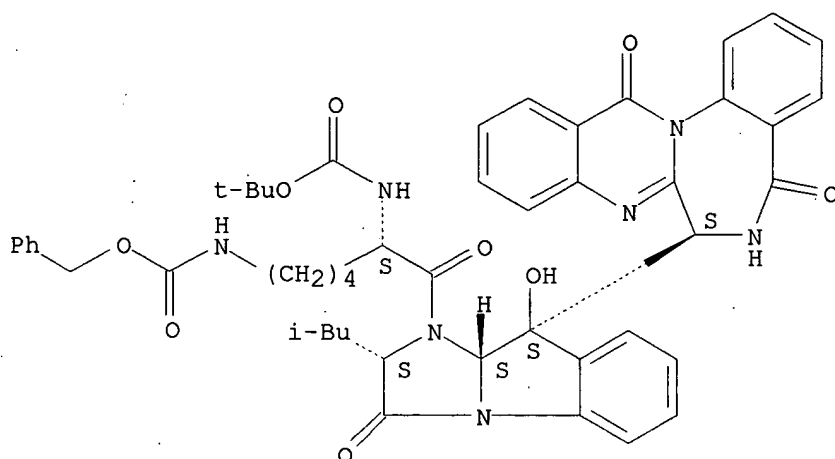
IT 103022-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

RN 103022-89-5 CAPLUS

CN Carbamic acid, [5-[[[(1,1-dimethylethoxy)carbonyl]amino]-6-oxo-6-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]hexyl]-, phenylmethyl ester, [2S-[1(R*),2α,9β,9(R*),9aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

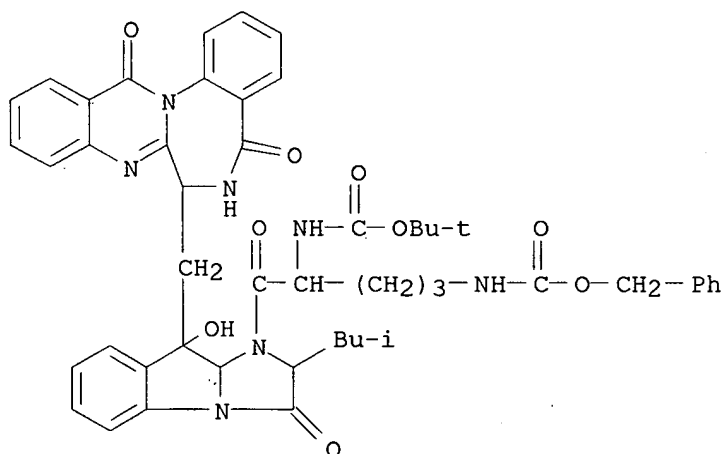


IT 103066-42-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and selective deprotection of)

RN 103066-42-8 CAPLUS

CN Carbamic acid, [4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-oxo-5-[2,3,9,9a-tetrahydro-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]pentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



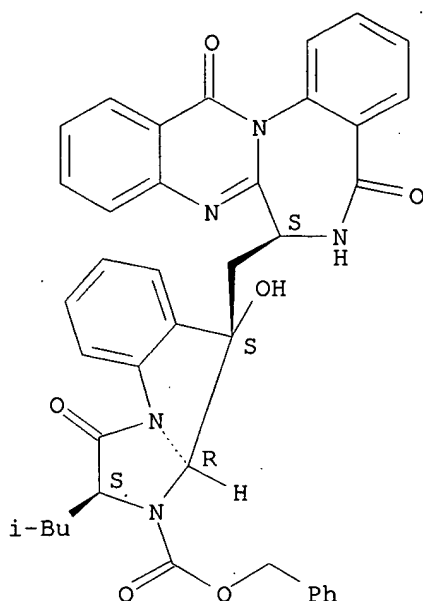
IT 102743-51-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and O-acylation of, by succinic acid monoester)

RN 102743-51-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[[(7S)-5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



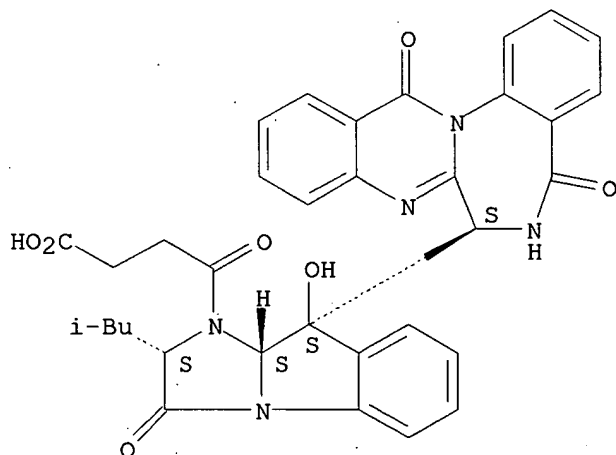
IT 102996-15-6P 102996-16-7P 102996-17-8P
 102996-18-9P 102996-19-0P 102996-20-3P
 102996-21-4P 103066-41-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as cholecystokinin antagonist)

RN 102996-15-6 CAPLUS

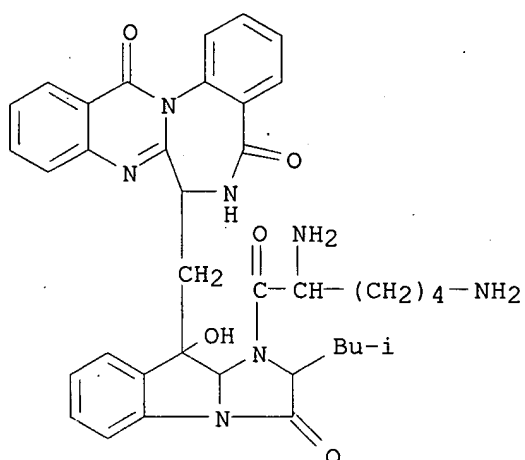
CN 1H-Imidazo[1,2-a]indole-1-butanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-1-(2-methylpropyl)-γ,3-dioxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, [2S-[2α,9β,9(R*),9aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 102996-16-7 CAPLUS

CN 3H-Imidazo[1,2-a]indol-3-one, 1-(2,6-diamino-1-oxohexyl)-1,2,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, dihydrochloride, [2S-[1(R*),2α,9β,9(R*),9aβ]]- (9CI) (CA INDEX NAME)

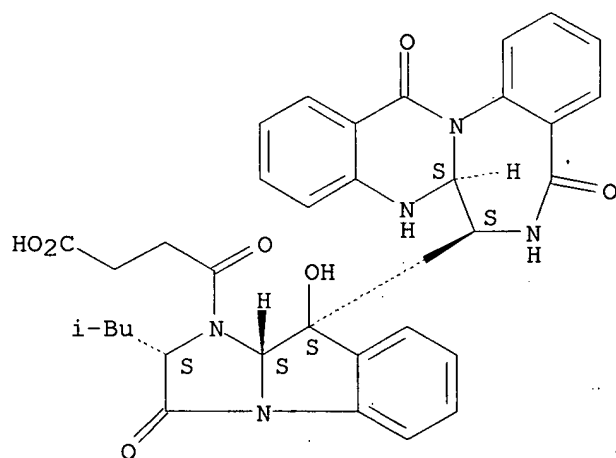


● 2 HCl

RN 102996-17-8 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-butanoic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-γ,3-dioxo-, [2S-[2α,9β,9(7R*,7aR*),9aβ]]- (9CI) (CA INDEX NAME)

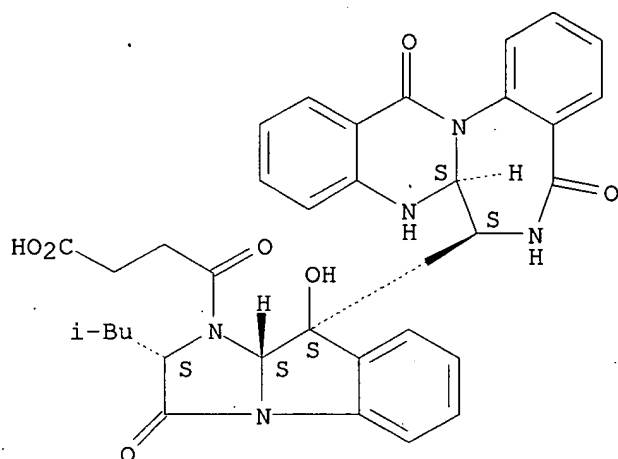
Absolute stereochemistry.



RN 102996-18-9 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-butanoic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-γ,3-dioxo-, monosodium salt, [2S-[2α,9β,9(7R*,7aR*),9aβ]]- (9CI) (CA INDEX NAME)

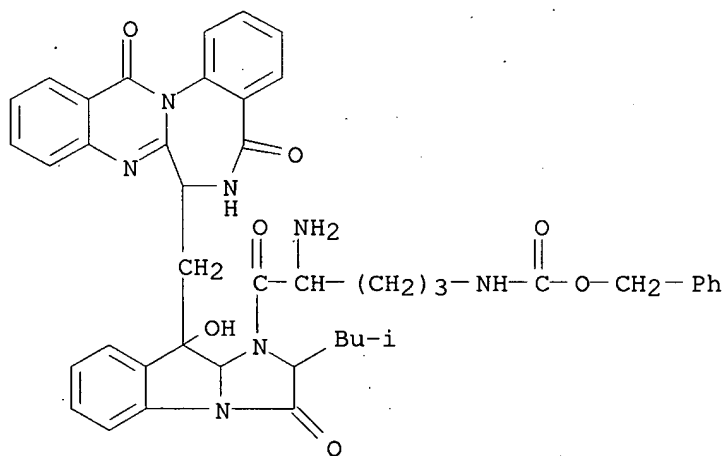
Absolute stereochemistry.



● Na

RN 102996-19-0 CAPLUS

CN Carbamic acid, [4-amino-5-[9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, hydrochloride (9CI) (CA INDEX NAME)

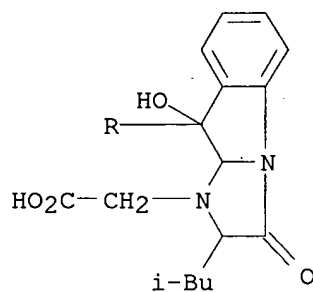


●x HCl

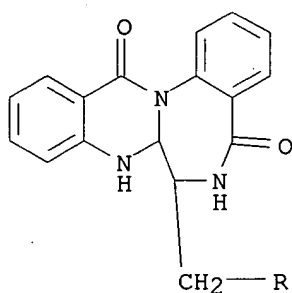
RN 102996-20-3 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-acetic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

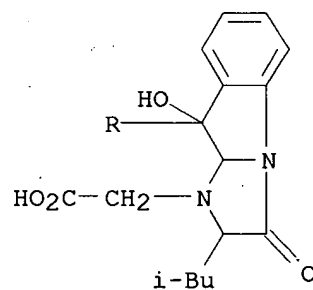


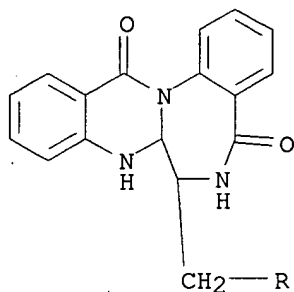
PAGE 2-A



RN 102996-21-4 CAPLUS
CN 1H-Imidazo[1,2-a]indole-1-acetic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



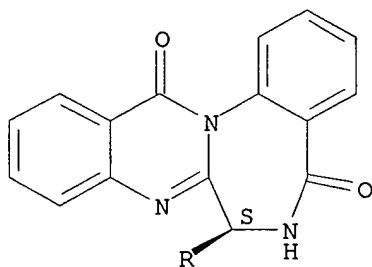


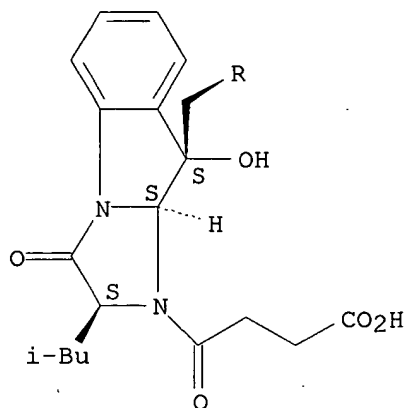
● Na

RN 103066-41-7 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-butanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-1-(2-methylpropyl)-γ,3-dioxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, monosodium salt, [2S-[2α,9β,9(R*),9aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





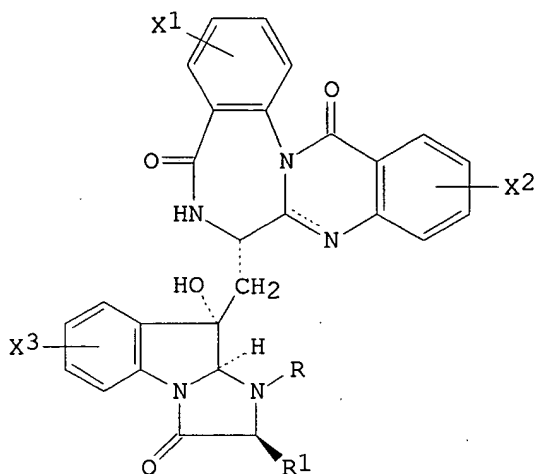
● Na

L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1986:435621 CAPLUS
 DN 105:35621
 TI Quinazolino-1,4-benzodiazepine-5,13-diones
 IN Bock, Mark G.; Freidinger, Roger M.; Evans, Ben E.; Hartman, George D.
 PA Merck and Co., Inc. , USA
 SO U.S., 21 pp.
 CODEN: USXXAM

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4563451	A	19860107	US 1985-695108	19850125
	EP 189802	A1	19860806	EP 1986-100636	19860118
	R: CH, DE, FR, GB, IT, LI, NL				
	JP 61176595	A	19860808	US 1985-695108	A 19850125
				JP 1986-12276	19860124
				US 1985-695108	A 19850125
OS	MARPAT 105:35621				
GI					



I

AB Quinazolino-1,4-benzodiazepine-5,13-diones I (X1-X3 = H, Br, Cl, F, OH, Cl-4 alkyl, Cl-4 alkoxy, C2-5 alkanoyl; R = H, OH, Et, substituted Ph, etc.; R1 = H, CH2CHMe2), useful as cholecystokinin (CCK) antagonists in the treatment and prevention of disorders of the gastrointestinal, central nervous, and appetite-regulatory systems of mammals, are prepared from compds. produced by aerobic fermentation of *Aspergillus alliaceus*. The anti-CCK

activity of 11 prepared compds. was tested using the pancreas CCK receptor-binding method and the IC50 (μ M) for each is reported. Thus, 268 mg 7 β -[[2,3,9,9 α -tetrahydro-9 α -hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]quinazolino[3,2-a]-1,4-benzodiazepine-5,13(6H,7H)-dione was reacted overnight with Ac2O to yield 50 mg 7-[[1-acetyl-2,3,9,9 α -tetrahydro-9 α -hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]quinazolino[3,2-a]-1,4-benzodiazepine-5,13(6H,7H)-dione.

IT 102743-49-7P 102743-50-0P 102743-51-1P

102743-52-2P 102743-53-3P 102743-54-4P

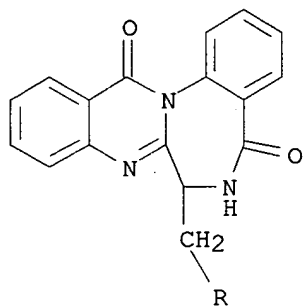
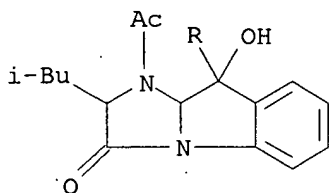
102743-55-5P 102743-56-6P 102743-57-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as cholecystokinin antagonist)

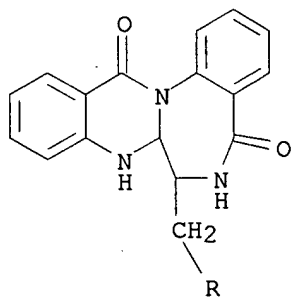
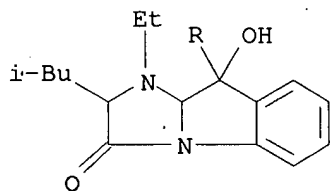
RN 102743-49-7 CAPLUS

CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 7-[[1-acetyl-2,3,9,9 α -tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]-6,7-dihydro-, [2S-[2 α ,9 β ,9(R*),9 α]]- (9CI)
(CA INDEX NAME)



RN 102743-50-0 CAPLUS

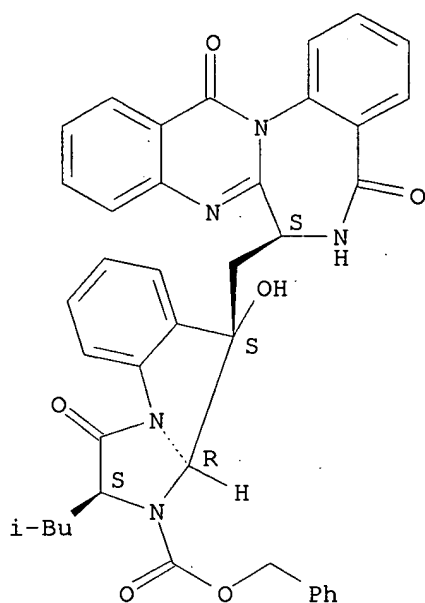
CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 7-[[1-ethyl-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]-6,7,7a,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 102743-51-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[[(7S)-5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

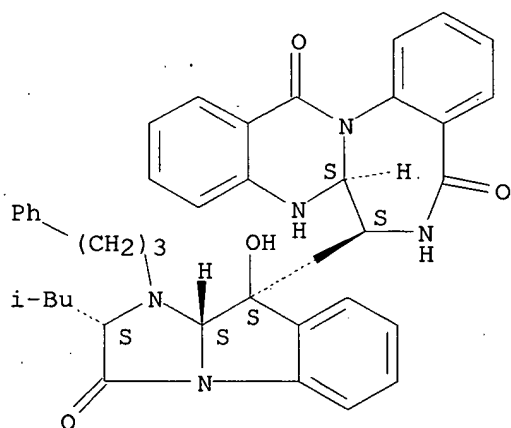
Absolute stereochemistry. Rotation (-).



RN 102743-52-2 CAPLUS

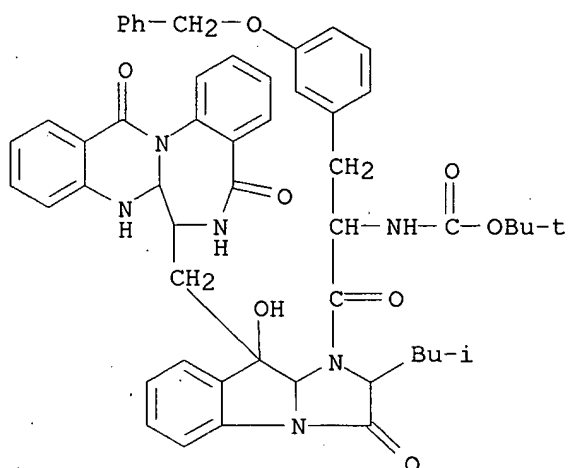
CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 6,7,7a,8-tetrahydro-7-[[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1-(3-phenylpropyl)-1H-imidazo[1,2-a]indol-9-yl]methyl]-, [2S-[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



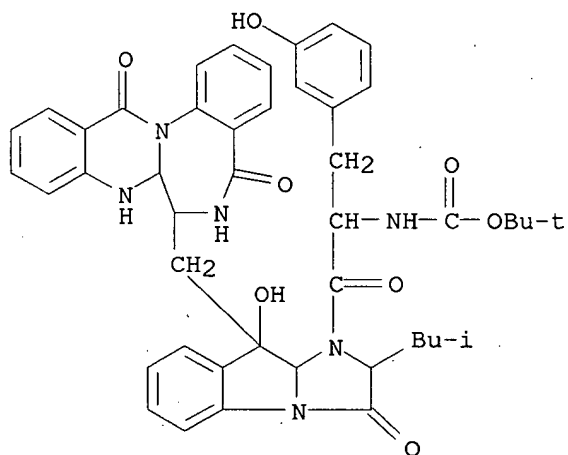
RN 102743-53-3 CAPLUS

CN Carbamic acid, [2-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-2-oxo-1-[[3-(phenylmethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



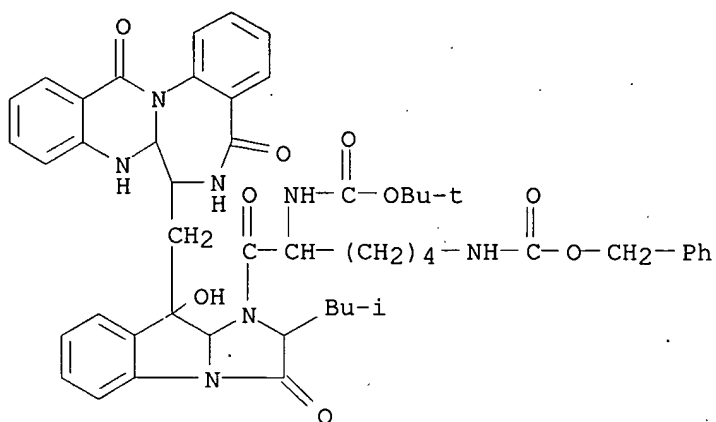
RN 102743-54-4 CAPLUS

CN Carbamic acid, [2-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-1-[(3-hydroxyphenyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 102743-55-5 CAPLUS

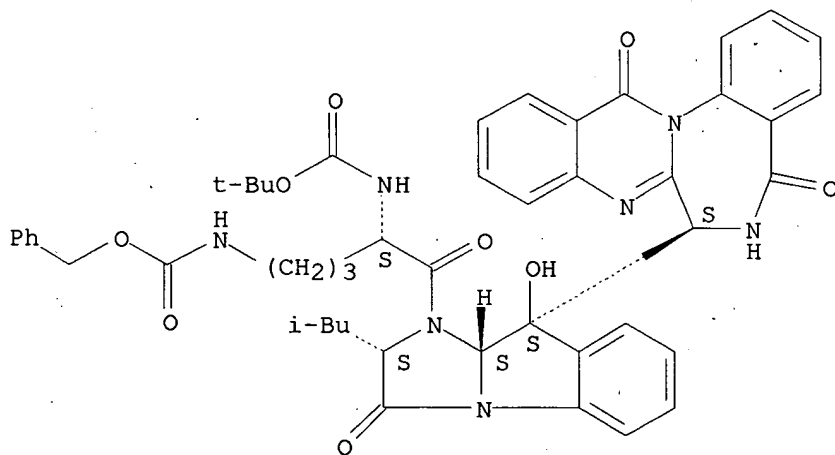
CN Carbamic acid, [5-[(1,1-dimethylethoxy)carbonyl]amino]-6-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-6-oxohexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 102743-56-6 CAPLUS

CN Carbamic acid, [4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, [2S-[1(R*),2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

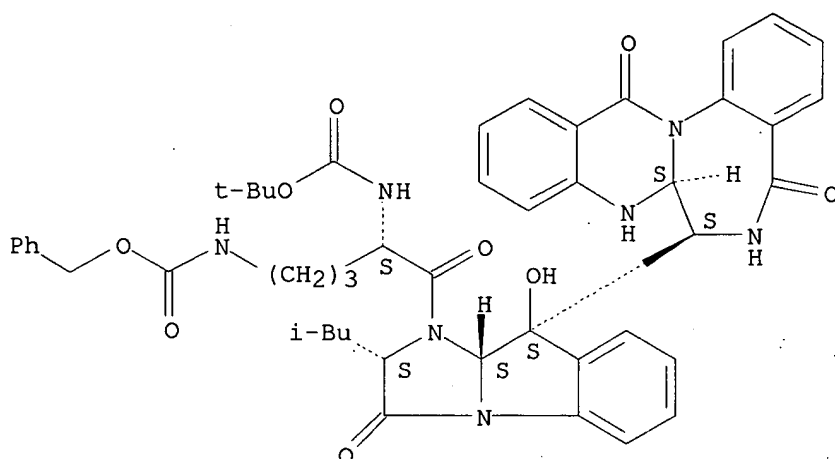
Absolute stereochemistry.



RN 102743-57-7 CAPLUS

CN Carbamic acid, [4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, [2S-[1(R*),2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> fil medline biosis caplus scisearch embase wpids
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
106.49	274.08

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
-11.25	-11.25

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=> e shubh sharma/au

E1	2	SHUBEVSKA S/AU
E2	1	SHUBEYEV I/AU
E3	0 -->	SHUBH SHARMA/AU
E4	13	SHUBHA/AU
E5	1	SHUBHA A B/AU
E6	5	SHUBHA B K/AU
E7	10	SHUBHA B S/AU
E8	5	SHUBHA G/AU
E9	2	SHUBHA G N/AU
E10	2	SHUBHA J P/AU
E11	12	SHUBHA K/AU
E12	12	SHUBHA K P/AU

=> e shubh s?/au

E1	2	SHUBEVSKA S/AU
E2	1	SHUBEYEV I/AU
E3	0 -->	SHUBH S?/AU
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E5	1	SHUBHA A B/AU
E6	5	SHUBHA B K/AU
E7	10	SHUBHA B S/AU
E8	5	SHUBHA G/AU
E9	2	SHUBHA G N/AU
E10	2	SHUBHA J P/AU
E11	12	SHUBHA K/AU
E12	12	SHUBHA K P/AU

=> e sharma shubh?/au

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E3	0 -->	SHARMA SHUBH?/AU
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E7	8	SHARMA SHUBHRA/AU
E8	1	SHARMA SHUBRA/AU
E9	9	SHARMA SHUKRITI/AU
E10	1	SHARMA SHUNIL/AU
E11	1	SHARMA SHUSHIL/AU
E12	1	SHARMA SHUSHIL K/AU

=> e1-38

'E38' NOT FOUND

The E# entered is not currently defined.

=> e1-e8

L5 130 ("SHARMA SHUBH DEV"/AU OR "SHARMA SHUBH S"/AU OR "SHARMA SHUBH?"
/AU OR "SHARMA SHUBHADA"/AU OR "SHARMA SHUBHANGNA"/AU OR "SHARMA
SHUBHARA"/AU OR "SHARMA SHUBHRA"/AU OR "SHARMA SHUBRA"/AU)

=> melan? and l5

L6 70 MELAN? AND L5

=> dub rem l6

MISSING OPERATOR REM L6

The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> dup rem l6

PROCESSING COMPLETED FOR L6

L7 55 DUP REM L6 (15 DUPLICATES REMOVED)

=> t ti l7

L7 ANSWER 1 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
TI Melanocortin metallopeptide constructs, combinatorial libraries
and applications.

=> t ti l7 1-55

L7 ANSWER 1 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
TI Melanocortin metallopeptide constructs, combinatorial libraries
and applications.

L7 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

TI Cyclic peptide melanocortin-4 receptor antagonists for the treatment of cachexia and other disorders

L7 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Cyclic peptide melanocortin-4 receptor antagonists for the treatment of cachexia and other disorders

L7 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Preparation of bicyclic melanocortin-specific compounds

L7 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI α -MSH-, γ -MSH-, and bombesin-derived metallopeptide compounds

L7 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Phosphodiesterase V inhibitor combination with melanocortin 3 and/or 4 receptor agonist for treatment of sexual dysfunction

L7 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Substituted melanocortin receptor-specific piperazine compounds

L7 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Metallopeptide compositions for treatment of sexual dysfunction

L7 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Naphthalene-containing melanocortin receptor-specific small molecule

L7 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Preparation of thieno[2,3-d]pyrimidine-2,4-diones as melanocortin receptor modulators

L7 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Identification of target-specific folding sites in proteins using metallopeptide derivatives of sequences of interest

L7 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Melanocortin metallopeptide constructs, combinatorial libraries, and therapeutic applications

L7 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Knockout identification of target-specific sites in peptides by serial substitution of conformationally restricted metal-complexed residues in metallopeptide analogs

L7 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Peptide composition for treatment of sexual dysfunction

L7 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Preparation of piperazine melanocortin receptor-specific compounds

L7 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Preparation of pyrrolidine melanocortin-specific compounds

L7 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Preparation of piperazines as melanocortin-specific agonists, antagonists, or mixed agonists and antagonists.

L7 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Bicyclic melanocortin-specific compounds

L7 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 TI Cyclic peptide compositions and methods for treatment of sexual

dysfunction

- L7 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Peptidomimetics of biologically active metallopeptides
- L7 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Linear and cyclic melanocortin receptor-specific peptides, and therapeutic use
- L7 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Melanocortin-4 receptor selective small molecules
- L7 ANSWER 23 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
TI Melanocortin-4 receptor selective small molecules.
- L7 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Identification of target-specific folding sites in peptides and proteins
- L7 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Melanocortin metallopeptides for treatment of sexual dysfunction
- L7 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Conformationally-restricted N-alkylated amino acid analogs of MT-II to probe the message sequence of α -melanotropin
- L7 ANSWER 27 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
TI Conformationally restricted N-alkylated amino acid analogs of MT-II to probe the message sequence of alpha-melanotropin.
- L7 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Melanocortin metallopeptide constructs, combinatorial libraries, and applications
- L7 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Metallopeptide combinatorial libraries synthesis and applications
- L7 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Structurally determined metallo-constructs peptides as imaging and diagnostic and radiotherapeutic agents
- L7 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation of peptides having potent antagonist and agonist bioactivities at melanocortin receptors
- L7 ANSWER 32 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
DUPLICATE 1
TI Prevention of reflex natriuresis after acute unilateral nephrectomy by melanocortin receptor antagonists.
- L7 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation and biological activity of cyclic bridged α -MSH analogs
- L7 ANSWER 34 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
DUPLICATE 2
TI Biological and conformational examination of stereochemical modifications using the template melanotropin peptide, Ac-Nle-c(Asp-His-Phe-Arg-Trp-Ala-Lys)-NH-2, on human melanocortin receptors.
- L7 ANSWER 35 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
DUPLICATE 3
TI Selectivity of cyclic (D-Nal-7) and (D-Phe-7) substituted MSH analogues

for the melanocortin receptor subtypes.

- L7 ANSWER 36 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 4
TI Characterisation of D117A and H260A mutations in the melanocortin
1 receptor.
- L7 ANSWER 37 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 5
TI Melanotropic peptide-conjugated beads for microscopic
visualization and characterization of melanoma
melanotropin receptors.
- L7 ANSWER 38 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN
TI Melanocortin antagonists define two distinct pathways of
cardiovascular control by alpha- and gamma-melanocyte
-stimulating hormones.
- L7 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Melanotropic peptide receptors: membrane markers of human
melanoma cells
- L7 ANSWER 40 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 6
TI Human epidermal melanocyte and keratinocyte melanocortin
receptors: Visualization by melanotropic peptide conjugated
microspheres (Latex beads).
- L7 ANSWER 41 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 7
TI Melanocortin receptors: Identification and characterization by
melanotropic peptide agonists and antagonists.
- L7 ANSWER 42 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 8
TI Cyclic Lactam alpha-Melanotropin Analogues of
Ac-Nle-4-cyclo(Asp-5,D-Phe-7,Lys-10) alpha-Melanocyte
-Stimulating Hormone-(4-10)-NH-2 with Bulky Aromatic Amino Acids at
Position 7 Show High Antagonist Potency and Selectivity at Specific
Melanocortin Receptors.
- L7 ANSWER 43 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 9
TI Design, synthesis, biology, and conformations of bicyclic alpha-
melanotropin analogues.
- L7 ANSWER 44 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 10
TI The melanotropic peptide, (Nle-4, D-Phe-7)alpha-MSH, stimulates
human melanoma tyrosinase activity and inhibits cell
proliferation.
- L7 ANSWER 45 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 11
TI Preformulation studies with melanotan-II: A potential skin
cancer chemopreventive peptide.
- L7 ANSWER 46 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 12
TI Multivalent melanotropic Peptide and Fluorescent Macromolecular
Conjugates: New Reagents for Characterization of Melanotropin
Receptors.

L7 ANSWER 47 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 13
TI Kinetics of degradation of a cyclic lactam analog of alpha-
melanotropin (MT-II) in aqueous solution.

L7 ANSWER 48 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 14
TI Melanotropic peptides for therapeutic and cosmetic tanning of
the skin.

L7 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Melanotropic peptides and melanoma cell receptors

L7 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI A new class of positively charged melanotropin analogs: a new
concept in peptide design

L7 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Melanotropic peptides for the identification, localization
(imaging) and chemotherapy of melanoma

L7 ANSWER 52 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 15
TI Design, synthesis, and conformation of superpotent and prolonged acting
melanotropins.

L7 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Multivalent ligands for diagnosis and therapeutics

L7 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Design of different conformational isomers of the same peptide: α -
melanotropin

L7 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
TI Antisense peptides of melanocyte-stimulating hormone (MSH):
surprising results

=> d ibib abs 17 1-55

L7 ANSWER 1 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2006:589657 BIOSIS
DOCUMENT NUMBER: PREV200600593265
TITLE: Melanocortin metallopeptide constructs,
combinatorial libraries and applications.
AUTHOR(S): Anonymous; Sharma, Shubh D. [Inventor]; Shi,
Yiqun [Inventor]; Yang, Wei [Inventor]; Cai, Hui-Zhi
[Inventor]
CORPORATE SOURCE: Cranbury, NJ USA
ASSIGNEE: Palatin Technologies Inc
PATENT INFORMATION: US 07049398 20060523
SOURCE: Official Gazette of the United States Patent and Trademark
Office Patents, (MAY 23 2006)
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 8 Nov 2006
Last Updated on STN: 8 Nov 2006
AB Metallopeptides and metallopeptide combinatorial libraries specific for
melanocortin receptors are provided, for use in biological,
pharmaceutical and related applications. The metallopeptides and
combinatorial libraries are made of peptides, peptidomimetics and

peptide-like constructs, in which the peptide, peptidomimetic or construct is conformationally fixed on complexation of a metal ion-binding portion thereof with a metal ion.

L7 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:52833 CAPLUS

DOCUMENT NUMBER: 144:143091

TITLE: Cyclic peptide melanocortin-4 receptor antagonists for the treatment of cachexia and other disorders

INVENTOR(S): Sharma, Shubh D.; Rajpurohit, Ramesh; Shadiack, Annette M.; Shi, Yi-Qun; Burris, Kevin D.

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of 1;U.S. Ser. No. 638,071.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

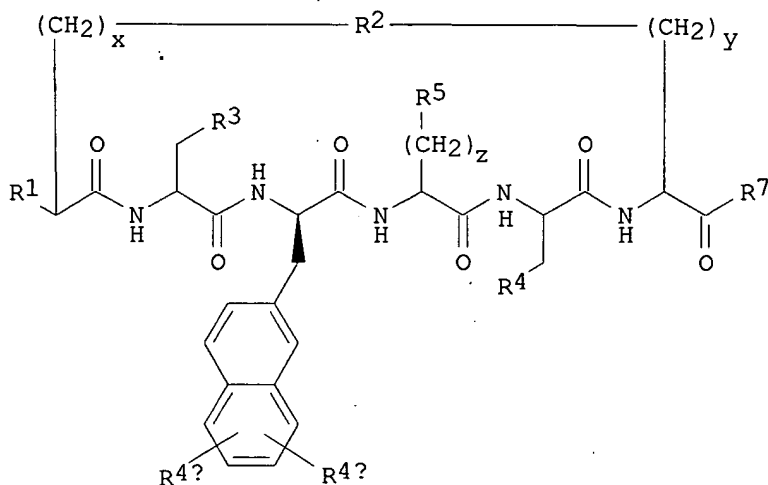
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006014676	A1	20060119	US 2005-174845	20050705
WO 2003006620	A2	20030123	WO 2002-US22196	20020711
WO 2003006620	A3	20031127		
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US 2004138136	A1	20040715	US 2003-638071	20030808
WO 2006014552	A2	20060209	WO 2005-US24125	20050706
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.:

US 2001-304836P	P	20010711
WO 2002-US22196	A2	20020711
US 2003-638071	A2	20030808
US 2004-585971P	P	20040706
US 2000-606501	A2	20000628
US 2002-40547	A2	20020104

OTHER SOURCE(S): MARPAT 144:143091

GI



I

AB The invention discloses highly selective melanocortin-4 receptor antagonist cyclic hexapeptides I [R1 = H, NH2, etc.; R2 = C(O)NH, NHC(O), S, SS; R3 = 4-imidazolyl, 3-indolyl; R4a, R4b (when present) = OH, halo, etc.; R5 = NH2, NH(C=NH)NH2; R6 = (un)substituted 1- or 2-naphthyl, (un)substituted 3-indolyl; R7 = OH, N(R11)(R12); R11, R12 = H, C1-4 linear or branched alkyl (with proviso); x = 1-4; y = 1-5 (x + y = 2-7); z = 2-5], as well as a method for treating body weight disorders, including cachexia, sarcopenia and wasting syndrome or disease, and treating inflammation and immune disorders.

L7 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:52895 CAPLUS

DOCUMENT NUMBER: 144:143092

TITLE: Cyclic peptide melanocortin-4 receptor antagonists for the treatment of cachexia and other disorders

INVENTOR(S): Sharma, Shubh D.; Rajpurohit, Ramesh; Shadiack, Annette M.; Shi, Yi-Qun; Burris, Kevin D.

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S. Ser. No. 638,071.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

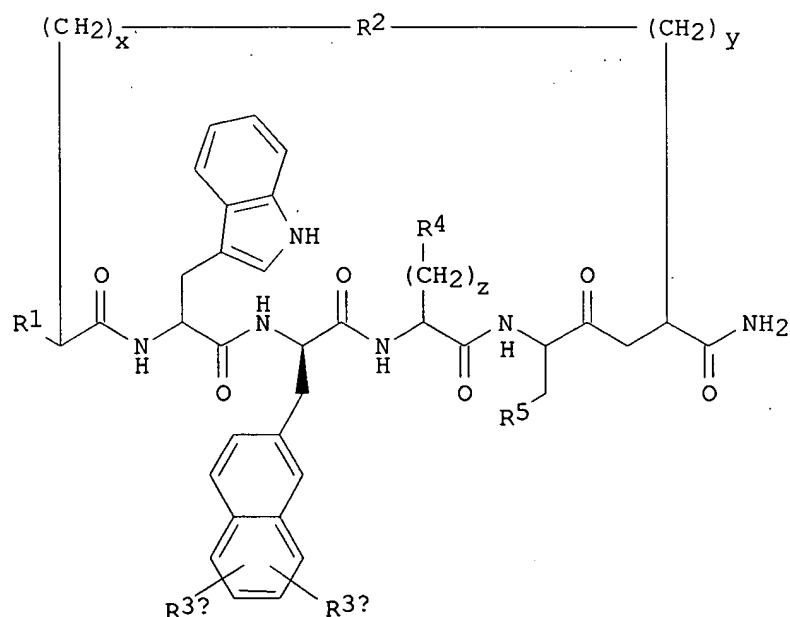
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006014194	A1	20060119	US 2005-174851	20050705
WO 2003006620	A2	20030123	WO 2002-US22196	20020711
WO 2003006620	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

US 2004138136	A1	20040715	US 2003-638071	20030808
WO 2006014552	A2	20060209	WO 2005-US24125	20050706
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
WO 2006014559	A2	20060209	WO 2005-US24138	20050706
WO 2006014559	A3	20061207		
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PRIORITY APPLN. INFO.:

US 2001-304836P	P	20010711
WO 2002-US22196	A2	20020711
US 2003-638071	A2	20030808
US 2004-585971P	P	20040706
US 2000-606501	A2	20000628
US 2002-40547	A2	20020104
US 2005-174851	A	20050705

OTHER SOURCE(S): MARPAT 144:143092
GI



AB The invention discloses highly selective melanocortin-4 receptor antagonist cyclic hexapeptides I [R1 = H, NH2, R6C(O)NH; R2 = C(O)NH, NHC(O), S; R3a, R3b (when present) = OH, halo, alkyl, etc.; R4 = NH2, NH(C=NH)NH2; R5 = (un) substituted 1- or 2-naphthyl, (un) substituted 3-indolyl; R6 = H, NH2, etc.; x = 1-4; y = 1-5 (x + y = 2-7); z = 2-5], as well as a method for treating body weight disorders, including cachexia, sarcopenia and wasting syndrome or disease, and treating inflammation and immune disorders.

L7 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:961972 CAPLUS

DOCUMENT NUMBER: 143:248665

TITLE: Preparation of bicyclic melanocortin
-specific compounds

INVENTOR(S): Sharma, Shubh D.; Shi, Yi-Qun; Wu, Zhijun;
Rajpurohit, Ramesh

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005079574	A1	20050901	WO 2004-US1505	20040121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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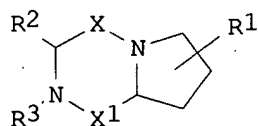
PRIORITY APPLN. INFO.:

WO 2004-US1505

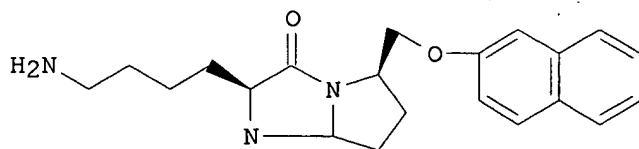
20040121

OTHER SOURCE(S): MARPAT 143:248665

GI



I



Ser(Bzl)-D-Phe(4-Cl)

II

AB The invention discloses melanocortin receptor (MC-R)-specific bicyclic compds. having the structure I [R1 is L1-J, where L1 is a linker and J is a ring structure; R2 is (CH2)1-6-W, where W is a heteroarom. unit with at least one cationic center, hydrogen bond donor or acceptor in which at least one atom is N; R3 is L2-Q, where L2 is a linker and Q is (un)substituted Ph or naphthyl; X = CH2 or CO; X1 is null or CH2], or stereoisomers or pharmaceutically-acceptable salts, which are agonists, antagonists or mixed agonists and antagonists at one or more melanocortin receptors and have utility in the treatment of melanocortin receptor-related disorders and conditions. Thus, pyrroloimidazolyl peptide II was prepared and assayed for competitive binding against 128I-NDP- α -MSH (90, 14, 81 and 86% inhibition for MC1-R, MC3-R, MC4-R and MC5-R, resp., at 1 μ M).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1333961 CAPLUS

DOCUMENT NUMBER: 144:64389

TITLE: α -MSH-, γ -MSH-, and bombesin-derived metallopeptide compounds

INVENTOR(S): Sharma, Shubh D.; Shi, Yi-Qun; Rajpurohit, Ramesh; Cai, Hui-Zhi; Bastos, Margarita

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 769,695.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005282739	A1	20051222	US 2005-188552	20050725
WO 2002064734	A2	20020822	WO 2001-US50075	20011219
WO 2002064734	A3	20031120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005014193	A1	20050120	US 2003-464117	20030617
US 2004248212	A1	20041209	US 2004-769695	20040130
PRIORITY APPLN. INFO.:				
			US 2000-256842P	P 20001219
			US 2001-304835P	P 20010711
			US 2001-327835P	P 20011004
			WO 2001-US50075	A2 20011219
			US 2003-444129P	P 20030131
			US 2003-464117	A2 20030617
			US 2004-769695	A2 20040130
			US 2004-590933P	P 20040723

AB The invention discloses metallopeptides with a sequence of a biol. active α -MSH, γ -MSH, or bombesin sequence of length n residues, wherein a residue including a nitrogen atom and sulfur atom each available for complexation to a metal ion is inserted at any position from between the two and three position to the C-terminus side of the n position, or alternatively is substituted for the residue at any position from the

three position to the n position, with a metal ion complexed thereto, with any proline residue which is either of the two residues on the immediately adjacent amino-terminus side of the inserted or substituent residue comprising a nitrogen atom and sulfur atom available for complexation to a metal ion is substituted with a homolog. In one embodiment, the metal atom is rhenium.

L7 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1078201 CAPLUS

DOCUMENT NUMBER: 143:319194

TITLE: Phosphodiesterase V inhibitor combination with melanocortin 3 and/or 4 receptor agonist for treatment of sexual dysfunction

INVENTOR(S): Diamond, Lisa E.; Earle, Dennis; Shadiack, Annette M.; Sharma, Shubh D.; Spana, Carl

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S. Ser. No. 638,071.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005222014	A1	20051006	US 2005-139730	20050526
US 6579968	B1	20030617	US 2000-606501	20000628
EP 1593384	A2	20051109	EP 2005-75914	20000629
EP 1593384	A3	20060426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
US 2002107182	A1	20020808	US 2002-40547	20020104
US 6794489	B2	20040921		
US 2004138136	A1	20040715	US 2003-638071	20030808
WO 2005117935	A1	20051215	WO 2005-US18739	20050527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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PRIORITY APPLN. INFO.:

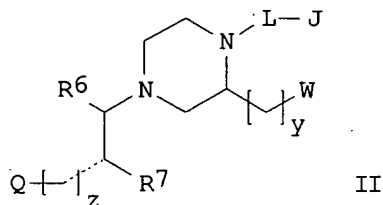
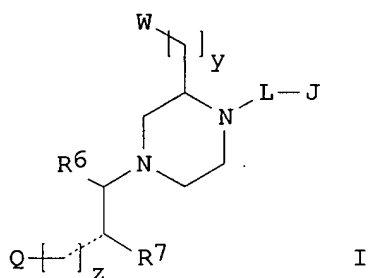
US 1999-142346P	P	19990629
US 2000-194987P	P	20000405
US 2000-606501	A2	20000628
US 2002-40547	A2	20020104
US 2003-638071	A2	20030808
US 2004-575082P	P	20040527
EP 2000-950283	A3	20000629
WO 2002-US22196	A	20020711
US 2005-139730	A	20050526

AB The invention discloses a multiple agent therapy for treatment of sexual dysfunction, including male erectile dysfunction, with sequential administration of a type V phosphodiesterase (PDE-5) inhibitor, e.g. sildenafil, preferably where the PDE-5 inhibitor is administered by oral dose means, and a melanocortin 3 and/or 4 receptor agonist, e.g. Ac-Nle-cyclo(-Asp-His-D-Phe-Arg-Trp-Lys)-OH (PT-141), preferably wherein

the PT-141 is formulated for and administered by intranasal means, and further preferably wherein the PDE-5 inhibitor is administered prior to PT-141.

L7 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:735324 CAPLUS
 DOCUMENT NUMBER: 143:211935
 TITLE: Substituted melanocortin receptor-specific
 piperazine compounds
 INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Rajpurohit,
 Ramesh; Wu, Zhijun
 PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S.
 Ser. No. 837,519.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005176728	A1	20050811	US 2005-99814	20050405
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
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WO 2005102340	A1	20051103	WO 2004-US1462	20040121
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US 2004224957	A1	20041111	US 2004-837519	20040430
PRIORITY APPLN. INFO.:			US 2001-311404P	P 20010810
			WO 2002-US25574	A2 20020812
			US 2003-467442P	P 20030501
			US 2003-474497P	P 20030530
			US 2004-762079	A2 20040121
			US 2004-546393P	P 20040219
			US 2004-559741P	P 20040405
			US 2004-563739P	P 20040419
			US 2004-837519	A2 20040430
OTHER SOURCE(S):	MARPAT 143:211935			
GI				



AB Melanocortin receptor-specific compds. of the general formulas I and II and pharmaceutically acceptable salts thereof, where J is a substituted or unsubstituted monocyclic or bicyclic ring structure; L is a linker; W is a heteroatom unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor; Q includes a substituted or unsubstituted aromatic carbocyclic ring; R6 = H, :O, :S or CH3; R7 = NH2, NH-R8, or R8-N-R8; R8 = C1 to C6 linear or branched chain or an amine capping group, and where there are two R8 groups, each R8 is independently a C1 to C6 linear or branched chain or an amine capping group; y = 0-6; and z = 0-6, and the carbon atom marked with an asterisk can have any stereochem. configuration, and optionally with one or two addnl. ring substituents, which compds. bind to one or more melanocortin receptors and are optionally an agonist, a partial agonist, an antagonist, an inverse agonist or an antagonist of an inverse agonist, and may be employed for treatment of one or more melanocortin receptor-associated conditions or disorders, and methods for the use of the compds. of the invention.

L7 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:672855 CAPLUS

DOCUMENT NUMBER: 143:166711

TITLE: Metallopeptide compositions for treatment of sexual dysfunction

INVENTOR(S): Sharma, Shubh; Shadiack, Annette M.; Yang, Wei; Rajpurohit, Ramesh

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Ser. No. 640,755.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005164914	A1	20050728	US 2005-36273	20050114
US 5891418	A	19990406	US 1995-476652	19950607
US 6027711	A	20000222	US 1996-660697	19960605
WO 2002064091	A2	20020822	WO 2002-US4431	20020213
WO 2002064091	A3	20030313		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2004038897 A1 20040226 US 2003-640755 20030813
 PRIORITY APPLN. INFO.: US 1995-476652 A2 19950607
 US 1996-660697 A3 19960605
 US 2000-483837 A2 20000117
 US 2001-268591P P 20010213
 WO 2002-US4431 A1 20020213
 US 2003-640755 A2 20030813
 US 2004-536691P P 20040114

OTHER SOURCE(S): MARPAT 143:166711

AB Metallopeptide compns. are provided for treatment of sexual dysfunction in mammals, including male sexual dysfunction, such as erectile dysfunction, and female sexual dysfunction. The metallopeptides include at least one, and preferably two, aromatic amino acid side chain moieties, and are further characterized in that the metallopeptides preferably do not bind or significantly bind to a melanocortin receptor. Preparation of the metallopeptides is described.

L7 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:527392 CAPLUS

DOCUMENT NUMBER: 143:20084

TITLE: Naphthalene-containing melanocortin receptor-specific small molecule

INVENTOR(S): Sharma, Shubh D.; Shadiack, Annette M.; Shi, Yi-Qun; Wu, Zhijun; Rajpurohit, Ramesh; Burris, Kevin; Purma, Papireddy

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of U.S. Ser. No. 837,519.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005130988	A1	20050616	US 2005-36282	20050114
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
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US 2004152134	A1	20040805	US 2004-761889	20040121
US 2004157264	A1	20040812	US 2004-762079	20040121
WO 2005102340	A1	20051103	WO 2004-US1462	20040121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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US 2004224957	A1	20041111	US 2004-837519	20040430

PRIORITY APPLN. INFO.:

US 2001-311404P	P	20010810
WO 2002-US25574	A2	20020812
US 2003-467442P	P	20030501
US 2003-474497P	P	20030530
US 2004-536606P	P	20040114
US 2004-761889	A2	20040121
US 2004-762079	A2	20040121
US 2004-546393P	P	20040219
US 2004-559741P	P	20040405
US 2004-563739P	P	20040419
US 2004-837519	A2	20040430

OTHER SOURCE(S): MARPAT 143:20084

AB A method of modulating energy homeostasis in a mammal without eliciting a sexual response by administration of a therapeutically effective amount of a pharmaceutical composition including a melanocortin receptor compound of the formula I (where R1 = a bond or a linker unit including from one to six backbone atoms and an unsubstituted naphthalene group, L = a conformationally restricted ring system consisting of a single ring or bicyclic nonarom. carbocyclic ring system, etc., R2= -(CH2)4NH2, -(CH2)3NHC(NH2)=NH, etc., R3 = L-or D-isomer of Phe, Phe(4-F), Phe(4-Br), etc., and Rx = H, C-C6 aliphatic linear chain, etc.).

L7 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:497489 CAPLUS

DOCUMENT NUMBER: 143:26632

TITLE: Preparation of thieno[2,3-d]pyrimidine-2,4-diones as melanocortin receptor modulators

INVENTOR(S): Sharma, Shubh D.; Shi, Yiqun

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U.S. Ser. No. 837,519.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

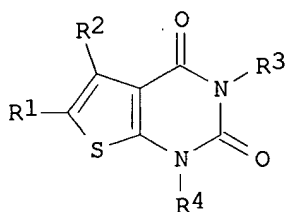
FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

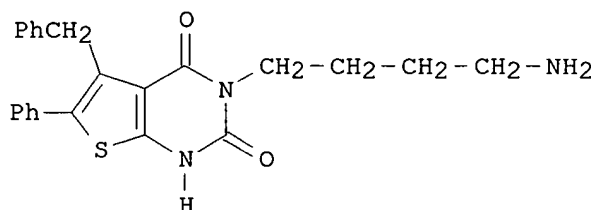
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005124636	A1	20050609	US 2005-40838	20050121
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
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US 2004152134	A1	20040805	US 2004-761889	20040121
US 2004157264	A1	20040812	US 2004-762079	20040121
WO 2005102340	A1	20051103	WO 2004-US1462	20040121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,			

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2004224957 A1 20041111 US 2004-837519 20040430
 PRIORITY APPLN. INFO.: US 2001-311404P P 20010810
 WO 2002-US25574 A2 20020812
 US 2003-467442P P 20030501
 US 2003-474497P P 20030530
 US 2004-538100P P 20040121
 US 2004-761889 A2 20040121
 US 2004-762079 A2 20040121
 US 2004-546393P P 20040219
 US 2004-837519 A2 20040430

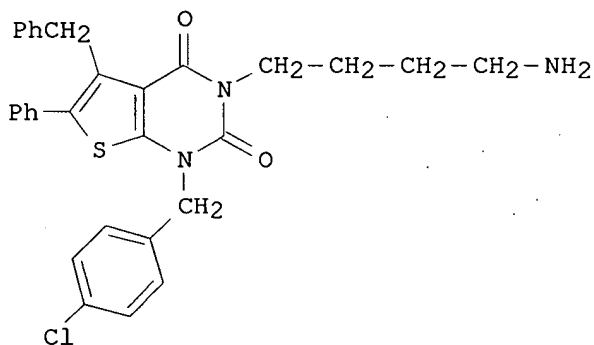
OTHER SOURCE(S): MARPAT 143:26632
 GI



I



II



III

AB Title compds. I [R1 = L1-J; R2 = L2-W; R3 = L3-T; R4 = L4-Q; L1 = bond or linker with provisos; J = carbocyclic ring group comprising at least one aromatic ring; L2 = (CX)m; W = (un)substituted aromatic carbocyclic ring, non-aromatic carbocyclic ring, aromatic fused carbocyclic rings, etc.; L3, L4 = (CH2)m; T = heteroatom unit with at least one cationic center with provisos; Q = carbocyclic ring comprising at least one aromatic ring; X = H, H2, alkyl; m = 1-6] and their pharmaceutically acceptable salts were prepared. For example, 4-chlorobenzyl chloride N-alkylation of pyrimidine II, afforded thienopyrimidin-2,4-dione III (no data). Compds. I are claimed to be useful for the treatment of melanocortin receptor-associated disorders.

L7 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:59906 CAPLUS
 DOCUMENT NUMBER: 142:148744
 TITLE: Identification of target-specific folding sites in proteins using metallopeptide derivatives of sequences of interest
 INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun
 PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 75 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005014193	A1	20050120	US 2003-464117	20030617
US 2004248212	A1	20041209	US 2004-769695	20040130
US 2005282739	A1	20051222	US 2005-188552	20050725
PRIORITY APPLN. INFO.:			US 2000-256842P	P 20001219
			US 2001-304835P	P 20010711
			US 2001-327835P	P 20011004
			WO 2001-US50075	A1 20011219
			US 2003-444129P	P 20030131
			US 2003-464117	A2 20030617
			US 2004-769695	A2 20040130
			US 2004-590933P	P 20040723

AB A method of identifying peptides that take up folded conformations and that bind to specific protein target is described. The method involves creating a systematic series of substitution derivs. of the peptide. These derivs. use amino acids or amino acid analogs containing a nitrogen or sulfur atom that can bind to a metal atom. The resulting metalloptides are then used in binding or functional assays related to the target of interest, and the metalloptide demonstrating binding or functional activity is selected. The structure of the metalloptide can then be determined and a novel pharmacophore can be identified. The invention provides for defined pharmacophores of receptors or targets of interest and directed libraries for identification and determination of target-specific folding sites in peptides and proteins and for identification and determination of pharmacophores of receptors or targets of interest.

L7 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:425889 CAPLUS
DOCUMENT NUMBER: 144:481641
TITLE: Melanocortin metalloptide constructs, combinatorial libraries, and therapeutic applications
INVENTOR(S): Cai, Hui-Zhi; Yang, Wei; Shi, Yi-Qun; Sharma, Shubh D.
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: Aust. Pat. Appl., 81 pp.
CODEN: AUXXCM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2005201166	A1	20050505	AU 2005-201166	20050317
PRIORITY APPLN. INFO.:			AU 2000-58742	A3 20000615

AB The present invention relates to metalloptides, metal ion-complexed peptidomimetics, and metallo-constructs, including metalloptide combinatorial libraries, metal ion-complexed peptidomimetic and peptide-like combinatorial libraries and metallo-construct combinatorial libraries, specific for melanocortin receptors, including methods for the use and making of the same. The invention also relates to methods for synthesizing and assembling such libraries, and methods for identification and characterization of library constituents which are capable of binding a melanocortin receptor of interest, or

mediating a melanocortin receptor-related biol. activity of interest. Metallopeptides of this invention that are melanocortin receptor 1 specific can be used as radiodiagnostic agents or radiotherapeutic agents when complexed to radionuclides. Metallopeptides of this invention that are melanocortin receptor 1 specific can be used as chemopreventive agents against sun-induced neoplastic activity in human skin. Metallopeptides of this invention that are melanocortin receptor 4 antagonists can also be used as a therapeutic agent in eating disorders.

L7 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:740117 CAPLUS

DOCUMENT NUMBER: 141:256945

TITLE: Knockout identification of target-specific sites in peptides by serial substitution of conformationally restricted metal-complexed residues in metallopeptide analogs

INVENTOR(S): Sharma, Shubh D.; Shi, Yi-Qun; Rajpurohit, Ramesh; Bastos, Margarita; Cai, Hui-Zhi

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075830	A2	20040910	WO 2004-US2933	20040202
WO 2004075830	A3	20060928		
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2004248212	A1	20041209	US 2004-769695	20040130
CA 2516750	A1	20040910	CA 2004-2516750	20040202
EP 1594442	A2	20051116	EP 2004-737267	20040202
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2003-444129P	P 20030131
			US 2004-769695	A 20040130
			US 2000-256842P	P 20001219
			US 2001-304835P	P 20010711
			US 2001-327835P	P 20011004
			WO 2001-US50075	A1 20011219
			US 2003-464117	A2 20030617
			WO 2004-US2933	W 20040202
AB	The invention provides methods for identification and determination of target-specific sites in peptides and proteins, including a method for determining the primary sequence of a secondary structure within a known parent polypeptide that binds to the target of interest. A residue or mimetic containing a nitrogen atom and a sulfur atom available for binding to a metal ion is serially substituted for single residues in or inserted between adjacent residues in a known primary sequence of the peptide or protein. The resulting sequence is complexed with a metal ion thereby forming a metallopeptide with a conformationally fixed and predictable secondary			

structure of the residues involved in metal ion complexation. The resulting metallopeptides are then used in binding or functional assays related to the target of interest, and the metallopeptide(s) which result in significant or substantially decreased or changed binding or functionality are determined to identify the primary sequence involved in such binding or functionality. The method is exemplified by α -MSH and bombesin analogs containing L-/D-cysteine insertions or substitutions complexed to the rhenium metal ion, and their binding to their resp. receptors.

L7 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:41502 CAPLUS
DOCUMENT NUMBER: 140:105305
TITLE: Peptide composition for treatment of sexual dysfunction
INVENTOR(S): Sharma, Shubh D.; Shadiack, Annette M.; Yang, Wei; Rajpurohit, Ramesh
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005324	A2	20040115	WO 2003-US21417	20030709
WO 2004005324	A3	20040325		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003248888	A1	20040123	AU 2003-248888	20030709
BR 2003005628	A	20040908	BR 2003-5628	20030709
US 2005124553	A1	20050609	US 2005-31898	20050107
PRIORITY APPLN. INFO.:			US 2002-394756P	P 20020709
			WO 2003-US21417	W 20030709

OTHER SOURCE(S): MARPAT 140:105305

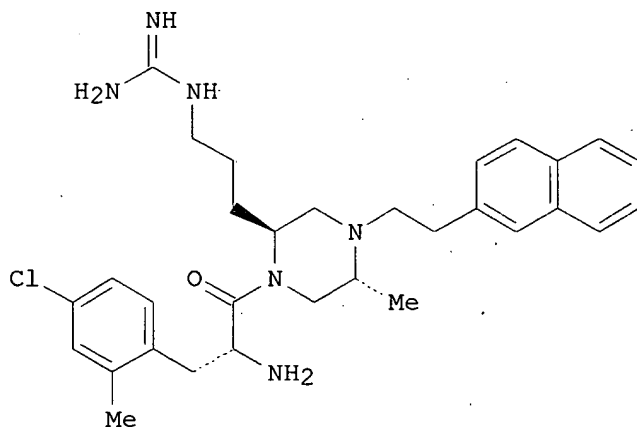
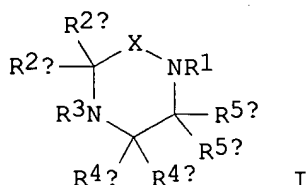
AB Peptides for treatment of sexual dysfunction, including erectile dysfunction and female sexual dysfunction, and combination drugs and method of use thereof, including a peptide of the invention and one or more second sexual dysfunction pharmaceutical agents are disclosed.

L7 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:965987 CAPLUS
DOCUMENT NUMBER: 141:411221
TITLE: Preparation of piperazine melanocortin receptor-specific compounds
INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Rajpurohit, Ramesh; Wu, Zhijun; Purma, Papireddy; Shadiack, Annette M.; Burris, Kevin D.
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 69 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004224957	A1	20041111	US 2004-837519	20040430
AU 2004235792	A1	20041118	AU 2004-235792	20040503
WO 2004098602	A1	20041118	WO 2004-US13803	20040503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1622618	A1	20060208	EP 2004-751262	20040503
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BR 2004010694	A	20060620	BR 2004-10694	20040503
CN 1816337	A	20060809	CN 2004-80018907	20040503
JP 2006525369	T	20061109	JP 2006-514263	20040503
US 2005130988	A1	20050616	US 2005-36282	20050114
US 2005124636	A1	20050609	US 2005-40838	20050121
US 2005176728	A1	20050811	US 2005-99814	20050405
US 2006287330	A1	20061221	US 2006-464051	20060811
US 2006287331	A1	20061221	US 2006-464053	20060811
US 2006287332	A1	20061221	US 2006-464069	20060811
PRIORITY APPLN. INFO.:			US 2003-467442P	P 20030501
			US 2004-546393P	P 20040219
			US 2001-311404P	P 20010810
			WO 2002-US25574	A2 20020812
			US 2003-474497P	P 20030530
			US 2004-536606P	P 20040114
			US 2004-538100P	P 20040121
			US 2004-761889	A2 20040121
			US 2004-762079	A2 20040121
			US 2004-559741P	P 20040405
			US 2004-563739P	P 20040419
			US 2004-837519	A 20040430
			WO 2004-US13803	W 20040503
			US 2005-707488P	P 20050811
OTHER SOURCE(S):				
GI				
MARPAT 141:411221				



AB The invention relates to amino acid-derived piperazine compds. I [X is CH₂, CO or CS; R₁ is -L₁-J; one of R₂a and R₂b is -L₂-W and the other is H; R₃ is -L₃-Q; L₁ is a bond or a linker unit comprising from one to eight backbone atoms selected from carbon, sulfur, oxygen or nitrogen; J is a ring structure, e.g., an (un)substituted aromatic or non-aromatic carbocyclic ring; L₂ is a bond or (CH₂)₁₋₆; W is a heteroatom unit with at least one cationic center, hydrogen bond donor or acceptor (at least one heteroatom is nitrogen or oxygen); L₃ is a bond or a linker unit comprising from one to nine backbone atoms selected from carbon, sulfur, oxygen or nitrogen; Q is (un)substituted Ph or naphthyl; one or two of R₄a, R₄b, R₅a and R₅b are independently -L₂-W or an aliphatic chain and the others are H, provided that at least one of R₄a and R₄b and at least one of R₅a and R₅b is H], including enantiomers, stereoisomers, diastereoisomers or pharmaceutically-acceptable salts, which bind with high affinity to one or more melanocortin receptors (MCR) and may be employed for treatment of melanocortin receptor-associated conditions or disorders. Thus, piperazine derivative II was prepared via reactions of 2-naphthylacetic acid, (R)-(-)-2-amino-1-propanol, Fmoc-L-Arg(Boc)-2-OH (Fmoc = fluorenylmethoxycarbonyl, Boc = tert-butoxycarbonyl), and Boc-D-4-chloro-2-methyl-L-phenylalanine. Compound II was shown to be a partial agonist as to MC4-R and in rats caused a decrease in food intake (administration 2 h prior to food presentation) and induced penile erection at 0.3-30 µg/Kg.

L7 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:703130 CAPLUS

DOCUMENT NUMBER: 141:207526

TITLE: Preparation of pyrrolidine melanocortin
-specific compounds

INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Wu, Zhijun;
Rajpurohit, Ramesh

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of Appl.
No PCT/US02/25574.
CODEN: USXXCO

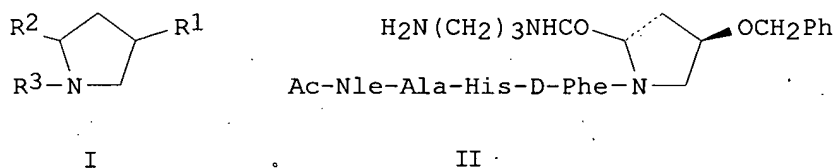
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004167201	A1	20040826	US 2004-776657	20040210
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2001-311404P P 20010810
WO 2002-US25574 A2 20020812
OTHER SOURCE(S): MARPAT 141:207526
GI



AB The invention relates to melanocortin receptor (MC-R)-specific pyrrolidine compds. I [R1 is -L1-J, where L1 is a linker (CH2)0-6, O, NH, etc. and J is a ring structure; R2 is CO-W or CONH(CH2)0-6-W, where W is a heteroatom unit with at least one nitrogen atom and at least one cationic center, hydrogen bond donor or acceptor; R3 is -L2-Q, where L2 is a linker COCH(NH2)CH2, COCH2O, 5-carbonyl-substituted 3-pyrrolidinyl, etc.; preferably R3 is a D-amino acid with at least one (un)substituted Ph or naphthyl ring or 1-3 addnl. amino acid residues, optionally with an amine capping group] and their pharmaceutically-acceptable salts, which are agonists/antagonists at one or more melanocortin receptors and having utility in the treatment of melanocortin receptor-related disorders and conditions. Thus, peptide II was prepared by the solid-phase method and shown to be an agonist of MC1-R (Ki = 10 nM).

L7 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:652533 CAPLUS

DOCUMENT NUMBER: 141:191073

TITLE: Preparation of piperazines as melanocortin
-specific agonists, antagonists, or mixed agonists and
antagonists.

INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Wu, Zhijun;
Rajpurohit, Ramesh

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of Appl.
No. PCT/US02/25574.

CODEN: USXXCO

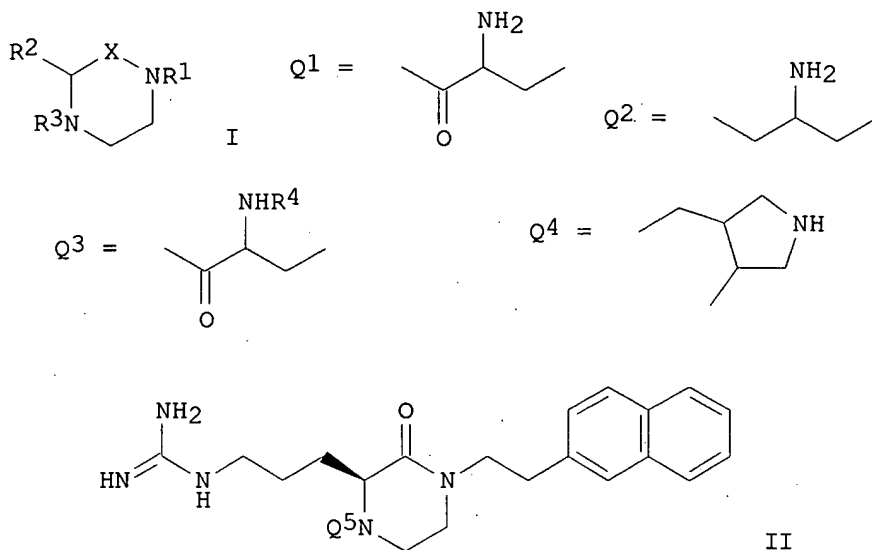
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004157264	A1	20040812	US 2004-762079	20040121
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
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WO 2005102340	A1	20051103	WO 2004-US1462	20040121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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US 2005130988	A1	20050616	US 2005-36282	20050114
US 2005124636	A1	20050609	US 2005-40838	20050121
US 2005176728	A1	20050811	US 2005-99814	20050405
PRIORITY APPLN. INFO.:			US 2001-311404P	P 20010810
			WO 2002-US25574	A2 20020812
			US 2003-474497P	P 20030530
			US 2003-467442P	P 20030501
			US 2004-536606P	P 20040114
			US 2004-538100P	P 20040121
			US 2004-761889	A2 20040121
			US 2004-762079	A2 20040121
			US 2004-546393P	P 20040219
			US 2004-559741P	P 20040405
			US 2004-563739P	P 20040419
			US 2004-837519	A2 20040430
OTHER SOURCE(S):	MARPAT 141:191073			
GI				



AB Title compds. [I; R1 = L1J, H; R2 = (CH2)yW, J, L1J; R3 = L2Q; L1 = (CH2)y, O(CH2)y, NH(CH2)y, CO(CH2)y, CO2(CH2)y, CH2CONH; J = (substituted) aryl, carbocyclyl, carbobicycyl, heterobicycyl; W = heteroatom unit with ≥ 1 cationic center, hydrogen bond donor, or hydrogen bond acceptor wherein ≥ 1 atom = N; L2 = Q1, Q2, Q3, Q4, etc.; Q = (substituted) Ph, naphthyl; R4 = H, R5, R5R6; R5 = amino acid residue, amine capping group; R6 = H, amine capping group; y = 1-6], were prepared Thus, title compound (II; Q5 = 2,4-dichloro-D-phenylalanyl) (general preparation given) at

1

μM gave 95% inhibition of melanocortin MC4-R.

L7 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:633168 CAPLUS

DOCUMENT NUMBER: 141:151030

TITLE: Bicyclic melanocortin-specific compounds

INVENTOR(S): Sharma, Shubh D.; Shi, Yi-Qun; Wu, Zhijun; Rajpurohit, Ramesh

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of WO 2003 13,571.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

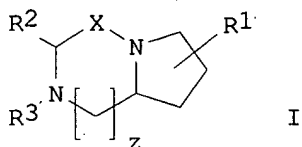
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004152134	A1	20040805	US 2004-761889	20040121
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

US 2005130988
US 2005124636
PRIORITY APPLN. INFO.:

A1 20050616
A1 20050609

US 2005-36282 20050114
US 2005-40838 20050121
US 2001-311404P P 20010810
WO 2002-US25574 A2 20020812
US 2003-467442P P 20030501
US 2003-474497P P 20030530
US 2004-536606P P 20040114
US 2004-538100P P 20040121
US 2004-761889 A2 20040121
US 2004-762079 A2 20040121
US 2004-546393P P 20040219
US 2004-559741P P 20040405
US 2004-563739P P 20040419
US 2004-837519 A2 20040430

OTHER SOURCE(S): MARPAT 141:151030
GI



AB The invention discloses melanocortin receptor-specific bicyclic compds. having the structure I (R1 = L1-J wherein L1 is a linker and J is a ring structure selected from the group consisting of substituted or unsubstituted aromatic carboxylic rings, substituted or unsubstituted non-aromatic carboxylic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, etc.; R2 = (CH2)y-W wherein W is a heteroarom. unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N; R3 = L2-Q wherein L2 is a linker and Q is an aromatic carboxylic ring selected from the group consisting of Ph, substituted Ph, naphthyl and substituted naphthyl; X = CH2 or C=O and z is 0 or 1), and stereoisomer and pharmaceutically acceptable salts thereof, which are agonists, antagonists or mixed agonists and antagonists at one or more melanocortin receptors, and having utility in the treatment of melanocortin receptor-related disorders and conditions. Pharmaceutical compns. containing a compound of structure I and methods relating to the use thereof for treating eating disorders and sexual dysfunction are also disclosed.

L7 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:569853 CAPLUS

DOCUMENT NUMBER: 141:117192

TITLE: Cyclic peptide compositions and methods for treatment of sexual dysfunction

INVENTOR(S): Sharma, Shubh D.; Shadiack, Annette M.; Rajpurohit, Ramesh; Yang, Wei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 40,547.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138136	A1	20040715	US 2003-638071	20030808

US 6579968	B1	20030617	US 2000-606501	20000628
EP 1593384	A2	20051109	EP 2005-75914	20000629
EP 1593384	A3	20060426		
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US 2002107182	A1	20020808	US 2002-40547	20020104
US 6794489	B2	20040921		
WO 2003006620	A2	20030123	WO 2002-US22196	20020711
WO 2003006620	A3	20031127		
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WO 2005014617	A2	20050217	WO 2004-US25749	20040809
WO 2005014617	A3	20050707		
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EP 1667700	A2	20060614	EP 2004-780562	20040809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 2005222014	A1	20051006	US 2005-139730	20050526
US 2006014676	A1	20060119	US 2005-174845	20050705
US 2006014194	A1	20060119	US 2005-174851	20050705
US 2006111281	A1	20060525	US 2005-269271	20051109
PRIORITY APPLN. INFO.:				
			US 2000-606501	A2 20000628
			US 2002-40547	A2 20020104
			WO 2002-US22196	A 20020711
			US 1999-142346P	P 19990629
			US 2000-194987P	P 20000405
			EP 2000-950283	A3 20000629
			US 2001-304836P	P 20010711
			US 2003-638071	A 20030808
			US 2004-575082P	P 20040527
			US 2004-585971P	P 20040706
			WO 2004-US25749	W 20040809
OTHER SOURCE(S):		MARPAT 141:117192		
GI				



AB The invention provides cyclic peptides I [R1 = H, N(R6)(R7); R2, R3, R5 = H, C1-6 (un)branched alkyl, aromatic amino acid side chain moiety, with provisos; R4 = C1-6 (un)branched chain amino acid side chain, neutral H-bonding or pos. charged amino acid side chain moiety; R6 = H, C1-4 (un)branched alkyl, C1-4 aralkyl; C1-4 ω-amino derivative; R7 = H, (un)branched C1-7 alkyl, etc.; m = 1-4; p = 1-5 (m + p = 2-7)]. Further provided are compns. and methods for treatment of sexual dysfunction in mammals, including male sexual dysfunction, such as erectile dysfunction, and female sexual dysfunction, by administration of a cyclic peptide including a C-terminal hydroxyl group. Methods of administration include injection, oral, urethral, vaginal, nasal and mucosal administration. The peptides of the invention are functional melanocortin agonists.

L7 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:133079 CAPLUS
DOCUMENT NUMBER: 138:188071
TITLE: Peptidomimetics of biologically active metallopeptides
INVENTOR(S): Sharma, Shubh D.; Shi, Yiqun; Rajpurohit,
Ramesh; Wu, Zhijun
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

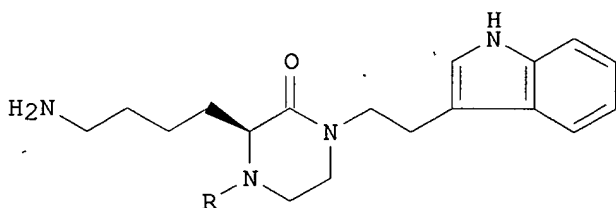
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WO 2003013571	A1	20030220	WO 2002-US25574	20020812
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CA 2462200	A1	20030220	CA 2002-2462200	20020812
EP 1425029	A1	20040609	EP 2002-768507	20020812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504043	T	20050210	JP 2003-518577	20020812
US 2004152134	A1	20040805	US 2004-761889	20040121
US 2004157264	A1	20040812	US 2004-762079	20040121
US 2004167201	A1	20040826	US 2004-776657	20040210
US 2004171520	A1	20040902	US 2004-776419	20040210
US 2005130988	A1	20050616	US 2005-36282	20050114

US 2005124636
US 2005176728
PRIORITY APPLN. INFO.:

A1 20050609
A1 20050811

US 2005-40838 20050121
US 2005-99814 20050405
US 2001-311404P P 20010810
WO 2002-US25574 W 20020812
US 2003-467442P P 20030501
US 2003-474497P P 20030530
US 2004-536606P P 20040114
US 2004-538100P P 20040121
US 2004-761889 A2 20040121
US 2004-762079 A2 20040121
US 2004-546393P P 20040219
US 2004-559741P P 20040405
US 2004-563739P P 20040419
US 2004-837519 A2 20040430

OTHER SOURCE(S): MARPAT 138:188071
GI



I

AB The invention relates to a method of deriving a peptidomimetic of a biol. active metallopeptide. The peptidomimetic contains at least one non-peptide ring structure and at least two amino acid-related elements. The invention further relates to peptidomimetics with a template space heterocyclic ring structure, including 5-, 6- and 8-membered and 5-5 and 6-5 bicyclic fused ring structure melanocortin receptor-specific peptidomimetics. The examples describe the synthesis of pyrrolidines, 2-piperazinones [e.g., I [R = BuCH₂CH₂CO-Ser(Bzl)-D-Phe(2-Cl)]], hexahydropyrrolo[1,2-a]pyrazin-4-ones, hexahydropyrrolo[1,2-a]imidazol-3-ones, 1,4-benzodiazepines, and piperazines. Competitive inhibition testing of compound I against α -MSH yielded the following results at 1 μ M: melanocortin-1 receptor (MC1-R) 96%, MC3-R 51%, MC4-R 99%, and MC5-R 82%.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:58220 CAPLUS

DOCUMENT NUMBER: 138:117676

TITLE: Linear and cyclic melanocortin receptor-specific peptides, and therapeutic use

INVENTOR(S): Sharma, Shubh D.; Shadiack, Annette M.;

Yang, Wei; Rajpurohit, Ramesh

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003006620	A2	20030123	WO 2002-US22196	20020711
WO 2003006620	A3	20031127		
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CA 2453515	A1	20030123	CA 2002-2453515	20020711
AU 2002322466	A2	20030129	AU 2002-322466	20020711
EP 1441750	A2	20040804	EP 2002-756458	20020711
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JP 2004534851	T	20041118	JP 2003-512379	20020711
US 2004138136	A1	20040715	US 2003-638071	20030808
US 2005038230	A1	20050217	US 2004-756212	20040112
US 2006014676	A1	20060119	US 2005-174845	20050705
US 2006014194	A1	20060119	US 2005-174851	20050705
US 2006111281	A1	20060525	US 2005-269271	20051109
PRIORITY APPLN. INFO.:			US 2001-304836P	P 20010711
			US 1999-142346P	P 19990629
			US 2000-194987P	P 20000405
			US 2000-606501	A2 20000628
			US 2002-40547	A2 20020104
			WO 2002-US22196	W 20020711
			US 2003-638071	A2 20030808
			US 2004-585971P	P 20040706

OTHER SOURCE(S): MARPAT 138:117676

AB Linear and cyclic peptides are provided which are specific to melanocortin receptors and which exhibit agonist, antagonist, or mixed agonist-antagonist activity. The peptides of the invention may be used to treat e.g. erectile dysfunction and eating disorders.

L7 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:634923 CAPLUS

TITLE: Melanocortin-4 receptor selective small molecules

AUTHOR(S): Wu, Zhijun; Rajpurohit, Ramesh; Shi, Yiqun; Sharma, Shubh

CORPORATE SOURCE: Department of Chemistry, Palatin Technologies, Inc, Cranbury, NJ, 08512, USA

SOURCE: Abstracts of Papers, 226th ACS National Meeting, New York, NY, United States, September 7-11, 2003 (2003), MEDI-315. American Chemical Society: Washington, D. C.

CODEN: 69EKY9

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB The melanocortin-4 receptor is a drug target for developing therapeutics for various feeding disorders including obesity and cachexia. Various alpha-melanotropin (the endogenous 13 amino acid peptide) based ligands have been shown to modulate feeding behavior of rats under exptl. conditions. We have developed a series of tri-substituted oxopiperazine ring compds. [Fig. 1] as MC-4R selective small mol. agents. One of these agents, (2S)-1-(4-Cl-D-Phe)-2-(3-gaunidino-propyl)-3-oxo-4-naphthaleneethyl-piperazine, is an agonist with a Ki of 79 nM. SAR studies of affinity and receptor selectivity with a series of compds. with different R groups at the 4-position of the oxopiperazine ring will be presented.

L7 ANSWER 23 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:558167 BIOSIS
DOCUMENT NUMBER: PREV200300559042
TITLE: Melanocortin-4 receptor selective small molecules.
AUTHOR(S): Wu, Zhijun [Reprint Author]; Rajpurohit, Ramesh [Reprint Author]; Shi, Yiqun [Reprint Author]; Sharma, Shubh [Reprint Author]
CORPORATE SOURCE: Department of Chemistry, Palatin Technologies, Inc, 4C Cedar Brook Drive, Cranbury, NJ, 08512, USA
zww@palatin.com
SOURCE: Abstracts of Papers American Chemical Society, (2003) Vol. 226, No. 1-2, pp. MEDI 315. print.
Meeting Info.: 226th ACS (American Chemical Society) National Meeting. New York, NY, USA. September 07-11, 2003. American Chemical Society.
ISSN: 0065-7727 (ISSN print).
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 26 Nov 2003
Last Updated on STN: 26 Nov 2003

L7 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:637788 CAPLUS
DOCUMENT NUMBER: 137:179841
TITLE: Identification of target-specific folding sites in peptides and proteins
INVENTOR(S): Sharma, Shubh D.; Shi, Yi-Qun
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064734	A2	20020822	WO 2001-US50075	20011219
WO 2002064734	A3	20031120		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2436789	A1	20020822	CA 2001-2436789	20011219
EP 1379283	A2	20040114	EP 2001-994412	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2005501220	T	20050113	JP 2002-565049	20011219
US 2004248212	A1	20041209	US 2004-769695	20040130
US 2005282739	A1	20051222	US 2005-188552	20050725
PRIORITY APPLN. INFO.:			US 2000-256842P	P 20001219
			US 2001-304835P	P 20010711
			US 2001-327835P	P 20011004

WO 2001-US50075 W 20011219
 US 2003-444129P P 20030131
 US 2003-464117 A2 20030617
 US 2004-769695 A2 20040130
 US 2004-590933P P 20040723

AB The invention provides methods for identification and determination of target-specific folding sites in peptides and proteins, including a method for determining a secondary structure binding to a target of interest within a known parent polypeptide that binds to the target of interest. In one embodiment of the invention, a residue or mimetic containing a nitrogen atom and a sulfur atom available for binding to a metal ion is serially substituted for single residues in or inserted between two adjacent residues in a known primary sequence of a peptide or protein. The resulting sequence, which includes a min. of the residue or mimetic containing a nitrogen atom and a sulfur atom available for binding to a metal ion and two residues on the amino terminus side thereof, is complexed with a metal ion, thereby forming a metallopeptide. The resulting metalloptides are then used in binding or functional assays related to the target of interest, and the metallopeptide demonstrating binding or functional activity is selected. The invention further provides methods to determine the specific sequence and local three-dimensional structure of that portion of peptides or proteins that bind to a receptor or target of interest, or mediate a biol. activity of interest and methods to determine the pharmacophore of receptors or targets of interest. The invention provides for defined pharmacophores or receptors or targets of interest and directed libraries for identification and determination of target-specific folding sites in peptides and proteins and for identification and determination of pharmacophores of receptors or targets of interest.

L7 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:637480 CAPLUS
 DOCUMENT NUMBER: 137:190724
 TITLE: Melanocortin metalloptides for treatment of sexual dysfunction
 INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Yang, Wei; Cai, Hui-zhi; Shadiack, Annette
 PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
 SOURCE: PCT Int. Appl., 58 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064091	A2	20020822	WO 2002-US4431	20020213
WO 2002064091	A3	20030313		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004038897	A1	20040226	US 2003-640755	20030813
US 2005164914	A1	20050728	US 2005-36273	20050114
PRIORITY APPLN. INFO.:			US 2001-268591P	P 20010213
			US 1995-476652	A2 19950607
			US 1996-660697	A3 19960605

US 2000-483837 A2 20000117
WO 2002-US4431 A 20020213
US 2003-640755 A2 20030813
US 2004-536691P P 20040114

OTHER SOURCE(S): MARPAT 137:190724

AB Metallopeptides are provided for use in treatment of sexual dysfunction in mammals. The metallopeptides are agonists for at least one of melanocortin-3 or melanocortin-4 receptors. The metallopeptides are conformationally fixed on complexation of a metal ion-binding portion thereof with a metal ion. Also provided are metallopeptides that are antagonists for at least one of melanocortin-3 or melanocortin-4 receptors.

L7 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:618187 CAPLUS

TITLE: Conformationally-restricted N-alkylated amino acid analogs of MT-II to probe the message sequence of α -melanotropin

AUTHOR(S): Yang, Wei Helen; Rajpurohit, Ramesh; Wang, Qing-Mei; Sharma, Shubh

CORPORATE SOURCE: Palatin Technologies, Inc, Edison, NJ, 08837, USA

SOURCE: Abstracts of Papers, 224th ACS National Meeting, Boston, MA, United States, August 18-22, 2002 (2002), MEDI-336. American Chemical Society: Washington, D. C.

CODEN: 69CZPZ

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB Ac-Nle-Cyclo[Asp-His-D-Phe-Arg-Trp-Lys]-NH₂ (MT-II), is a potent non-selective cyclic peptide analog of α -melanotropin in which the tetrapeptide message segment, His-D-Phe-Arg-Trp, is constrained with an Asp Lys lactam bridge. It is evident that this mol. is capable of presenting itself in different conformational states that facilitate its interaction with various melanocortin receptors. (MC-1R, MC-3R, MC-4R, and MC-5R) with similar low nanomolar affinities. We have explored the effects of addnl. conformational restrictions within this tetrapeptide sequence towards causing a shift in receptor selectivity. This was accomplished by introducing various N-alkylated derivs. of these amino acids in MT-II, individually as well as in tandem to restrict the phi conformational space around an amino acid. The results showed that D-Phe position was most sensitive for this modification. N-Methylation of D-Phe totally abolished the affinity for all of the four receptors. However, N-Ethylation caused a shift towards MC-1R selectivity, although with somewhat lower potency. N-Methylation at Arg or Trp residue was well tolerated and resulted in analogs displaying appreciable preference towards binding of MC-1R and MC-4R. These results that have provided important information on SAR for the design of receptor specific peptidomimetics will be discussed.

L7 ANSWER 27 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2002:511102 BIOSIS

DOCUMENT NUMBER: PREV200200511102

TITLE: Conformationally restricted N-alkylated amino acid analogs of MT-II to probe the message sequence of α -melanotropin.

AUTHOR(S): Yang, Wei Helen [Reprint author]; Rajpurohit, Ramesh [Reprint author]; Wang, Qing-Mei [Reprint author]; Sharma, Shubh [Reprint author]

CORPORATE SOURCE: Palatin Technologies, Inc, 175 May Street, Suite 500, Edison, NJ, 08837, USA
wyang@palatin.com

SOURCE: Abstracts of Papers American Chemical Society, (2002) Vol.

224, No. 1-2, pp. MEDI 336. print.
Meeting Info.: 224th National Meeting of the American
Chemical Society. Boston, MA, USA. August 18-22, 2002.
CODEN: ACSRAL. ISSN: 0065-7727.

DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 2 Oct 2002
Last Updated on STN: 2 Oct 2002

L7 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:137478 CAPLUS
DOCUMENT NUMBER: 134:188233
TITLE: Melanocortin metallopeptide constructs,
combinatorial libraries, and applications
INVENTOR(S): Sharma, Shubh D.; Shi, Yi-Qun; Yang, Wei;
Cai, Hui-Zhi
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001013112	A1	20010222	WO 2000-US16396	20000615
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2379647	A1	20010222	CA 2000-2379647	20000615
EP 1208377	A1	20020529	EP 2000-944681	20000615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2004519410	T	20040702	JP 2001-517163	20000615
US 7049398	B1	20060523	US 2002-49718	20020213
US 2006240481	A1	20061026	US 2006-419557	20060522
PRIORITY APPLN. INFO.:			US 1999-148994P	P 19990812
			WO 2000-US16396	W 20000615
			US 2002-49718	A3 20020213

OTHER SOURCE(S): MARPAT 134:188233

AB Metallopeptides and metallopeptide combinatorial libraries specific for melanocortin receptors are provided, for use in biol., pharmaceutical and related applications. The metallopeptides and combinatorial libraries are made of peptides, peptidomimetics and peptide-like constructs, in which the peptide, peptidomimetic or construct is conformationally fixed on complexation of a metal ion-binding portion thereof with a metal ion.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:421334 CAPLUS
DOCUMENT NUMBER: 133:55661
TITLE: Metallopeptide combinatorial libraries synthesis and applications

INVENTOR(S): Sharma, Shubh D.; Shi, Yiqun
 PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000036136	A1	20000622	WO 1999-US29743	19991214
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2353072	A1	20000622	CA 1999-2353072	19991214
EP 1141375	A1	20011010	EP 1999-964263	19991214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002536295	T	20021029	JP 2000-588384	19991214
AU 760257	B2	20030508	AU 2000-20541	19991214
US 2002012948	A1	20020131	US 2001-883069	20010614
US 2006003386	A1	20060105	US 2005-221210	20050907
PRIORITY APPLN. INFO.:				
			US 1998-112235P	P 19981214
			US 1995-476652	A 19950607
			US 1996-660697	A 19960605
			WO 1999-US29743	W 19991214
			US 2001-883069	B3 20010614
AB Metallopeptide combinatorial libraries and methods of making libraries and metallopeptides are provided for use in biol., pharmaceutical and related applications. The combinatorial libraries are made of peptides, peptidomimetics and peptide-like constructs, and include a metal ion-binding region thereof which includes at least one orthogonal sulfur-protecting group, in which the peptide, peptidomimetic or construct is conformationally fixed on deprotection of the sulfur and complexation of the metal ion-binding region with a metal ion. Methods of synthesis of these metallopeptides are described. Thereafter the library members may be screened to select those with the desired specificity and affinity.				
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L7 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:123168 CAPLUS
 DOCUMENT NUMBER: 132:185495
 TITLE: Structurally determined metallo-constructs peptides as imaging and diagnostic and radiotherapeutic agents
 INVENTOR(S): Sharma, Shubh D.
 PATENT ASSIGNEE(S): Rhomed Incorporated, USA
 SOURCE: U.S., 61 pp., Cont.-in-part of U.S. 5,891,418.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6027711	A	20000222	US 1996-660697	19960605

US 5891418	A	19990406	US 1995-476652	19950607
CA 2221146	A1	19961219	CA 1996-2221146	19960606
WO 9640293	A1	19961219	WO 1996-US9840	19960606
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
AU 9663300	A	19961230	AU 1996-63300	19960606
AU 719556	B2	20000511		
EP 831939	A1	19980401	EP 1996-922423	19960606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9609400	A	19991214	BR 1996-9400	19960606
JP 2001518055	T	20011009	JP 1997-502043	19960606
IL 122392	A	20021110	IL 1996-122392	19960606
US 2003059422	A1	20030327	US 1999-387715	19990830
US 6551574	B2	20030422		
US 6331285	B1	20011218	US 1999-464358	19991215
US 2002012948	A1	20020131	US 2001-883069	20010614
US 2003165427	A1	20030904	US 2003-364842	20030211
US 7097824	B2	20060829		
US 2005164914	A1	20050728	US 2005-36273	20050114
US 2006040324	A1	20060223	US 2005-198510	20050805
PRIORITY APPLN. INFO.:				
			US 1995-476652	A2 19950607
			US 1996-660697	A 19960605
			WO 1996-US9840	W 19960606
			US 1998-78373P	P 19980318
			US 1998-112235P	P 19981214
			US 1999-387715	A3 19990830
			WO 1999-US29743	A 19991214
			US 2000-483837	A2 20000117
			US 2001-268591P	P 20010213
			WO 2002-US4431	A1 20020213
			US 2003-640755	A2 20030813
			US 2004-536691P	P 20040114
AB	A metallo-construct, which may be a peptide, is provided for use as a biol., therapeutic, diagnostic imaging, or radiotherapeutic agent, and for use in library or combinatorial chemical methods. The construct has a conformationally constrained global secondary structure obtained upon complexing with a metal ion. The peptide constructs are of the type, R1XR2 (where X is a plurality of amino acids and includes a complexing backbone for complexing metal ions, resulting in a specific regional secondary structure forming a part of the global secondary structure; and where R1 and R2 each includes 0-20 amino acids, the amino acids being selected so that upon complexing the metal ion with X at least a portion of either R1 or R2 or both have a structure forming the balance of the conformationally constrained global secondary structure). All or a portion of the global secondary structure, which may be sychnol. or rhegnylogic, may form a ligand or mimic a known biol.-function domain. The construct has substantially higher affinity for its target upon labeling with a metal ion. D-Arg-Gly-D-Cys-β-Ala was prepared by standard methods and labeled with 99m Tc-sodium pertechnetate by using stannous salt as the reducing agent.			
REFERENCE COUNT:	12	THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		
L7	ANSWER 31 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN			
ACCESSION NUMBER:	1998:192146 CAPLUS			
DOCUMENT NUMBER:	128:257693			
TITLE:	Preparation of peptides having potent antagonist and agonist bioactivities at melanocortin			

receptors
 INVENTOR(S): Hadley, Mac E.; Hruby, Victor J.; Sharma, Shubh D.
 PATENT ASSIGNEE(S): University of Arizona, Board of Regents, USA
 SOURCE: U.S., 6 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5731408	A	19980324	US 1995-420972	19950410
US 6054556	A	20000425	US 1997-980238	19971128
PRIORITY APPLN. INFO.:			US 1995-420972	A2 19950410

GI

Ac-Nle-Asp-His-X-Arg-Trp-Lys-NH₂ I

AB Cyclic lactam peptides I [X = D-3-(2-naphthyl)alanine (D-2-Nal), D-p-iodophenylalanine [D-(p-I)Phe]] provided potent and specific antagonists of the two neural melanocortin receptors and of the peripheral receptor. In particular, peptide I (X = D-2-Nal) was a potent antagonist of the MC3 and MC4 receptors with partial agonist activity, and a full agonist of the MC1 and MC5 receptors. Peptide I [X = D-(p-I)Phe] was a potent antagonist of the MC3 and MC4 receptors with partial agonist activity. Both peptides I have antagonist activities in the classical frog skin bioassay for pigmentation at the MC1 receptor.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 1

ACCESSION NUMBER: 1998:262508 BIOSIS
 DOCUMENT NUMBER: PREV199800262508
 TITLE: Prevention of reflex natriuresis after acute unilateral nephrectomy by melanocortin receptor antagonists.
 AUTHOR(S): Ni, Xi-Ping; Kesterson, Robert A.; Sharma, Shubh D.; Hruby, Victor J.; Cone, Roger D.; Wiedemann, Eckehart; Humphreys, Michael H. [Reprint author]
 CORPORATE SOURCE: Box 1341, San Francisco General Hosp., Univ. California, San Francisco, CA 94143, USA
 SOURCE: American Journal of Physiology, (April, 1998) Vol. 274, No. 4 PART 2, pp. R931-R938. print.
 CODEN: AJPHAP. ISSN: 0002-9513.
 DOCUMENT TYPE: Article
 LANGUAGE: English
 ENTRY DATE: Entered STN: 9 Jun 1998
 Last Updated on STN: 12 Aug 1998

AB gamma-Melanocyte-stimulating hormone (gamma-MSH), atrial natriuretic peptide (ANP), and oxytocin have been identified as candidate hormonal mediators of the reflex natriuresis that follows acute unilateral nephrectomy (AUN). Pharmacological characterization of the third melanocortin receptor (MC3-R) indicates that it uniquely responds to physiological concentrations of gamma-MSH. We tested the roles of gamma-MSH, ANP, and oxytocin in the postnephrectomy natriuresis by carrying out AUN during continuous intrarenal infusion of specific

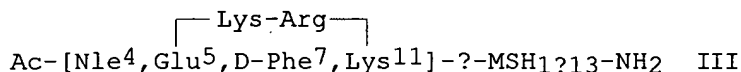
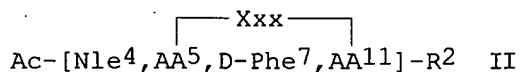
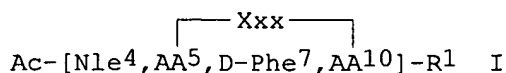
antagonists for their cognate receptors. In anesthetized Sprague-Dawley rats, urinary sodium excretion (UNaV) increased from 0.34 \pm 0.04 to 1.12 \pm 0.11 μ eq/min 90 min after AUN ($P < 0.001$). No change in UNaV occurred in rats undergoing a sham AUN procedure. Plasma immunoreactive gamma-MSH concentration was 53 \pm 8 fmol/ml after sham AUN but 112 \pm 17 fmol/ml after AUN ($P < 0.01$). SHU-9119 and SHU-9005 are substituted derivatives of alpha-MSH with potent antagonism at the MC3-R in vitro. Infusion of these compounds at 5 pmol/min completely blocked the natriuretic response to AUN despite a similar elevation in plasma gamma-MSH (111 \pm 12 vs. 49 \pm 8 fmol/ml in sham rats, $P < 0.01$). Intrarenal infusion of the ANP receptor antagonist A-71915 (5 pmol/min) or the oxytocin receptor antagonist (d(CH2)5I, Tyr(Me)2, Orn8) vasotocin (10 pmol/min) effectively inhibited the natriuresis induced by intravenous infusion of ANP or oxytocin (each at 1 pmol/min), respectively, but did not block the natriuresis after AUN. Plasma immunoreactivity of these peptides was not increased after AUN. These results indicate that reflex natriuresis after AUN is accompanied by an increase in plasma gamma-MSH but not ANP or oxytocin concentration and is prevented by intrarenal infusion of receptor antagonists with selectivity for MC3-R. The data indicate that gamma-MSH or a closely related peptide mediates postnephrectomy natriuresis and provide further support for the possibility that gamma-MSH may play a wider role in sodium homeostasis.

L7 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:735794 CAPLUS
DOCUMENT NUMBER: 127:346663
TITLE: Preparation and biological activity of cyclic bridged
 α -MSH analogs
INVENTOR(S): Hadley, Mac E.; Hruby, Victor J.; Sharma, Shubh
D.
PATENT ASSIGNEE(S): Competitive Technologies, Inc., USA
SOURCE: U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 199,775,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5683981	A	19971104	US 1995-470343	19950606
US 5674839	A	19971007	US 1994-349902	19941206
US 5714576	A	19980203	US 1997-826676	19970407
PRIORITY APPLN. INFO.:			US 1987-53229	B2 19870522
			US 1988-212807	B1 19880629
			US 1990-611456	B2 19901113
			US 1992-938781	B1 19920831
			US 1994-199775	B2 19940222
			US 1992-916767	B1 19920717
			US 1994-349902	A3 19941206

GI



AB Novel cyclic bridged α -MSH analogs I and II (AA5, AA10, AA11 = L- or D-amino acid containing ω -amino or carboxyl group in the side chain; Xxx = 1-5 α -amino acid residues, each of which may be of L- or D-configuration, or linear or branched spacer chain containing terminal amino and/or carboxy groups; R1, R2 designates α -MSH1-13NH2, α -MSH1-12NH2, α -MSH1-11NH2, α -MSH4-13NH2, α -MSH4-10NH2) are described herein. With the described analogs, when administered in pharmaceutical compns., it is now possible to achieve normalization of hypopigmentation dysfunctions and to achieve darkening of the skin in the total absence of sun or UV light irradiation. Thus, cyclic peptide III was prepared by standard solid-phase methods and displayed α -MSH relative potencies of 100 in a frog skin assay and 5 in a lizard skin assay.

L7 ANSWER 34 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 2

ACCESSION NUMBER: 1997:290902 BIOSIS

DOCUMENT NUMBER: PREV199799590105

TITLE: Biological and conformational examination of stereochemical modifications using the template melanotropin peptide, Ac-Nle-c(Asp-His-Phe-Arg-Trp-Ala-Lys)-NH-2, on human melanocortin receptors.

AUTHOR(S): Haskell-Luevano, Carrie; Nikiforovich, Gregory; Sharma, Shubh D.; Yang, Ying-Kui; Dickinson, Chris; Hrubby, Victor J. [Reprint author]; Gantz, Ira

CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ 85721, USA
SOURCE: Journal of Medicinal Chemistry, (1997) Vol. 40, No. 11, pp. 1738-1748.

CODEN: JMCMAR. ISSN: 0022-2623.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 9 Jul 1997

Last Updated on STN: 9 Jul 1997

AB Examination of conformationally constrained melanotropin peptides (Ac-Nle-4-c(Asp-5-His-Phe-7-Arg-Trp-9-Ala-Lys)-NH-2) on four human melanotropin receptors (hMC1R, hMC3R, hMC4R, and hMC5R) resulted in identifying the importance of ligand stereochemistry at positions 5, 7, and 9 for agonist binding affinity and receptor selectivity. A trend in ligand structure-activity relationships emerged for these peptides, with the hMC1R and hMC4R possessing similar tendencies, as did the hMC3R and hMC5R. α -MSH (Ac-Ser-Tyr-Ser-Met-4-Glu-His-Phe-7-Arg-Trp-Gly-Lys-Pro-Val-NH-2), NDP-MSH (Ac-Ser-Tyr-Ser-Nle-4-Glu-His-D-Phe-7-Arg-Trp-Gly-Lys-Pro-Val-NH-2), and MTII (Ac-Nle-4-c(Asp-5, D-Phe-7-Lys-10)- α -MSH(4-10)-NH-2) were also examined at each of these melanocortin receptors. Interestingly, the linear NDP-MSH possessed greater binding affinity for the hMC3R and hMC5R than did the cyclic analogue MTII. The peptide Ac-Nle-c(Asp-His-Phe-Arg-D-

Trp-9-Ala-Lys)-NH-2 demonstrated the greatest differentiation in binding affinity between the hMC1R and hMC4R (78-fold). Analogue Ac-Nle-c(Asp-His-Phe-7-Arg-Trp-Ala-Lys)-NH-2 resulted in micromolar binding affinity (or greater) at the hMC3R and hMC5R, demonstrating the importance of D-Phe-7 for ligand binding potency at these receptors. Ac-c(Asp-His-Phe-Arg-Trp-Ala-Lys)-NH-2 resulted in loss of binding affinity at the hMC5R, implicating the importance of Nle-4 (or a hydrophobic residue in this position) for binding to this receptor. Ac-Nle-c(D-Asp-5-His-Phe-Arg-Trp-Ala-Lys)-NH-2 was unable to competitively displace (125I)NDP-MSH binding at micromolar concentrations on the hMC3R and hMC5R, suggesting the importance of chirality of Asp-5 either for ligand-receptor interactions or for orientation of the side chain lactam bridge and the structural integrity of the peptide conformation. Energy calculations performed for these peptides resulted in the identification of a low-energy ligand conformer family that is common to all the ligands. The differences in ligand binding affinities observed in this study are postulated to be a result of different ligand-receptor complexed interactions and not solely to the ligand structure.

L7 ANSWER 35 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 3

ACCESSION NUMBER: 1997:513441 BIOSIS
DOCUMENT NUMBER: PREV199799812644
TITLE: Selectivity of cyclic (D-Nal-7) and (D-Phe-7) substituted MSH analogues for the melanocortin receptor subtypes.
AUTHOR(S): Schioth, Helgi B. [Reprint author]; Muceniece, Ruta; Mutulis, Felix; Prusis, Peteris; Lindeberg, Gunnar; Sharma, Shubh D.; Hruby, Victor J.; Wikberg, Jarl E. S. [Reprint author]
CORPORATE SOURCE: Dep. Pharmaceutical Pharmacol., Biomedical Cent., Box 591, 751 24 Uppsala, Sweden
SOURCE: Peptides (Tarrytown), (1997) Vol. 18, No. 7, pp. 1009-1013. CODEN: PPTDD5. ISSN: 0196-9781.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 10 Dec 1997
Last Updated on STN: 10 Dec 1997

AB The binding of the 2 cyclic lactam MSH (4-10) analogues (MTII, SHU9119), and 5 cyclic (Cys-4, Cys-10)alpha-MSH analogues were tested on cells transiently expressing the human MC1, MC3, MC4 and MC5 receptors. The results indicate a differential importance of the C-terminal (Lys-Pro-Val) and N-terminal (Ser-Tyr-Ser) of cyclic (Cys-4, Cys-10)alpha-MSH analogues in binding to the MC receptor subtypes. Substitution of D-Phe-7 by D-Nal(2')-7 in both the cyclic lactam MSH (4-10) and the cyclic disulphide MSH (4-10) analogues resulted in a shift in favour of selectivity for the MC4 receptor; the disulphide analogue, (Cys-4, D-Na)(2')-7 Cys-10)alpha-MSH (4-10) (HS9510), showing the highest selectivity for the MC4 receptor among all the substances tested. However, the cyclic lactams displayed an over all higher affinity for the MC receptors, than any of the cyclic disulphide MSH (4-10) analogues.

L7 ANSWER 36 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 4

ACCESSION NUMBER: 1997:178769 BIOSIS
DOCUMENT NUMBER: PREV199799470482
TITLE: Characterisation of D117A and H260A mutations in the melanocortin 1 receptor.
AUTHOR(S): Schioth, Helgi B. [Reprint author]; Muceniece, Ruta; Szardenings, Michael; Prusis, Peteris; Lindeberg, Gunnar; Sharma, Shubh D.; Hruby, Victor J.; Wikberg, Jarl E. S.
CORPORATE SOURCE: Dep. Pharmaceutical Pharmacol., Biomed. Center, Box 591,

SOURCE: 751 24 Uppsala, Sweden
Molecular and Cellular Endocrinology, (1997) Vol. 126, No. 2, pp. 213-219.
CODEN: MCEND6. ISSN: 0303-7207.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 24 Apr 1997
Last Updated on STN: 2 Jun 1997

AB Recent site directed mutagenesis studies on the melanocortin 1 (MC1) receptor have indicated the importance of D117 and H260 amino acid residues for the binding of alpha-MSH (melanocyte stimulating hormone). Here, we report the testing of 12 cyclic and linear MSH peptides on the D117A and H260A mutant receptors. Moreover, we constructed a double mutant which displayed a major loss in affinity for (Nle-4, D-Phe-7)alpha-MSH. Our new data of His-6 and Phe-7 substituted MSH peptides are compared with previous results and the hypothesis of putative interactions of D117 and H260 with single amino acids in the MSH peptide. Our conclusions are that the D117A and the H260A mutations may cause conformational changes in the receptor which can not be linked to any specific amino acid in the MSH-peptides.

L7 ANSWER 37 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 5

ACCESSION NUMBER: 1997:22523 BIOSIS
DOCUMENT NUMBER: PREV199799321726
TITLE: Melanotropic peptide-conjugated beads for
microscopic visualization and characterization of
melanoma melanotropin receptors.
AUTHOR(S): Sharma, Shubh D.; Jiang, Jinwen; Hadley, Mac E.;
Bentley, David L.; Hruby, Victor J. [Reprint author]
CORPORATE SOURCE: Dep. Chemistry, Arizona Res. Laboratories, Univ. Arizona,
Tucson, AZ 85721, USA
SOURCE: Proceedings of the National Academy of Sciences of the
United States of America, (1996) Vol. 93, No. 24, pp.
13715-13720.
CODEN: PNASA6. ISSN: 0027-8424.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 15 Jan 1997
Last Updated on STN: 23 Jan 1997

AB We developed two solid-phase reagent systems for microscopic visualization and characterization of melanocyte-stimulating hormone (MSH) receptors of melanoma cells. Multiple copies of (Nle-4,D-Phe-7)-alpha-MSH, a potent analog of alpha-MSH, were conjugated to microspheres (latex beads) or macrospheres (polyamide beads) through a thioether or disulfide bond. Binding between the beads and mouse and human melanoma cells was examined by scanning electron microscopy and by light microscopy. Each mouse and human melanoma cell (of all cell lines) evinced binding to the beads. Binding of the melanotropin conjugates was not restricted to any one phase of the cell cycle. Specificity of binding was demonstrated by several studies. Negative controls included cell types of nonmelanocyte origin (e.g., mammary cancer cells) and beads that lacked the melanotropic ligand or had other attached ligands. Beads with a disulfide-linked melanotropin analog served as a direct control. Treatment of these beads with DTT during or before incubation of the beads with melanoma cells (resulting in-release of the MSH analog from the beads) eliminated binding of the beads to melanoma cells. Binding interactions between melanoma cells and melanotropin-bound beads also could be abolished by prior incubation with unconjugated MSH analog. During these experiments, certain membrane receptor-hormone associated phenomena, such as capping (aggregation) of the receptor-ligand complex, also were observed. These

results provide visual evidence that MSH receptors are a property common to melanoma cells. Normal human epidermal melanocytes and keratinocytes were also shown to express melanotropin receptors by the same criteria established for melanoma cells.

L7 ANSWER 38 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1996:460540 BIOSIS
DOCUMENT NUMBER: PREV199699182896
TITLE: Melanocortin antagonists define two distinct pathways of cardiovascular control by alpha- and gamma-melanocyte-stimulating hormones.
AUTHOR(S): Li, Si-Jia; Varga, Karoly; Archer, Phillip; Hruby, Victor J.; Sharma, Shubh D.; Kesterson, Robert A.; Cone, Roger D.; Kunos, George [Reprint author]
CORPORATE SOURCE: Dep. Pharmacol. Toxicol., Virginia Commonwealth Univ., Box 980613, Richmond, VA 23298, USA
SOURCE: Journal of Neuroscience, (1996) Vol. 16, No. 16, pp. 5182-5188.
CODEN: JNRSDS. ISSN: 0270-6474.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 11 Oct 1996
Last Updated on STN: 11 Oct 1996

AB Melanocortin peptides and at least two subtypes of melanocortin receptors (MC3-R and MC4-R) are present in brain regions involved in cardiovascular regulation. In urethane-anesthetized rats, unilateral microinjection of alpha-melanocyte-stimulating hormone (MSH) into the medullary dorsal-vagal complex (DVC) causes dose-dependent (125-250 pmol) hypotension and bradycardia, whereas gamma-MSH is less effective. The effects of alpha-MSH are inhibited by microinjection to the same site of the novel MC4-R/MC3-R antagonist SHU9119 (2-100 pmol) but not naloxone (270 pmol), whereas the similar effects of intra-DVC injection of beta-endorphin (1 pmol) are inhibited by naloxone and not by SHU9119. Hypotensive and bradycardic responses to electrical stimulation of the arcuate nucleus also are inhibited by ipsilateral intra-DVC microinjection of SHU9119. gamma-MSH and ACTH(4-10), but not alpha-MSH, elicit dose-dependent (0.1-12.5 nmol) pressor and tachycardic effects, which are much more pronounced after intracarotid than after intravenous administration. The effects of gamma-MSH (1.25 nmol) are not inhibited by the intracarotid injection of SHU9119 (1.25-12.5 nmol) or the novel MC3-R antagonist SHU9005 (1.25-12.5 nmol). We conclude that the hypotension and bradycardia elicited by the release of alpha-MSH from arcuate neurons is mediated by neural melanocortin receptors (MC4-R/MC3-R) located in the DVC, whereas the similar effects of beta-endorphin, a peptide derived from the same precursor, are mediated by opiate receptors at the same site. In contrast, neither MC3-R nor MC4-R is involved in the centrally mediated pressor and tachycardic actions of gamma-MSH, which, likely, are mediated by an as yet unidentified receptor.

L7 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:137750 CAPLUS
DOCUMENT NUMBER: 126:155849
TITLE: Melanotropic peptide receptors: membrane markers of human melanoma cells
AUTHOR(S): Jiang, Jinwen; Sharma, Shubh D.; Fink, Jody L.; Hadley, Mac E.; Hruby, Victor J.
CORPORATE SOURCE: Departments of Cell Biology & Anatomy, University of Arizona, Tucson, AZ, 85724, USA
SOURCE: Experimental Dermatology (1996), 5(6), 325-333
CODEN: EXDEEY; ISSN: 0906-6705
PUBLISHER: Munksgaard

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The objectives of this research were to determine whether melanotropin receptors are characteristic (constant) membrane markers of human melanoma cells. Methodologies were developed to visualize these receptors by fluorescence microscopy. Multiple copies (10-20) of both [Nle4,D-Phe7] α -MSH, a superpotent analog of α -MSH (α -MSH), and a fluorophore, were conjugated to polyvinyl alc. (PVA). Incubation in the presence of the multivalent macromol. conjugate (FITC-PVA-MSH) resulted in binding of human epidermal melanocytes and keratinocytes and human melanoma cells (both melanotic and amelanotic) to the fluorescent conjugate. Binding of the conjugate to the cells exhibited a unique cluster pattern (capping) suggesting a receptor internalization related phenomenon. Most importantly, every cell of every melanoma cell line, melanotic or amelanotic, possessed receptors as visualized by fluorescence microscopy. Since the cells were not synchronized, some binding apparently took place during all phases of the cell cycle. Therefore, receptor expression appears not to be cell-cycle dependent. Specificity of binding of FITC-PVA-MSH was demonstrated by several studies. Binding of the conjugate to melanoma cells could be blocked by prior incubation of the cells in the presence of the unconjugated hormone analog; [Nle4,D-Phe7] α -MSH. The macromol. conjugate lacking bound ligand (FITC-PVA) did not bind to the melanoma cells. Another peptide, a substance-P analog, attached to the substrate (FITC-PVA-SP) failed to bind to the cells. With the exception of keratinocytes, other cells of nonmelanocyte origin (e.g., fibroblasts, spleen, liver, kidney cells, and mammary cancer cells, lung cancer cells) did not bind to the conjugate. Thus, cell-specific melanotropin receptors appear to be characteristic cell surface markers of epidermal melanocytes, keratinocytes, and melanoma cells. In several human melanoma cell lines these receptors appeared to be functional since [Nle4,D-Phe7] α -MSH stimulated tyrosinase activity. Fluorescent melanotropin conjugates might prove useful in determining whether all human melanoma (primary and metastatic) tumors possess such receptors. These receptors might then provide targets for melanotropic peptides for the identification localization, and chemotherapy of melanoma.

L7 ANSWER 40 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 6

ACCESSION NUMBER: 1997:107433 BIOSIS

DOCUMENT NUMBER: PREV199799406636

TITLE: Human epidermal melanocyte and keratinocyte
melanocortin receptors: Visualization by
melanotropic peptide conjugated microspheres (Latex
beads).

AUTHOR(S): Jiang, Jinwen; Sharma, Shubh D.; Hruby, Victor
J.; Bentley, David L.; Fink, Jody L.; Hadley, Mac E.
[Reprint author]

CORPORATE SOURCE: Dep. Cell Biol. Anatomy, Univ. Arizona, Tucson, AZ
85724-5044, USA

SOURCE: Pigment Cell Research, (1996) Vol. 9, No. 5, pp. 240-247.
CODEN: PCREEA. ISSN: 0893-5785.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 10 Mar 1997

Last Updated on STN: 10 Mar 1997

AB The objectives of this research were to determine whether melanocortin receptors are characteristic (constant) membrane markers of human epidermal melanocytes. Methodologies were developed to visualize melanotropin receptors by scanning electron microscopy (SEM). Multiple copies (up to a hundred) of

(Nle-4,D-Phe-7)alpha-MSH, a superpotent analog of alpha-melanocyte stimulating hormone (alpha-MSH), were conjugated to a macromolecular carrier (latex beads: microspheres). Incubation in the presence of the melanotropin-conjugated microspheres resulted in binding of human normal epidermal melanocytes to the beads. Almost every (possibly all) melanocyte possesses melanocortin receptors as visualized by SEM. Specificity of binding of the macromolecular conjugate was demonstrated by several studies: 1) Binding of melanocytes to the microspheres was specific since it could be blocked by prior incubation of the cells in the presence of the unconjugated hormone analog; 2) microspheres lacking bound ligand did not bind to the melanocytes; 3) microspheres that were first treated with reducing agents (e.g., dithiothreitol) did not subsequently bind to melanocytes; 4) another peptide hormone ligand (e.g., a substance-P analog) attached to the latex beads failed to bind to the cells; 5) B16/F10 mouse melanoma cells known to express melanocortin receptors bound to the microspheres; and 6) cells of nonmelanocyte origin (e.g., mammary cancer cells, small-cell lung cancer cells, fibroblasts) did not bind to the macromolecular conjugate. One exception was that human epidermal keratinocytes also expressed melanocortin receptors as determined by all the criteria established above for epidermal melanocytes. Thus, cell specific melanocortin receptors appear to be characteristic cell surface markers of epidermal melanocytes and keratinocytes.

L7 ANSWER 41 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 7

ACCESSION NUMBER: 1997:105459 BIOSIS

DOCUMENT NUMBER: PREV199799404662

TITLE: Melanocortin receptors: Identification and
characterization by melanotropic peptide agonists
and antagonists.

AUTHOR(S): Hadley, Mac E. [Reprint author]; Hruby, Victor J.; Jiang,
Jiwen; Sharma, Shubh D.; Fink, Jody L.;
Haskell-Luevano, Carrie; Bentley, David L.; Al-Obeidi,
Fahad; Sawyer, Tomi K.

CORPORATE SOURCE: Cell Biol. Anatomy, Coll. Med., Tucson, AZ 85724-5044, USA
SOURCE: Pigment Cell Research, (1996) Vol. 9, No. 5, pp. 213-234.
CODEN: PCREEA. ISSN: 0893-5785.

DOCUMENT TYPE: Article
General Review; (Literature Review)

LANGUAGE: English

ENTRY DATE: Entered STN: 10 Mar 1997
Last Updated on STN: 10 Mar 1997

AB Hormones are chemical messengers released from cells to act on and control the activity of other cells. Hormonal ligands initiate their actions by interacting with receptive substances (Langley, 1906) of the target cells. These receptors are proteins that are either integral components of the cell membrane or are localized cytoplasmically within cells. Ligand-receptor interaction results in either the stimulation or inhibition of cellular activity. Since most hormones bind rather specifically to receptors possessed by their target cells, labeling of hormonal ligands can be utilized to identify and localize cells within an animal. In this report we discuss what is presently known about melanocortin receptors (MCRs) as studied by the use of labeled melanotropic peptide ligands.

L7 ANSWER 42 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 8

ACCESSION NUMBER: 1995:489989 BIOSIS

DOCUMENT NUMBER: PREV199598504289

TITLE: Cyclic Lactam alpha-Melanotropin Analogues of
Ac-Nle-4-cyclo(Asp-5,D-Phe-7,Lys-10) alpha-

Melanocyte-Stimulating Hormone-(4-10)-NH-2 with
Bulky Aromatic Amino Acids at Position 7 Show High
Antagonist Potency and Selectivity at Specific
Melanocortin Receptors.

AUTHOR(S): Hruby, Victor J. [Reprint author]; Lu, Dongsu; Sharma,
Shubh D.; Castrucci, Ana De L.; Kesterson, Robert A.;
Al-Obeidi, Fahad A.; Hadley, Mac E.; Cone, Roger D.
CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ 85721, USA
SOURCE: Journal of Medicinal Chemistry, (1995) Vol. 38, No. 18, pp.
3454-3461.
CODEN: JMCMAR. ISSN: 0022-2623.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 9 Nov 1995
Last Updated on STN: 14 Dec 1995

AB The cloning of the melanocyte-stimulating hormone (MSH) and
adrenocorticotrophic hormone (ACTH) receptors (MC1-R and MC2-R,
respectively) recently has led to the identification of three additional
melanocortin receptors, MC3-R, MC4-R, and MC5-R. The MC2 receptor
primarily recognizes only ACTH peptides, but the other four receptors all
recognize alpha-melanocyte-stimulating hormone (alpha-MSH) and
potent alpha-MSH agonists such as (Nle-4,D-Phe-7)alpha-MSH-NH-2 and
Ac-Nle-4-c(Asp-5,D-Phe-7 Lys-10)alpha-MSH-(4-10)-NH-2 as well as ACTH.
The absence of any known physiological role for these new receptors,
expressed both in the brain (MC3-R and MC4-R) and throughout a number of
peripheral tissues (MC5-R), has necessitated a search for potent and
receptor selective-agonists and antagonists. We report here that
analogues of the superpotent cyclic agonist analogue Ac-Nle-4-c(Asp-5,D-
Phe-7,Lys-10)alpha-MSH-(4-10)-NH-2, in which a bulky aromatic amino acid
is substituted in the 7-position, can produce potent and selective
antagonists for melanocortin receptors. Thus, the
D-p-iodophenylalanine-7-containing analogue Ac-Nle-4-c(Asp-5,D-Phe(pI)-
7,Lys-10)alpha-MSH-(4-10)-NH-2 is a potent antagonist (pA-2 = 10.3) in the
classical frog skin (*Rana pipiens*) assay (MC1-R), as is the
D-2'-naphthylalanine-7 (D-Nal(2)-7)-containing analogue
Ac-Nle-4-c(Asp-5,D-Nal(2)-7, Lys-10)alpha-MSH-(4-10)-NH-2 (pA-2 gt 10.3).
Interestingly, the D-p-chloro- and D-p-fluorophenylalanine-7-containing
analogues lacked antagonist activities at all melanotropin
receptors, and both exhibited full agonist potency in the frog skin assay.
The activity of these analogues also was examined at four mammalian
melanocortin receptors. Interestingly, Ac-Nle-4-c(Asp-5,(D-Nal(2)-
7, Lys-10)alpha-MSH-(4-10)-NH-2 was found to be a potent antagonist of the
MC4-R (pA-2 = 9.3) with minimal agonist activity, a less potent antagonist
of the MC3-R (pA-2 = 8.3) with minimal agonist activity, and a full
agonist of the MC1 and MC5 receptors. Surprisingly, Nle-4-c(Asp-5,D-
Phe(pI)-7,Lys-10)alpha-MSH was found to be a potent agonist at the cloned
human MC1-R (EC-50 = 0.055 nM) and mouse MC1-R (EC-50 = 0.19 nM) but had
potent antagonist activities at the human MC4-R (pA-2 = 9.7) and human
MC3-R (pA-2 = 8.3) with significant partial agonist activities (EC-50 =
0.57 and 0.68 nM, respectively) as well. Thus, highly potent and receptor
selective antagonist analogues can arise from substitution of the D-Phe-7
residue with a bulky aromatic amino acid. These analogues can be used to
help determine the functional roles of these receptors.

L7 ANSWER 43 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 9

ACCESSION NUMBER: 1995:319499 BIOSIS
DOCUMENT NUMBER: PREV199598333799
TITLE: Design, synthesis, biology, and conformations of bicyclic
alpha-melanotropin analogues.
AUTHOR(S): Haskell-Luevano, Carrie; Shenderovich, Mark D.;
Sharma, Shubh D.; Nikiforovich, Gregory V.; Hadley,
Mac E.; Hruby, Victor J. [Reprint author]

CORPORATE SOURCE: Dep. Chem., Univ. Ariz., Tucson, AZ 85721, USA
SOURCE: Journal of Medicinal Chemistry, (1995) Vol. 38, No. 10, pp. 1736-1750.
CODEN: JMCMAR. ISSN: 0022-2623.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 30 Jul 1995
Last Updated on STN: 30 Jul 1995

AB Seven side chain-constrained bicyclic alpha-melanotropin (alpha-MSH) analogues were designed and synthesized, their conformations analyzed, and their biological properties examined in the frog skin and lizard skin bioassays. The structure of these analogues is based on the central sequence Ac-Cys-4-Xaa-5-His-6-DPhe-7-Arg-8-Trp-9-Cys-10-Lys-11-NH-2 (Xaa-5 = Asp or Glu) and has been extended on the N-terminal with the amino acids Ser-1-Tyr-2-Ser-3 and on the C-terminal with Pro-12-Val-13 to more closely resemble the native hormone alpha-MSH. The analogue Ac-Cys-4-Asp-5-His-6-DPhe-7-Arg-8-Trp-9-Lys-10-Cys-11-NH-2 also was synthesized, and its conformational and biological properties were examined. Design of these analogues was based upon the previously identified superpotent monocyclic peptides (Cys-4,DPhe-7,Cys-10)alpha-MSH(4-10)-NH-2 and (Nle-4,Asp-5,DPhe-7,Lys-10)alpha-MSH(4-10)-NH-2 with the rationale of increasing conformational constraints to restrict the available backbone conformations as a means to identify the conformations that facilitate biological activity. Computer-assisted conformational analysis of the central tetrapeptide residues 6-9 identified beta-turns which varied with respect to the residue in the i + 1 position. Each highly constrained peptide contains D-Phe-7 and a 23-membered ring which has previously been identified as crucial to produce prolonged acting peptides with superagonistic activities. The bicyclic peptides reported in this study are full agonists and are 25-400-fold less potent than alpha-MSH in the frog and lizard skin bioassays.

L7 ANSWER 44 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 10

ACCESSION NUMBER: 1996:110536 BIOSIS
DOCUMENT NUMBER: PREV199698682671
TITLE: The melanotropic peptide, (Nle-4, D-Phe-7)alpha-MSH, stimulates human melanoma tyrosinase activity and inhibits cell proliferation.
AUTHOR(S): Jiang, Jinwen; Sharma, Shubh D.; Nakamura, Shelley; Lai, Jeng-Yu; Fink, Jody L.; Hruby, Victor J.; Hadley, Mac E. [Reprint author]
CORPORATE SOURCE: Cell Biol. Anatomy, Coll. Med., Univ. Arizona, Tucson, AZ 85724, USA
SOURCE: Pigment Cell Research, (1995) Vol. 8, No. 6, pp. 314-323.
CODEN: PCREEA. ISSN: 0893-5785.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 12 Mar 1996
Last Updated on STN: 13 Mar 1996

AB Seventeen human melanoma cell (HMC) lines, both melanotic and amelanotic, were incubated in the continuous presence of a potent melanotropic peptide hormone analog, (Nle-4,D-Phe7)alpha-MSH, for 72 hr with daily changes of medium. Only one cell line (HD, melanotic) consistently responded to the hormone analog by increased tyrosinase activity. Three (one melanotic, two amelanotic) of the HMC lines also failed to respond to the peptide by either increased or decreased enzyme activity when incubated continuously in the presence of the peptide for longer periods of time (6,15,27,43 days). The HD cell line, however, again responded with increasingly enhanced basal enzyme activity the longer the cells were incubated in the presence of the melanotropin. One amelanotic cell line (C8161) responded with enhanced enzyme activity when grown to confluency in the

continuous presence of the peptide. Basal tyrosinase activity of the C8161 cell line may have increased as cell density in the flasks increased. These results suggest that under conditions of increased cell number, phenotypic expression of tyrosinase activity in so called "amelanotic" (tyrosinase-negative) cells is increased and can be enhanced further by stimulation with a melanotropic peptide. Under conditions of increased cell number, the presence of (Nle-4,D-Phe-7)alpha-MSH caused morphological differentiation (shape change); the cells became enlarged and very dendritic. The number of cells in monolayer (surface of the flask) and in the medium were drastically reduced in both melanotic and "amelanotic" cell lines incubated with (Nle-4,D-Phe-7)alpha-MSH. The data support other published reports that melanotropic peptides inhibit human melanoma cell growth (proliferation) in vitro, most likely through a cytostatic mechanism. (Nle-4,D-Phe-7)alpha-MSH also exhibited a prolonged (residual) inhibitory action on HD cell proliferation. In other words, inhibition of cell growth (proliferation) of the HMCs was evident even several days after removal of the melanotropic peptide from the incubation medium.

L7 ANSWER 45 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 11

ACCESSION NUMBER: 1994:452483 BIOSIS
DOCUMENT NUMBER: PREV199497465483
TITLE: Preformulation studies with melanotan-II: A potential skin cancer chemopreventive peptide.
AUTHOR(S): Lan, En-Ling; Ugwu, Sydney O.; Blanchard, James [Reprint author]; Fang, Xiaojun; Hruby, Victor J.; Sharma, Shubh
CORPORATE SOURCE: Dep. Pharmaceutical Sci., Coll. Pharmacy, Univ. Ariz., Tucson, AZ 85121, USA
SOURCE: Journal of Pharmaceutical Sciences, (1994) Vol. 83, No. 8, pp. 1081-1084.
CODEN: JPMSAE. ISSN: 0022-3549.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 24 Oct 1994
Last Updated on STN: 16 Dec 1994

AB Melanotan-II (1) is a cyclic heptapeptide analogue of alpha-melanocyte-stimulating hormone (alpha-MSH) which tans the skin and is currently being evaluated for the prevention of sunlight-induced skin cancers. The dissociation constants of 1 were determined using potentiometric titration and ultraviolet spectrophotometry. The pK-a1 (histidine) and pK-a2 (arginine) were estimated to be 6.54 and 11.72, respectively. The apparent partition coefficient (PC) was measured at three pH values using both n-octanol and isooctane as the nonpolar phase. The PC(octanol) and DELTA-log PC at pH 7.35 were 2.82 and 1.05, respectively. These data, together with the observance of a bioavailability of 4.6% in the rat, indicate that 1 may be a suitable candidate for oral delivery. The data presented here are useful in developing an appropriate dosage form for 1.

L7 ANSWER 46 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 12

ACCESSION NUMBER: 1995:33242 BIOSIS
DOCUMENT NUMBER: PREV199598047542
TITLE: Multivalent melanotropic Peptide and Fluorescent Macromolecular Conjugates: New Reagents for Characterization of Melanotropin Receptors.
AUTHOR(S): Sharma, Shubh D.; Granberry, Michael E.; Jiang, Jinwen; Leong, Stanley P. L.; Hadley, Mac E.; Hruby, Victor J. [Reprint author]
CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ 85721, USA
SOURCE: Bioconjugate Chemistry, (1994) Vol. 5, No. 6, pp. 591-601.

CODEN: BCCHEs. ISSN: 1043-1802.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 25 Jan 1995

Last Updated on STN: 26 Jan 1995

AB Radioreceptor binding studies have documented the presence of melanotropin receptors on some but not all of the various human melanoma cell lines that have been studied. Using a newly developed class of multivalent fluorescent melanotropin-macromolecular conjugates, we have demonstrated for the first time the presence of specific melanotropin receptors on all of the melanoma cell lines, both mouse and human, melanotic as well as amelanotic, that were investigated. The conjugates developed by us consisted of multiple copies of both a potent melanotropin analogue and a fluorophore, both arranged in a pendent fashion on a biologically inert macromolecule. While the multivalency of these conjugates may have established stronger binding with the melanotropin receptors on the cell surface (perhaps by establishing simultaneous multiple interactions), the presence of multiple copies of the fluorophore also greatly increased the level of detection in fluorescence labeling experiments. Membrane receptor-hormone-associated phenomena, such as capping and internalization of the receptor-ligand complex, also were observed. The details of these methods are described using B-16 mouse melanoma cells as a model system. The demonstration of MSH receptors as a common marker for melanoma suggests that this methodology might be employed for early clinical detection and anatomical localization of melanoma- These results also offer the possibility that substitution of the fluorophore in these conjugates by a chemical agent of (chemo-)therapeutic relevance may provide a powerful tool for site specific (tumor) targeting and cytotoxicity.

L7 ANSWER 47 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 13

ACCESSION NUMBER: 1994:132615 BIOSIS

DOCUMENT NUMBER: PREV199497145615

TITLE: Kinetics of degradation of a cyclic lactam analog of alpha-melanotropin (MT-II) in aqueous solution.

AUTHOR(S): Ugwu, Sydney O.; Lan, En-Ling; Sharma, Shubh;
Hruby, Victor; Blanchard, James [Reprint author]

CORPORATE SOURCE: Dep. Pharmaceutical Sci., Coll. Pharm., Univ. Arizona,
Tucson, AZ 85721, USA

SOURCE: International Journal of Pharmaceutics (Amsterdam), (1994)
Vol. 102, No. 1-3, pp. 193-199.
CODEN: IJPHDE. ISSN: 0378-5173.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 24 Mar 1994

Last Updated on STN: 24 Mar 1994

AB The kinetics of degradation of MT-II in aqueous buffered solution was studied in order to facilitate the formulation of a stable oral dosage form. A stability-indicating high-performance liquid chromatographic (HPLC) assay was used to measure the concentrations of MT-II remaining at various time periods. The rate of degradation of MT-II was studied as a function of pH, phosphate buffer concentration, temperature and ionic strength. Results indicated that the degradation of MT-II followed apparent first-order kinetics. The pH-rate profile showed that MT-II was most stable at approximately pH 5.0. Data obtained from this study also indicated that the degradation rate of this peptide was directly proportional to phosphate buffer concentration and temperature. The shelf-life of MT-II in aqueous buffer solutions at 25 degree C was 27 h. The activation energy was 7.5 kcal/mol. The degradation rate of MT-II appeared to be independent of the ionic strength of the aqueous buffered

solution.

L7 ANSWER 48 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN DUPLICATE 14

ACCESSION NUMBER: 1993:426424 BIOSIS
DOCUMENT NUMBER: PREV199345074049
TITLE: Melanotropic peptides for therapeutic and
cosmetic tanning of the skin.
AUTHOR(S): Hadley, Mac E. [Reprint author]; Sharma, Shubh D.
; Hruby, Victor J.; Levine, Norman; Dorr, Robert T.
CORPORATE SOURCE: Dep. Anat., Univ. Ariz., Tucson, AZ 85724, USA
SOURCE: Vaudry, H. [Editor]; Eberle, A. N. [Editor]. Ann. N. Y.
Acad. Sci., (1993) pp. 424-439. Annals of the New York
Academy of Sciences; The melanotropic peptides.
Publisher: New York Academy of Sciences, 2 East 63rd
Street, New York, New York 10021, USA. Series: Annals of
the New York Academy of Sciences.
Meeting Info.: Conference. Rouen, France. September 6-9,
1992.
CODEN: ANYAA9. ISSN: 0077-8923. ISBN: 0-89766-782-4
(paper), 0-89766-781-6 (cloth).
DOCUMENT TYPE: Article
Conference; (Meeting)
LANGUAGE: English
ENTRY DATE: Entered STN: 15 Sep 1993
Last Updated on STN: 15 Sep 1993

L7 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:401389 CAPLUS
DOCUMENT NUMBER: 121:1389
TITLE: Melanotropic peptides and melanoma
cell receptors
AUTHOR(S): Jiang, Jin-wen; Nakamura, Shelley; Sharma, Shubh
D.; Hruby, Victor J.; Hadley, Mac E.
CORPORATE SOURCE: Coll. Med., Univ. Ariz., Tucson, AZ, 85724, USA
SOURCE: Pept.: Biol. Chem., Proc. Chin. Pept. Symp. (1993),
Meeting Date 1992, 143-4. Editor(s): Du, Yu-cang;
Tam, James P.; Zhang, You-shang. ESCOM: Leiden, Neth.
CODEN: 59YOAI
DOCUMENT TYPE: Conference
LANGUAGE: English

AB A MSH analog attached to polyvinyl alc. through a disulfide linkage was
used to demonstrate the presence of melanotropin receptors in
various melanoma cell lines. The MSH conjugate bound to all
mouse and human melanoma cells, but not to MCF-7 or to normal
mouse spleen and liver cells.

L7 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:622219 CAPLUS
DOCUMENT NUMBER: 121:222219
TITLE: A new class of positively charged melanotropin
analogs: a new concept in peptide design
AUTHOR(S): Sharma, Shubh D.; Nikiforovich, Gregory V.;
Jiang, Jinwen; Castrucci, Ana M. L.; Hadley, Mac E.;
Hruby, Victor J.
CORPORATE SOURCE: Department of Chemistry, University of Arizona,
Tucson, AZ, 85721, USA
SOURCE: Pept. 1992, Proc. Eur. Pept. Symp., 22nd (1993),
Meeting Date 1992, 95-6. Editor(s): Schneider, Conrad
H.; Eberle, Alex N. ESCOM: Leiden, Neth.
CODEN: 60LUAN
DOCUMENT TYPE: Conference
LANGUAGE: English

AB Comparative potencies relative to α -melanotropin in the frog and lizard skin assays were measured for 4 α -MSH1-13-NH2 analogs with increased overall pos. charges on the mols. Introduction of basic residues in a biocompatible fashion can further modulate and enhance the biol. profile.

L7 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:473927 CAPLUS

DOCUMENT NUMBER: 121:73927

TITLE: Melanotropic peptides for the identification, localization (imaging) and chemotherapy of melanoma

AUTHOR(S): Hadley, Mac E.; Sharma, Shubh D.; Hruby, Victor J.

CORPORATE SOURCE: Dep. Anat., Univ. Ariz., Tucson, AZ, 85721, USA

SOURCE: Pept.: Biol. Chem., Proc. Chin. Pept. Symp. (1993), Meeting Date 1992, 53-6. Editor(s): Du, Yu-cang; Tam, James P.; Zhang, You-shang. ESCOM: Leiden, Neth. CODEN: 59YOAI

DOCUMENT TYPE: Conference; General Review

LANGUAGE: English

AB A review, with 14 refs., on the design of α -MSH peptides for melanoma chemotherapy, fluorescent MSH analogs for receptor identification and visualization, radiolabeled MSH analogs, MSH peptides for protection against skin cancer, and MSH delivery.

L7 ANSWER 52 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 15

ACCESSION NUMBER: 1993:426398 BIOSIS

DOCUMENT NUMBER: PREV199345074023

TITLE: Design, synthesis, and conformation of superpotent and prolonged acting melanotropins.

AUTHOR(S): Hruby, Victor J. [Reprint author]; Sharma, Shubh D.; Toth, Katalan; Jaw, John Y.; Al-Obeidi, Fahad; Sawyer, Tomi K.; Hadley, Mac E.

CORPORATE SOURCE: Dep. Chem., Univ. Ariz., Tucson, AZ 85721, USA

SOURCE: Vaudry, H. [Editor]; Eberle, A. N. [Editor]. Ann. N. Y. Acad. Sci., (1993) pp. 51-63. Annals of the New York Academy of Sciences; The melanotropic peptides. Publisher: New York Academy of Sciences, 2 East 63rd Street, New York, New York 10021, USA. Series: Annals of the New York Academy of Sciences. Meeting Info.: Conference. Rouen, France. September 6-9, 1992.

CODEN: ANYAA9. ISSN: 0077-8923. ISBN: 0-89766-782-4 (paper), 0-89766-781-6 (cloth).

DOCUMENT TYPE: Article

Conference; (Meeting)

LANGUAGE: English

ENTRY DATE: Entered STN: 15 Sep 1993

Last Updated on STN: 15 Sep 1993

L7 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:551354 CAPLUS

DOCUMENT NUMBER: 117:151354

TITLE: Multivalent ligands for diagnosis and therapeutics

AUTHOR(S): Sharma, Shubh D.; Hruby, Victor J.; Hadley, Mac E.; Granberry, Michael E.; Leong, Stanley P. L.

CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ, 85721, USA

SOURCE: Pept.: Chem. Biol., Proc. Am. Pept. Symp., 12th (1992), Meeting Date 1991, 599-600. Editor(s): Smith, John A.; Rivier, Jean E. ESCOM: Leiden, Neth. CODEN: 57XGA9

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A report from a symposium on the preparation of fluorescent melanotropin-polymer conjugates and their binding with a variety of cultured melanoma cells.

L7 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:524732 CAPLUS

DOCUMENT NUMBER: 117:124732

TITLE: Design of different conformational isomers of the same peptide: α -melanotropin

AUTHOR(S): Nikiforovich, Gregory V.; Sharma, Shubh D.;

Hadley, Mac E.; Hruby, Victor J.

CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ, 85721, USA

SOURCE: Pept.: Chem. Biol., Proc. Am. Pept. Symp., 12th (1992), Meeting Date 1991, 389-92. Editor(s): Smith, John A.; Rivier, Jean E. ESCOM: Leiden, Neth.

CODEN: 57XGA9

DOCUMENT TYPE: Conference

LANGUAGE: English

AB Cyclic analogs of an α -MSH fragment were examined for their biol. potencies and conformations. All analogs displayed full biol. responses, indicating that they were all capable of assuming the conformation involved in the transduction step. Differences in potencies reflected differences in ability to assume the conformation associated with receptor recognition and binding steps.

L7 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:185974 CAPLUS

DOCUMENT NUMBER: 114:185974

TITLE: Antisense peptides of melanocyte-stimulating hormone (MSH): surprising results

AUTHOR(S): Al-Obeidi, Fahad A.; Hruby, Victor J.; Sharma, Shubh D.; Hadley, Mac E.; Castrucci, Ana M. De L.

CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ, 85721, USA

SOURCE: Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp., 11th (1990), Meeting Date 1989, 530-2. Editor(s): Rivier, Jean E.; Marshall, Garland R. ESCOM Sci. Pub.: Leiden, Neth.

CODEN: 56XTA7

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A symposium report on the design and solid-phase synthesis of 8 antisense peptides related to β -MSH and 2 related to α -MSH. Antisense peptides are encoded by mRNA complementary to the mRNA for a specific peptide hormone. Melanotropic activities are given for the above antisense peptides.

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FILE 'REGISTRY' ENTERED AT 18:15:14 ON 22 DEC 2006

L1 STRUCTURE UPLOADED

L2 8 L1 SAM SSS

L3 124 L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:16:31 ON 22 DEC 2006

L4 14 L3

FILE 'MEDLINE, BIOSIS, CAPLUS, SCISEARCH, EMBASE, WPIDS' ENTERED AT 18:19:57 ON 22 DEC 2006

E SHUBH SHARMA/AU
E SHUBH S?/AU
E SHARMA SHUBH?/AU
L5 130 E1-E8
L6 70 MELAN? AND L5
L7 55 DUP REM L6 (15 DUPLICATES REMOVED)

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